

STIC Search Report Biotech-Chem Library

STIC Database Tracking Number: 200864

TO: CECILIA JAISLE

Location: REM-5A28&5C18

Art Unit: 1624

Tuesday, September 12, 2006 Case Serial Number: 10/540040 From: Usha Shrestha

Location: Biotech-Chem Library

REM-1A64

Phone: (571)272-3519

Usha.shrestha@uspto.gov

Search Notes

Examiner JAISLE,

See attached results.

If you have any questions about this search feel free to contact me at any time.

Thank you for using STIC search services!

Usha Shrestha Technical Information Specialist STIC Biotech/Chem Library (571)272-3519



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STIC SEARCH RESULTS FEEDBACK FORM

Biotech-Chem Library

Questions about the scope or the results of the search? Contact the searcher or contact:

Mary Hale, Information Branch Supervisor 571-272-2507 Remsen 1 A51

Voluntary Results Feedback Form

>	I am an examiner in Workgroup: Example: 1610									
>	Relevant prior art found, search results used as follows:									
	☐ 102 rejection									
	☐ 103 rejection									
	Cited as being of interest.									
	Helped examiner better understand the invention.									
	Helped examiner better understand the state of the art in their technology.									
	Types of relevant prior art found:									
	☐ Foreign Patent(s)									
	 Non-Patent Literature (journal articles, conference proceedings, new product announcements etc.) 									
>	Relevant prior art not found:									
	Results verified the lack of relevant prior art (helped determine patentability).									
	Results were not useful in determining patentability or understanding the invention.									
Co	amments:									

Drop off or send completed forms to STIC/Biotech-Chem Library Remsen Bldg.



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Online Time:

Scientific and Technical Information Center

SEARCH REQUEST FORM

Art Unit: 1634 Phone Number: 2-9931 Serial Number: 10540040 Location (Bldg/Room#): RFM5A8 (Mailbox #): 50/8 Results Format Preferred (circle): PAPER DISK ***********************************	
\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	
Title of Invention: See Bib Data Sheet	—
Inventors (please provide full names):	
Earliest Priority Date:	
Search Topic: Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include th elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.	e . L
For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with th appropriate serial number.	e
See claims attached. Please do structure second and	
inventor name (a) reach.	
Claims have been restricted. O mly search claims 1-9.	-
note that at least one of PE & R = must be NR3R4, Ol	do
Dearch excluded compounds of last two lines of claim	
(Thank you!	
Please Call with any question	
Please (oll with any guester was a second where applicable vendors and cost where applicable	
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L32
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L10

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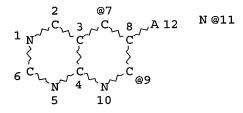
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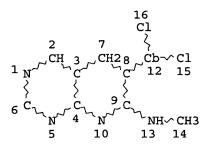
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L20 1513 SEA FILE=REGISTRY SUB=L18 SSS FUL (L10 OR L11)

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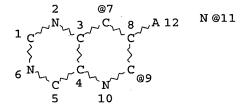
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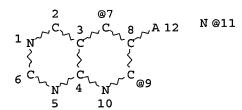
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NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE L11 STR



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NODE ATTRIBUTES:

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DEFAULT ECLEVEL IS LIMITED
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L21
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1513 SEA FILE=REGISTRY SUB=L18 SSS FUL (L10 OR L11)

4 SEA FILE=REGISTRY ABB=ON L20 AND L7

188 SEA FILE=HCAPLUS ABB=ON L20

8 SEA FILE=HCAPLUS ABB=ON L23 AND (FUNGI? OR AGROCHEM?)

139 SEA FILE=HCAPLUS ABB=ON L23(L)PREP/RL 6 SEA FILE=HCAPLUS ABB=ON L29 AND AGR/RL 6 SEA FILE=HCAPLUS ABB=ON L23 AND AGR/RL

12 SEA FILE=HCAPLUS ABB=ON L22 OR L27 OR (L30 OR L31)

114 SEA FILE=HCAPLUS ABB=ON L29 AND (1840-2002)/PRY,AY,PY

98 SEA FILE=HCAPLUS ABB=ON L33 AND HETEROCYC?/SC

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L37 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

2005:1354846 HCAPLUS AN

144:88319 DN

Entered STN: 30 Dec 2005 ED

Preparation of pyrido[2,3-b]pyrazine derivatives for combating ΤI phytopathogenic fungi

Crowley, Patrick Jelf; Mueller, Urs; IN Dobler, Markus; Williams, John

Syngenta Participations AG, Switz.; Syngenta Limited PΑ

PCT Int. Appl., 70 pp. so CODEN: PIXXD2

DT Patent

LΑ English

ICM C07D471-04 IC

ICS A01N043-90

28-17 (Heterocyclic Compounds (More Than One Hetero Atom)) Section cross-reference(s): 5

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ΡI	WO 2005123733	A1	20051229	WO 2005-EP6706	

2005 0621

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             ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH,
             CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT,
             LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF,
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                        C07D471-04
                 TCS
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                        C07D0471-04 [ICM,7]; C07D0471-00 [ICM,7,C*];
                        A01N0043-90 [ICS, 7]
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AB Title compds. represented by the formula I [wherein R = H, halo, (halo)alkyl, etc.; R1 = (hetero)aryl, arylalkyl, heteroarylthio, etc.; R2 = halo or (un)substituted amino; R8, R9 = H, halo, alkoxy, (cyclo)alkyl, etc.; or R8R9 = (un)saturated (hetero)cyclyl] were prepared as phytopathogenic fungicides. For example, II was provided in a multi-step synthesis starting from Me 3-amino-6-bromopyrazine-2-carboxylate. II showed fungicidal activity with 60% control of Pyricularia oryzae. Thus, I and their plant fungicidal compns. are useful for controlling phytopathogenic fungi.

ST pyridopyrazine prepn phytopathogenic fungicide

IT Fungicides

(agrochem.; preparation of pyrido[2,3-b]pyrazinyl amine derivs. for combating phytopathogenic fungi)

IT Phytopathogenic fungi

MARPAT 144:88319

OS GT

(preparation of pyrido[2,3-b]pyrazinyl amine derivs. for combating phytopathogenic fungi)

IT 872088-73-8P, (1,2-Dimethylpropyl)[6-fluoro-2-(4-fluorophenyl)-7-(2,4,6-trifluorophenyl)pyrido[2,3-b]pyrazin-8-yl]amine 872088-82-9P 872088-83-0P 872088-84-1P 872088-85-2P 872088-86-3P 872088-87-4P

(preparation of pyrido[2,3-b]pyrazinyl amine derivs. for combating phytopathogenic fungi)

IT 598-74-3, 1,2-Dimethylpropylamine 1765-93-1,
 4-Fluorophenylboronic acid 6966-01-4, 3-Amino-6-bromopyrazine-2 carboxylic acid methyl ester 714963-55-0

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(preparation of pyrido[2,3-b]pyrazinyl amine derivs. for combating
        phytopathogenic fungi)
     872088-74-9P, 3-Amino-6-(4-fluorophenyl)pyrazine-2-carboxylic acid
ΙT
     methyl ester 872088-75-0P, 6-(4-Fluorophenyl)-3-[[2-(2,4,6-
     trifluorophenyl)acetyl]amino]pyrazine-2-carboxylic acid methyl
             872088-76-1P, 2-(4-Fluorophenyl)-8-hydroxy-7-(2,4,6-
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     2-Phenyl-8-hydroxy-7-(2,4,6-trifluorophenyl)-5H-pyrido[2,3-
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     (2,4,6-trifluorophenyl)pyrido[2,3-b]pyrazine 872088-79-4P,
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     b]pyrazine 872088-80-7P, 6,8-Difluoro-2-(4-fluorophenyl)-7-
     (2,4,6-trifluorophenyl)pyrido[2,3-b]pyrazine
                                                       872088-81-8P,
     6,8-Difluoro-2-phenyl-7-(2,4,6-trifluorophenyl)pyrido[2,3-
     b]pyrazine
         (preparation of pyrido[2,3-b]pyrazinyl amine derivs. for combating
        phytopathogenic fungi)
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RE.CNT
RE
(1) Basf Aktiengesellschaft; EP 0275520 A 1988 HCAPLUS
(2) Carrol, T; JOURNAL OF MEDICINAL CHEMISTRY 1970, V13(5), P853
(3) Crowley, P; WO 2004056825 A 2004 HCAPLUS
(4) Denzel; US 3984412 A 1976 HCAPLUS
(5) Wagner, O; WO 2005010000 A 2005 HCAPLUS
L37
     ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN
     2005:1354789 HCAPLUS
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     144:88318
     Entered STN: 30 Dec 2005
ED
TI
     Preparation of pyrido[2,3-b]pyrazine-8-amine derivatives as
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IN
     Crowley, Patrick Jelf; Mueller, Urs;
     Dobler, Markus; Williams, John
PΑ
     Syngenta Participations AG, Switz.; Syngenta Limited
SO
     PCT Int. Appl., 91 pp.
     CODEN: PIXXD2
DT
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LA
     English
     ICM C07D241-36
ICS A01N043-60
IC
     28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
     Section cross-reference(s): 5
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     WO 2005123698
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CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG PRAI GB 2004-13955 A 20040622 CLASS
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PATENT NO. CLASS PATENT FAMILY CLASSIFICATION CODES

WO 2005123698 ICM C07D241-36 ICS A01N043-60

IPCI C07D0241-36 [ICM,7]; C07D0241-00 [ICM,7,C*];

A01N0043-60 [ICS,7]; A01N0043-48 [ICS,7,C*]

OS MARPAT 144:88318

GI

AB Title compds. represented by the formula I [wherein W, X, Y, Z = N or CR8; R = H, halo, (halo)alkyl, etc.; R1 = (hetero)aryl, arylalkyl, heteroarylthio, etc.; R2 = halo or (un)substituted amino; R8 = H, halo, alkyl(thio)] were prepared as phytopathogenic fungicides. For example, II was provided in a multi-step synthesis starting from 2,6-difluoro-4-bromobenzyl alc. II showed fungicidal activity with 60% control of Pyricularia oryzae and Septoria tritici. Thus, I and their plant fungicidal compns. are useful for controlling phytopathogenic fungi.

ST pyridopyrazine prepn phytopathogenic fungicide

IT Fungicides

IT

(agrochem.; preparation of pyrido[2,3-b]pyrazine-8-amine derivs. as phytopathogenic fungicides)

IT Phytopathogenic fungi

(preparation of pyrido[2,3-b]pyrazine-8-amine derivs. as phytopathogenic fungicides)

IT 872089-11-7P, sec-Butyl[6-chloro-7-[4-(4-fluorophenyl)-2,6-difluorophenyl]pyrido[2,3-b]pyrazin-8-yl]amine 872089-18-4P, sec-Butyl[6-chloro-7-(4-phenyl-2,6-difluorophenyl)pyrido[2,3-b]pyrazin-8-yl]amine 872089-19-5P, sec-Butyl[6-chloro-7-[4-[(4-methylphenyl)ethynyl]-2,6-difluorophenyl]pyrido[2,3-b]pyrazin-8-yl]amine

(preparation of pyrido[2,3-b]pyrazine-8-amine derivs. as phytopathogenic fungicides)

98-80-6, Phenylboronic acid 766-97-2, 4-Methylphenylacetylene 1765-93-1, 4-Fluorophenylboronic acid 13952-84-6, sec-Butylamine 162744-59-4, 2,6-Difluoro-4-bromobenzyl alcohol 872089-14-0, Methyl 2-aminopyrazine-1-carboxylate

(preparation of pyrido[2,3-b]pyrazine-8-amine derivs. as phytopathogenic fungicides)

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872089-17-3P, [7-(4-Bromo-2,6-
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        (preparation of pyrido[2,3-b]pyrazine-8-amine derivs. as
        phytopathogenic fungicides)
              THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT
RE
(1) Crowley, P; WO 2004056824 A 2004 HCAPLUS
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(3) Crowley, P; WO 2004056826 A 2004 HCAPLUS
(4) Crowley, P; WO 2004056829 A 2004 HCAPLUS
(5) Graf; US 4801592 A 1989 HCAPLUS
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     Mueller, Urs; Williams, John
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     ICS A01N043-90
     28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
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<u>. . .</u>

546/153.000

ECLA C07D471/04+253B+221B

OS MARPAT 141:89107

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT

```
The invention relates to a preparation of pyrido[2,3-e][1,2,4]triazine
AB
    derivs. of formula I [wherein: W, Z and one of X and Y is N and
     the other is CH, C-halo, etc.; R and R2 are independently H, halo,
     alkyl, or alkoxy, etc.; R1 is halo, alkyl, or alk(en/yn)yl, etc.],
    useful as plant fungicides. For instance, a 1:1 mixture of
    pyridotriazine derivs. II (R3 = Cl; R4 = i-PrNH) and II (R3 =
     i-PrNH, R4 = Cl) was prepared via amination of triazine derivative III
     (R5 = H), amidation of 2-Cl-6-FC6H4CH2C(O)Cl by the obtained amine
     III (R5 = NH2), intramol. heterocyclization of the obtained
     acetylaminotriazine derivative III [R5 = 2-Cl-6-FC6H4CH2C(O)NH],
     chlorination/aromatization of the obtained pyridotriazinedione
    derivative IV, and subsequent amination of 6,8-dichloropyridotriazine
    derivative by i-PrNH2. For instance, pyridotriazine derivative V gave
    greater than 60% control of disease (Erysiphe graminis f. sp.
    hordei).
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ST pyridotriazine prepn plant fungicide; chloro triazine amination amidation phenylacetyl chloride heterocyclization

IT Fungicides

(agrochem.; preparation of fungicidal pyridotriazine derivs. from triazine derivs.)

IT Amination

Chlorination

Heterocyclization

(preparation of fungicidal pyridotriazine derivs. from triazine derivs.)

IT 30855-52-8P 716338-57-7P 716338-58-8P 716338-59-9P 716338-60-2P 716338-66-8P 716338-70-4P 716338-71-5P 716338-72-6P 716338-73-7P

(intermediate; preparation of fungicidal pyridotriazine derivs. from triazine derivs.)

IT 254-97-7DP, Pyrido[2,3-e][1,2,4]-triazine, derivs.

(preparation of fungicidal pyridotriazine derivs. from triazine derivs.)

716338-61-3P 716338-62-4P 716338-63-5P TT 716338-64-6P 716338-67-9P 716338-68-0P 716338-74-8P 716338-75-9P 716338-77-1P 716338-76**-**0P 716338-78-2P 716338-79-3P 716338-80-6P 716338-81-7P 716338-82-8P 716338-83-9P 716338-85-1P 716338-84-0P

(preparation of fungicidal pyridotriazine derivs. from triazine derivs.)

IT 290-38-0DP, [1,2,4]-Triazine, derivs.

(preparation of fungicidal pyridotriazine derivs. from triazine derivs.)

IT 75-31-0, 2-Propanamine, reactions 149-73-5,
 Trimethylorthoformate 5413-85-4 179314-61-5 714963-55-0
716338-65-7 716338-69-1

(reactant; preparation of fungicidal pyridotriazine derivs. from triazine derivs.)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD RE

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                        A01N0043-90 [ICS,7]
                        C07D0471-00 [I,C*]; C07D0471-04 [I,A]
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                        4C065/PP03; 4C065/PP04; 4C065/PP10; 4C065/PP13;
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                        4H011/DD03; 4H011/DD04
    MARPAT 141:89117
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- The invention relates to a preparation of pyridodiazine derivs. of formula I [wherein: W and X, W and Z, X and Y or Y and Z are N and the other two are CH, C-halo, or C-alkyl, etc.; R and R2 are independently H, halo, alkyl, alkoxy, or alkylthio, etc.; R1 is halo, (cyclo)alkyl, alk(en/yn)yl, or (hetero)aryl, etc.], useful as plant fungicides. For instance, pyridopyrazine derivs. II (R3 = Cl; R4 = i-PrNH; > 60% control of disease, phytophthora infestans) and II (R3 = i-PrNH, R4 = Cl) was prepared via amidation of 2,4,6-trifluorophenylacetyl chloride by aminopyrazine derivative III (R5 = NH2), intramol. heterocyclization of the obtained acetylaminopyrazine derivative III [R5 = 2,4,6-trifluoro-C6H4CH2C(O)NH], chlorination of the obtained dihydroxypyridopyrazine derivative IV, and subsequent amination of 6,8-dichloropyridopyrazine derivative by i-PrNH2.
- ST pyridodiazine prepn plant fungicide; pyridopyrazine prepn plant fungicide; aminopyrazine phenylacetylation phenylacetyl chloride heterocyclization
- IT Fungicides

(agrochem.; preparation of fungicidal pyridodiazine derivs. from diazines)

IT Heterocyclic compounds

(nitrogen, aromatic; preparation of fungicidal pyridodiazine derivs. from diazines)

IT Amination

Chlorination

Heterocyclization

(preparation of fungicidal pyridodiazine derivs. from diazines) 716324-84-4P 716324-85-5P 716324-86-6P 716324-88-8P

IT 716324-84-4P 716324-85-5P 716324-86-6P 716324-88-8P 716324-91-3P 716324-92-4P 716324-94-6P 716324-97-9P

716324-98-0P 716324-99-1P 716325-02-9P 716325-03-0P

716325-04-1P 716325-05-2P

(intermediate; preparation of fungicidal pyridodiazine derivs. from diazines)

IT 716324-82-2P 716324-83-3P 716324-87-7P 716324-89-9P 716324-90-2P 716324-95-7P 716324-96-8P 716325-00-7P 716325-01-8P 716325-06-3P 716325-07-4P 716325-09-6P 716325-11-0P 716325-12-1P 716325-13-2P 716325-14-3P

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    75-31-0, 2-Propanamine, reactions 16298-03-6 20865-26-3
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        (reactant; preparation of fungicidal pyridodiazine derivs. from
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             THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
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(3) Basf Ag; EP 1249452 A 2002 HCAPLUS
(4) Braun, P; US 5821244 A 1998 HCAPLUS
(5) Squibb & Sons Inc; GB 1431063 A 1976 HCAPLUS
L37 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN
    2004:546506 HCAPLUS
    141:89023
    Entered STN: 08 Jul 2004
    A preparation of naphthyridine derivatives, useful as plant
    fungicides
    Crowley, Patrick Jelf; Dobler, Markus;
    Mueller, Urs; Williams, John
    Syngenta Limited, UK; Syngenta Participations A.-G.
    PCT Int. Appl., 96 pp.
    CODEN: PIXXD2
    Patent
    English
    ICM C07D471-04
    ICS A01N043-90
    27-18 (Heterocyclic Compounds (One Hetero Atom))
    Section cross-reference(s): 5
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                        544/362.000; 546/122.000
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     MARPAT 141:89023
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT

The invention relates to a preparation of naphthyridine derivs. of AR formula I [wherein: one of W, X, Y and Z is N and the others are CH, C-halo, etc.; when X is CH, Z is N, R is NHNH2, R1 is Ph and R2 is Cl, W and Y are both CCH3; one of R and R2 is NH2, N[alk(en/yn)yl]2, or aryl, etc., and the other is halo, alkyl, alkoxy, etc.; R1 is (hetero) aryl, morpholino, piperidino, or pyrrolidino], useful as plant fungicides. For instance, naphthyridine derivs. II (R3 = C1; R4 = i-PrNH) and II (R3 = i-PrNH, R4 = Cl) were prepared via phenylacetylation of III (R5 = NH2) by 2,4,6-trifluorophenylacetyl chloride, intramol. heterocyclization of the obtained acetylaminonicotinate derivative III [R5 = 2,4,6-trifluoro-C6H4CH2C(O)NH], chlorination/aromatization of the obtained pyridotriazinedione derivative IV, and subsequent amination of the obtained dichloronaphthyridine derivative II (R3 = R4 = Cl) by i-PrNH2 (example 1). For instance, naphthyridine derivative V gave greater than 60% control of disease (Plasmopara viticola). ST naphthyridine prepn plant fungicide; aminonicotinate phenylacetylation heterocyclization chlorination IT Fungicides

(agrochem.; preparation of fungicidal naphthyridine derivs. from nicotinic acid derivs.)

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IT
    Phytopathogenic fungi
        (combating and controlling; preparation of fungicidal naphthyridine
        derivs. from nicotinic acid derivs.)
    Heterocyclic compounds
IT
        (nitrogen; preparation of fungicidal naphthyridine derivs. from
       nicotinic acid derivs.)
    Acetylation
IT
    Amination
    Chlorination
    Heterocyclization
        (preparation of fungicidal naphthyridine derivs. from nicotinic acid
        derivs.)
    14208-83-4P
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     714963-69-6P
                    714963-71-0P
                                   714963-73-2P
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     714963-75-4P
        (intermediate; preparation of fungicidal naphthyridine derivs. from
       nicotinic acid derivs.)
ΤT
     70816-58-9DP, Naphthyridine, derivs.
        (preparation of fungicidal naphthyridine derivs. from nicotinic acid
        derivs.)
IT
     714963-53-8P
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                                                  714963-70-9P
     714963-61-8P
                    714963-62-9P
     714963-72-1P
                    714963-76-5P
        (preparation of fungicidal naphthyridine derivs. from nicotinic acid
        derivs.)
IT
     110-86-1DP, Pyridine, derivs.
        (preparation of fungicidal naphthyridine derivs. from nicotinic acid
        derivs.)
IT
    75-31-0, Isopropylamine, reactions
                                          110-91-8, Morpholine,
               1462-86-8, 3-Amino-2-picolinic acid
                                                      7579-20-6
    reactions
     13362-26-0, 2-Aminonicotinic acid ethyl ester
                                                     13952-84-6,
                      16952-66-2, Ethyl 4-aminopyridine-3-carboxylate
     Sec-Butylamine
     179314-61-5, 2-Chloro-6-fluorophenylacetyl chloride 433226-06-3,
     2-Amino-5-bromonicotinic acid ethyl ester
                                                 714963-55-0,
     2-(2,4,6-Trifluorophenyl)acetyl chloride
        (reactant; preparation of fungicidal naphthyridine derivs. from
       nicotinic acid derivs.)
=> d l36 1-39 ibib abs hitstr hitind
L36 ANSWER 1 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                         2006:281492 HCAPLUS
DOCUMENT NUMBER:
                         144:390870
TITLE:
                         Microwave-assisted three-component synthesis
                         and in vitro antifungal evaluation of
                         6-cyano-5, 8-dihydropyrido [2, 3-d] pyrimidin-
                         4 (3H) -ones
AUTHOR (S):
                         Quiroga, Jairo; Cisneros, Carlos; Insuasty,
                         Braulio; Abonia, Rodrigo; Cruz, Silvia;
                         Nogueras, Manuel; Manuel de la Torre, Jose;
                         Sortino, Maximiliano; Zacchino, Susana
CORPORATE SOURCE:
                         Grupo de Investigacion de Compuestos
                         Heterociclicos, Department of Chemistry,
                         Universidad del Valle, Cali, A.A.25360,
```

Journal of Heterocyclic Chemistry (2006),

Colombia

43(2), 299-306

SOURCE:

CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER: HeteroCorporation

DOCUMENT TYPE: Journal LANGUAGE: English

AB The reaction of 6-aminopyrimidin-4-ones with benzaldehydes and β-iminobutyronitrile or benzoylacetonitrile under microwave irradiation in dry media yields 6-cyano-5,8-dihydropyrido[2,3-d]-pyrimidinones. The structure of the synthesized compds. was determined on the basis of NMR measurements, especially by 1H,1H-, 1H,13C COSY, DEPT, and NOESY expts. In contrast with other pyrido[2,3-d]pyrimidine derivs., these compds. did not show any antifungal in vitro activity ≤250 μg/mL.

IT 220664-03-9 882877-28-3 882877-29-4 882877-30-7

(preparation of cyanodihydropyridopyrimidinones without antifungal activity by microwave-assisted three-component coupling)

RN 220664-03-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 7-amino-1,4,5,8-tetrahydro-2-(methylthio)-5-(4-nitrophenyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 882877-28-3 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 7-amino-3,4,5,8-tetrahydro-2-methoxy-3-methyl-4-oxo-5-phenyl- (9CI) (CA INDEX NAME)

RN 882877-29-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 7-amino-5-(4-chlorophenyl)-3,4,5,8-tetrahydro-2-methoxy-3-methyl-4-oxo-(9CI) (CA INDEX NAME)

RN 882877-30-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 7-amino-3,4,5,8-tetrahydro-2-methoxy-3-methyl-5-(4-nitrophenyl)-4-oxo- (9CI) (CA INDEX NAME)

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 10

IT Fungicides

(preparation of cyanodihydropyridopyrimidinones without antifungal activity by microwave-assisted three-component coupling)

IT 220664-03-9 882877-28-3 882877-29-4 882877-30-7

(preparation of cyanodihydropyridopyrimidinones without antifungal activity by microwave-assisted three-component coupling)

REFERENCE COUNT:

45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 2 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:1242789 HCAPLUS

DOCUMENT NUMBER:

143:477969

TITLE:

Preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating multiple myeloma

INVENTOR (S):

Cai, Shaopei; Chou, Joyce; Harwood, Eric; Heise, Carla C.; Machajewski, Timothy D.; Ryckman, David; Shang, Xiao; Wiesmann, Marion;

Zhu, Shuguang

PATENT ASSIGNEE(S):

Chiron Corporation, USA

SOURCE:

U.S. Pat. Appl. Publ., 239 pp., Cont.-in-part

of U.S. Ser. No. 644,055.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005261307	A1	20051124	US 2004-983174	2004 1105
US 2004092535	A1	20040513	< US 2003-644055	2003 0819
CN 1692112	Α	20051102	< CN 2003-824565	2003 0819
US 2005203101	A1	20050915	< US 2004-839793	2004 0505
PRIORITY APPLN. INFO	.:		< US 2002-405729P P	2002 0823
			° < US 2002-426107P P	2002 1113
			< US 2002-426226P P	2002 1113
			< US 2002-426282P P	2002 1113
			< US 2002-428210P P	2002 1121
			< US 2003-460327P P	2003 0403
			US 2003-460328P P	2003 0403
			US 2003-460493P P	2003 0403
			US 2003-478916P P	2003 0616
			US 2003-484048P P	2003

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US	2003-644055	A2	
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110	2003-517915P	P	
US	2003-317913P	P	2003
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US	2003-526425P	P	
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US	2003-526426P	P	
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			1202
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US	2004-546017P	P	
			2004
			0219

ista in

OTHER SOURCE(S):

MARPAT 143:477969

GI

AB The title compds. I [A, B, C, and D = C, N; R1-R3 = H, halo, CN, NO2, etc.; R4 = H, alkyl; R5-R8 = H, halo, CN, NO2, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H], useful for inhibiting fibroblast growth factor receptor 3 or treating a biol. condition mediated by fibroblast growth factor receptor 3, were prepared E.g., a multi-step synthesis of 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-1H-quinolin-2-one

I

II

(II), starting from 5-chloro-2-nitroaniline and 1-methylpiperazine, was given. The majority of the exemplary compds. I displayed an IC50 of less than 10 μM with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1£, Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFR α , and PDGFR β . In addition, many of the exemplary compds. exhibited IC50 values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFR α , and PDGFR β with IC50 values of less than 1 μM . The mentioned above compound II was tested in various tests and showed significant antiproliferative activity. II inhibited FGFR3 receptor phosphorylation and ERK phosphorylation in multiple myeloma cell lines with activating FGFR3 mutations.

IT 668434-53-5P 668434-54-6P 668434-55-7P

(preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating multiple myeloma)

RN 668434-53-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7(1H)-one, 5-(1-azabicyclo[2.2.2]oct-3-ylamino)-6-(1H-benzimidazol-2-yl)-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 668434-54-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7(1H,3H)-dione, 5-(1-azabicyclo[2.2.2]oct-3-ylamino)-6-(1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

RN 668434-55-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7(1H,3H)-dione, 5-[(3S)-1-azabicyclo[2.2.2]oct-3-ylamino]-6-(1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

668434-53-5P 668434-54-6P 668434-55-7P 668434-56-8P 668434-57-9P 668434-58-0P 668434-59-1P 668434-60-4P 668434-61-5P 668434-62-6P 668481-36-5P 668481-38-7P 668481-40-1P 668481-41-2P 668481-42-3P 668481-44-5P 668481-45-6P 669000-47-9P 692737-80-7P

(preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating multiple myeloma)

L36 ANSWER 3 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:1223876 HCAPLUS

DOCUMENT NUMBER:

143:477966

TITLE:

Preparation of benzimidazole quinolinones for inhibiting a checkpoint kinase 1 and their use

in combination therapy for cancer

INVENTOR(S):

Gesner, Thomas G.; Barsanti, Paul A.;

Harrison, Stephen D.; Ni, Zhi-Jie; Brammeier,

Nathan M.; Zhou, Yasheen; Le, Vincent P.

PATENT ASSIGNEE(S):

Chiron Corporation, USA

SOURCE:

U.S. Pat. Appl. Publ., 249 pp., Cont.-in-part

of U.S. Ser. No. 644,055.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	KIND DATE APPLICATION NO.			
US 2005256157	A1	20051117	US 2005-41191	2005	
				0121	
			<		
US 2004092535	A1	20040513	US 2003-644055		
			,	2003	
				0819	
	_		<		
CN 1692112	. A	20051102	CN 2003-824565		
				2003	
				0819	
US 2005203101	7.1	20050015	< US 2004-839793		
05 2005203101	A1	20050915	05 2004-839/93	2004	
•				0505	
			<	0505	
PRIORITY APPLN. INFO.:				р .	
			05 2002 1037231	2002	
				0823	
			<	0023	
				Р .	
				2002	
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			<		
			US 2002-426226P	₽	
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				1113	
			<		
			US 2002-426282P	P	
•				2002	
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TC ICM A61K031-496 INCL 514253070 CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom)) Section cross-reference(s): 1 668432-89-1P IT 668432-87-9P 668432-88-0P 668432-90-4P 668432-91-5P 668432-92-6P 668432-93-7P 668432-94-8P 668432-95-9P 668432-97-1P 668432-96**-**0P 668432-98-2P 668432-99-3P 668433-00-9P 668433-01-0P 668433-02-1P 668433-03-2P 668433-04-3P 668433-05-4P 668433-06-5P 668433-07-6P 668433-08-7P 668433-09-8P 668433-10-1P 668433-11-2P 668433-12-3P 668433-13-4P 668433-14-5P 668433-15-6P 668433-16-7P 668433-17-8P 668433-18-9P 668433-19-0P 668433-20-3P 668433-21-4P 668433-22-5P 668433-23-6P 668433-24-7P 668433-25-8P 668433-26-9P 668433-27-0P 668433-28-1P 668433-29-2P 668433-30-5P 668433-31-6P 668433-33-8P 668433-34-9P 668433-35-0P 668433-36-1P 668433-37-2P 668433-38-3P 668433-39-4P 668433-40-7P 668433-41-8P 668433-42-9P 668433-43-0P 668433-44-1P 668433-45-2P 668433-46-3P 668433-47-4P 668433-48-5P 668433-49-6P 668433-50-9P 668433-51-0P 668433-52-1P 668433-53-2P 668433-54-3P 668433-55-4P 668433-56-5P 668433-58-7P 668433-59-8P 668433-61-2P 668433-62-3P 668433-63-4P 668433-64-5P 668433-65-6P 668433-66-7P 668433-67-8P 668433-68-9P 668433-69-0P 668433-70-3P 668433-71-4P 668433-72-5P 668433-73-6P 668433-74-7P 668433-75-8P 668433-76-9P 668433-77-0P 668433-78-1P 668433-79-2P 668433-80-5P 668433-81-6P 668433-82-7P 668433-83-8P 668433-84-9P 668433-85-0P 668433-86-1P 668433-87-2P 668433-88-3P 668433-89-4P 668433-90-7P 668433-91-8P 668433-93-0P 668433-94-1P 668433-95-2P 668433-96-3P 668433-97-4P 668433-98-5P 668433-99-6P 668434-00-2P 668434-01-3P 668434-02-4P 668434-03-5P 668434-04-6P 668434-05-7P 668434-06-8P 668434-07-9P 668434-08-0P 668434-09-1P 668434-10-4P 668434-11-5P 668434-12-6P 668434-13-7P 668434-14-8P 668434-15-9P 668434-16-0P 668434-17-1P 668434-19-3P 668434-20-6P 668434-22-8P 668434-21-7P 668434-23-9P 668434-24-0P 668434-26-2P 668434-25-1P 668434-27-3P 668434-28-4P 668434-30-8P 668434-29-5P 668434-31-9P 668434-32-0P 668434-33-1P 668434-34-2P 668434-35-3P 668434-36-4P 668434-38-6P 668434-39-7P 668434-40-0P 668434-41-1P 668434-42-2P 668434-43-3P 668434-44-4P 668434-45-5P 668434-46-6P 668434-47-7P 668434-48-8P 668434-49-9P 668434-50-2P 668434-51-3P 668434-52-4P

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US	2002-428210P	Р	
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110	2002 460402D	P	
US	2003-460493P	P	2003
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US	2003-478916P	P	
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US	2003-484048P	Ρ	2002
			2003 0701
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US	2003-644055	A2	
			2003
			0819
US	2004-538984P	P	
			2004
			0123

OTHER SOURCE(S):

MARPAT 143:477966

AB The title compds. [I; A, B, C, D = C, N; R1 = H, halo, CN, NO2, etc.; R2, R3 = H, halo, NO2, CN, etc.; R4 = H, (un) substituted alkyl; R5, R8 = H, (un) substituted alkyl, alkenyl, heterocyclyl; or R5 may be absent if A = N; or R8 may be absent if D = N; R6, R7 = H, halo, NO2, CN, etc.; R9 = H, (un) substituted alkyl, aryl, etc.; R10 = H; or R9 and R10 join together to form one or more rings, each having 5-7 members], useful for inhibiting checkpoint

Ι

kinase 1, inducing cell cycle progression, and increasing apoptosis in cells, were prepared E.g., a multi-step synthesis of 4-amino-3-(benzimidazol-2-yl)-6-(4-methylpiperazinyl)hydroquinolin-2-one, was given. The compds. I were tested against various kinases. Two of the prepared compds. I, 4-[(3S)-1azabicyclo[2.2.2]oct-3-ylamino]-3-(1H-benimidazol-2-yl)-6chloroquinolin-2-(1H)-one and 6-chloro-3-[5-(4-methylpiperazin-1yl) -1H-benzimidazol-2-yl] -4-[(piperidin-2-ylmethyl)amino]quinolin-2(1H)-one, were found to be potent inhibitors of CHK1 with IC50 of 0.32 nM and 0.63 nM, resp. The majority of the exemplary compds. I displayed an IC50 of less than 10 μM with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1ε, Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFRα, and PDGFRβ. In addition, many of the exemplary compds. exhibited IC50 values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFRα, and PDGFR β with IC50 values of less than 1 μM . The compds. I may be used to prepare pharmaceutical compns. and may be used in conjunction with DNA damaging agents.

IT 668434-53-5P 668434-54-6P 668434-55-7P

(preparation of benzimidazole quinolinones for inhibiting a checkpoint kinase 1 and their use in combination therapy for cancer)

RN 668434-53-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7(1H)-one, 5-(1-azabicyclo[2.2.2]oct-3-ylamino)-6-(1H-benzimidazol-2-yl)-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 668434-54-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7(1H,3H)-dione, 5-(1-azabicyclo[2.2.2]oct-3-ylamino)-6-(1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

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RN 668434-55-7 HCAPLUS
CN Pyrido[2,3-d]pyrimidine-2,7(1H,3H)-dione, 5-[(3S)-1-
azabicyclo[2.2.2]oct-3-ylamino]-6-(1H-benzimidazol-2-yl)- (9CI)
(CA INDEX NAME)
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Absolute stereochemistry.

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ICM A61K031-4709
TC
INCL 514312000
     28-9 (Heterocyclic Compounds (More Than One Hetero
CC
     Atom))
     Section cross-reference(s): 1, 63
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668432-94-8P 668432-98-2P 668433-01**-**0P 668433-02-1P 668432-99-3P 668433-00-9P 668433-06-5P 668433-05-4P 668433-03-2P 668433-04-3P 668433-09-8P 668433-10-1P 668433-07-6P 668433-08-7P 668433-14-5P 668433-13-4P 668433-12-3P 668433-11-2P 668433-17-8P 668433-18-9P 668433-15-6P 668433-16-7P 668433-22-5P 668433-21-4P 668433-20-3P 668433-19-0P 668433-26-9P 668433-23-6P 668433-24-7P 668433-25-8P 668433-30-5P 668433-29-2P 668433-27-0P 668433-28-1P 668433-35-0P 668433-34-9P 668433-33-8P 668433-31-6P 668433-39-4P 668433-38-3P 668433-37-2P 668433-36-1P 668433-43-0P 668433-42-9P 668433-40-7P 668433-41-8P 668433-47-4P 668433-45-2P 668433-46-3P 668433-44-1P 668433-50-9P 668433-51-0P 668433-48-5P 668433-49-6P 668433-55-4P 668433-54-3P 668433-52-1P 668433-53-2P 668433-61-2P 668433-56-5P 668433-58-7P 668433-59-8P 668433-64-5P 668433-65-6P 668433-62-3P 668433-63-4P 668433-68-9P 668433-69-0P 668433-66-7P 668433-67-8P 668433-72-5P 668433-73-6P 668433-70-3P 668433-71-4P 668433-76-9P 668433-77-0P 668433-74-7P 668433-75-8P 668433-81-6P 668433-80-5P 668433-78-1P 668433-79-2P 668433-85-0P 668433-84-9P 668433-82-7P 668433-83-8P 668433-88-3P 668433-89-4P 668433-86-1P 668433-87-2P 668433-94-1P 668433-90-7P 668433-91-8P 668433-93-0P 668433-98-5P 668433-97-4P 668433-95-2P 668433-96-3P 668434-02-4P 668434-01-3P 668434-00-2P 668433-99-6P 668434-06-8P 668434-05-7P 668434-04-6P 668434-03-5P 668434-10-4P 668434-08-0P 668434-09-1P 668434-07-9P 668434-14-8P 668434-12-6P 668434-13-7P 668434-11-5P 668434-19-3P 668434-15-9P 668434-16-0P 668434-17-1P 668434-23-9P 668434-20-6P 668434-21-7P 668434-22-8P

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869667-09-4P
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(preparation of benzimidazole quinolinones for inhibiting a checkpoint kinase 1 and their use in combination therapy for cancer)

L36 ANSWER 4 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:99502 HCAPLUS

DOCUMENT NUMBER:

142:198091

TITLE:

Preparation of pyridopyridines and pyridopyrimidines as agrochemical

fungicides.

INVENTOR (S):

Wagner, Oliver; Grote, Thomas; Blettner, Carsten; Gewehr, Markus; Grammenos, Wassilios; Gypser, Andreas; Mueller, Bernd; Rheinheimer, Joachim; Schaefer, Peter; Schieweck, Frank; Schwoegler, Anja; Tormo, I. Blasco Jordi; Akers, Alan; Speakman, John-Bryan; Rack, Michael; Stierl, Reinhard; Scherer, Maria; Strathmann, Siegfried; Schoefl, Ulrich

PATENT ASSIGNEE(S):

SOURCE:

BASF Aktiengesellschaft, Germany

PCT Int. Appl., 60 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

DOCUMENT I

Patent German

ANGUAGE: Germa

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.		KIND	DATE	APPLICATION NO.	DATE
WO 2005010000		A2	20050203	WO 2004-EP7924	2004 0715
WO 200501000	00	A 3	20050519		0/13
CA, ES, KE, MG, PT, TT, RW: BW, ZW, CY, MC,	CH, CN, FI, GB, KG, KP, MK, MN, RO, RU, TZ, UA, GH, GM, AM, AZ, CZ, DE, NL, PL,	CO, C GD, G KR, K MW, M SC, S UG, U KE, L BY, K DK, E PT, R	R, CU, CZ, E, GH, GM, Z, LC, LK, X, MZ, NA, D, SE, SG, S, UZ, VC, S, MW, MZ, G, KZ, MD, E, ES, FI, O, SE, SI,	BA, BB, BG, BR, BW, BY, DE, DK, DM, DZ, EC, EE, HR, HU, ID, IL, IN, IS, LR, LS, LT, LU, LV, MA, NI, NO, NZ, OM, PG, PH, SK, SL, SY, TJ, TM, TN, VN, YU, ZA, ZM, ZW NA, SD, SL, SZ, TZ, UG, RU, TJ, TM, AT, BE, BG, FR, GB, GR, HU, IE, IT, SK, TR, BF, BJ, CF, CG,	EG, JP, MD, PL, TR, ZM, CH, LU,
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OTHER SOURCE(S):

MARPAT 142:198091

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$$R^{1}$$
 R^{2}
 R^{3}
 R^{2}
 R^{2}
 R^{2}
 R^{2}
 R^{3}

Title compds. [I; X, Y = N, CR4; n = 1-5; Ra = halo, cyano, alkyl, AΒ alkoxy, halogenalkyl, halogenalkoxy, alkenyl, alkenyloxy, COR5; R1, R2 = halo, cyano, alkyl, haloalkyl, alkenyl, alkynyl, halo, OR6, SR6, NR7R8, (halo- and/or alkyl-substituted) cycloalkyl, cycloalkenyl; R3 = H, alkyl, halogenalkyl, cycloalkyl, optionally mono- or polysubstituted by alkyl and/or halo; R4 = H, halo, alkyl, haloalkyl, (alkyl and/or halo-substituted)cycloalkyl; R5 = H, OH, alkyl, alkoxy, haloalkyl, haloalkoxy, etc.; R6 = H, alkyl, haloalkyl, (substituted) phenylalkyl; R7, R8 = H, alkyl, alkenyl, alkadienyl, alkynyl, cycloalkyl, cycloalkenyl, Ph, phenylalkyl, naphthyl, heterocyclyl, etc.; R7R8N = atoms to form a 5-7 membered ring], were prepared Thus, Et 2,4,6-trifluoroacetate and Et 4-aminopyrimidine-5-carboxylate were heated together with NaOEt at 130° with distillation of EtOH to give 30% 6-(2,4,6trifluorophenyl)pyrido[2,3-d]pyrimidin-5,7-diol. This was heated with POCl3 and PCl5 at 130° for 8 h to give 95% 5,7-dichloro-6-(2,4,6-trifluorophenyl)pyrido[2,3-d]pyrimidine. The latter at 250 ppm reduced incidence of Leptosphaeria nodorum infection on wheat to 3%, vs 80% for untreated controls.

IT 835878-58-5P 835878-59-6P 835878-61-0P 835878-64-3P 835878-76-7P 835878-80-3P

(preparation of pyridopyridines and pyridopyrimidines as agrochem. fungicides)

RN 835878-58-5 HCAPLUS

CN

Pyrido[2,3-d]pyrimidine, 5-chloro-7-(4-methyl-1-piperidinyl)-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 835878-59-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidine, 5-(4-methyl-1-piperidinyl)-7-(phenylmethoxy)-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 835878-61-0 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7(1H)-one, 5-(4-methyl-1-piperidinyl)-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 835878-64-3 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7(1H)-one, 6-[2,6-difluoro-4-(4-methyl-1-piperidinyl)phenyl]-5-(4-methyl-1-piperidinyl)- (9CI) (CA INDEX NAME)

RN 835878-76-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidine, 5-(4-methyl-1-piperidinyl)-7-(methylthio)-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 835878-80-3 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-5-amine, 7-chloro-N,N-dimethyl-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

IC ICM C07D471-04

ICS A01N043-90

- CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom)) Section cross-reference(s): 5
- ST pyridopyridine pyridopyrimidine prepn agrochem fungicide
- IT Fungicides

```
(agrochem.; preparation of pyridopyridines and
       pyridopyrimidines as agrochem. fungicides)
IT
    714963-58-3P 714975-56-1P 716324-85-5P
                                                835878-46-1P
    835878-47-2P 835878-48-3P 835878-49-4P 835878-50-7P
    835878-51-8P 835878-52-9P 835878-53-0P 835878-54-1P
    835878-55-2P 835878-56-3P 835878-57-4P 835878-58-5P
    835878-59-6P 835878-60-9P 835878-61-0P
    835878-62-1P 835878-63-2P 835878-64-3P
                                              835878-65-4P
    835878-66-5P 835878-67-6P
                                 835878-68-7P 835878-69-8P
    835878-70-1P 835878-71-2P
                                835878-72-3P
                                                835878-73-4P
    835878-74-5P 835878-75-6P 835878-76-7P 835878-77-8P
    835878-78-9P 835878-79-0P 835878-80-3P
       (preparation of pyridopyridines and pyridopyrimidines as
       agrochem. fungicides)
    100-51-6, Benzyl alcohol, reactions 626-58-4, 4-Methylpiperidine
IT
    65195-35-9 70959-85-2, Ethyl 2-amino-6-methylnicotinate
    835878-81-4, Ethyl 2,4,6-trifluorophenylacetate 835878-82-5,
    1-(2,4,6-Trifluorophenyl)propan-2-one
        (preparation of pyridopyridines and pyridopyrimidines as
       agrochem. fungicides)
L36 ANSWER 5 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN
                       2004:546508 HCAPLUS
ACCESSION NUMBER:
                        141:89106
DOCUMENT NUMBER:
TITLE:
                       A preparation of pyridopyrimidine derivatives,
                       useful as plant fungicides
                        Crowley, Patrick Jelf; Dobler, Markus;
INVENTOR(S):
                        Mueller, Urs; Williams, John
                        Syngenta Limited, UK; Syngenta Participations
PATENT ASSIGNEE(S):
                        Ag
SOURCE:
                        PCT Int. Appl., 95 pp.
                        CODEN: PIXXD2
DOCUMENT TYPE:
                        Patent
LANGUAGE:
                        English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
    KIND
                                        APPLICATION NO.
                              DATE
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                              20040708 WO 2003-GB5273
    WO 2004056826
                                                                2003
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            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,
            CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG,
            ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
            KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
            MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT,
            RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT,
            TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW,
            AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY,
            CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
            NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA,
            GN, GQ, GW, ML, MR, NE, SN, TD, TG
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OTHER SOURCE(S):

MARPAT 141:89106

GI

$$\begin{array}{c|c}
X & & R^2 \\
X & & & R^1 \\
Y & & & R & I
\end{array}$$

III

AB The invention relates to a preparation of pyridopyrimidine derivs. of formula I [wherein: W and Y are both N and X and Z are both CH, C-halo, etc.; or X and Z are both N and W and Y are both CH, C-halo, etc.; R and R2 are independently H, halo, alkyl, or alkoxy, etc.; R1 is halo, alkyl, or alk(en/yn)yl, etc.], useful as plant fungicides. For instance, pyridopyrimidine derivs. II (R3 = i-PrNH; > 60% control of disease, pyricularia oryzae) was prepared via amidation of 2,4,6-trifluorophenylacetyl chloride by the obtained intermediate aminopyrimidine derivative III (R4 = NH2), heterocyclization of the obtained acetylaminopyrimidine III [R4 = 2-(2,4,6trifluorophenyl)acetylamino], chlorination/aromatization of the obtained dioxopyridopyrimidine derivative IV, and subsequent amination of the obtained dichloropyridopyrimidine derivative II (R3 = C1) by i-PrNH2 (example 1).

IT 714975-46-9P 714975-50-5P 714975-51-6P 714975-57-2P

(preparation of **fungicidal** pyridopyrimidine derivs. from aminopyrimidinecarboxylates)

RN 714975-46-9 HCAPLUS

CN Pyrido[3,2-d]pyrimidin-8-amine, 6-chloro-N-(1-methylethyl)-7-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 714975-50-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-5-amine, 7-chloro-N-(1-methylpropyl)-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 714975-51-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 5-chloro-N-(1-methylpropyl)-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 714975-57-2 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-5-amine, 7-fluoro-N-(1-methylpropyl)-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

IC ICM C07D471-04

ICS A01N043-90; C07D239-42; C07D239-00; C07D221-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 5

ST pyridopyrimidine prepn plant **fungicide**; aminopyrimidine carboxylate phenylacetyl amidation heterocyclization amination

IT Fungicides

(agrochem.; preparation of fungicidal

pyridopyrimidine derivs. from aminopyrimidinecarboxylates)

IT Amination

Chlorination

Heterocyclization

(preparation of **fungicidal** pyridopyrimidine derivs. from aminopyrimidinecarboxylates)

IT 52047-16-2P 54368-61-5P 59950-50-4P 59950-51-5P

714975-47-0P 714975-48-1P 714975-49-2P 714975-53-8P

714975-54-9P 714975-55-0P 714975-56-1P 714975-58-3P

(intermediate; preparation of **fungicidal** pyridopyrimidine derivs. from aminopyrimidinecarboxylates)

IT 254-61-5DP, Pyrido[2,3-d]pyrimidine, derivs. 254-80-8DP,
 Pyrido[3,2-d]pyrimidine, derivs.

(preparation of **fungicidal** pyridopyrimidine derivs. from aminopyrimidinecarboxylates)

TT 714975-46-9P 714975-50-5P 714975-51-6P 714975-57-2P

(preparation of **fungicidal** pyridopyrimidine derivs. from aminopyrimidinecarboxylates)

IT 289-95-2DP, Pyrimidine, derivs.

(preparation of fungicidal pyridopyrimidine derivs. from

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aminopyrimidinecarboxylates)
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IT 75-31-0, Isopropylamine, reactions 13952-84-6, Sec-Butylamine 65717-13-7 714963-55-0 714975-52-7

> (reactant; preparation of fungicidal pyridopyrimidine derivs. from aminopyrimidinecarboxylates)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 6 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN

3

ACCESSION NUMBER:

2004:182836 HCAPLUS

DOCUMENT NUMBER:

140:235711

TITLE:

Preparation of benzimidazole quinolinones for

inhibiting a serine/threonine kinase

INVENTOR (S):

Barsanti, Paul A.; Bussiere, Dirksen;

Harrison, Stephen D.; Heise, Carla C.; Jansen, Johanna M.; Jazan, Elisa; Machajewski, Timothy D.; Mcbride, Christopher; McCrea, William R.; Ng, Simon; Ni, Zhi-Jie; Pecchi, Sabina; Pfister, Keith; Ramurthy, Savithri; Renhowe, Paul A.; Shafer, Cynthia M.; Silver, Joel B.;

Wagman, Allan; Weismann, Marion

PATENT ASSIGNEE(S):

SOURCE:

Chiron Corporation, USA

PCT Int. Appl., 570 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
 WO 2004018419	A2 20040304	WO 2003-US25990	2003 0819
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WO 2004018419			
WO 2004018419			
CH, CN, CO, GB, GD, GE, KP, KR, KZ, MN, MW, MX, SC, SD, SE, UG, US, UZ, RW: GH, GM, KE, AZ, BY, KG, DE, DK, EE, PT, RO, SE, GQ, GW, ML,	CR, CU, CZ, DE, GH, GM, HR, HU, LC, LK, LR, LS, MZ, NI, NO, NZ, SG, SK, SL, SY, VC, VN, YU, ZA, LS, MW, MZ, SD, KZ, MD, RU, TJ, ES, FI, FR, GB, SI, SK, TR, BF, MR, NE, SN, TD,	SL, SZ, TZ, UG, ZM, Z TM, AT, BE, BG, CH, C GR, HU, IE, IT, LU, N BJ, CF, CG, CI, CM, C TG	ES, FI, KE, KG, MG, MK, RO, RU, FZ, UA, ZW, AM, CY, CZ, MC, NL,
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OTHER SOURCE(S):

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MARPAT 140:235711

I

II

The title compds. [I and II; A, B, C, and D = C, N; W, X, Y and Z AB = C, N and at least one of W, X, Y, and Z = N; R1-R8 = H, halo, CN, NO2, etc.; R9 = H, (un) substituted alkyl, aryl, etc.; R10 = H; or NR9R10 = 5-7 membered ring], useful for inhibiting various enzymes and treating various conditions, were prepared E.q., a multi-step synthesis of 4-amino-3-(benzimidazol-2-yl)-6-(4methylpiperazinyl) hydroquinolin-2-one, was given. The majority of the exemplary compds. I displayed an IC50 of less than 10 μM with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1&, Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFRα, and PDGFRβ. In addition, many of the exemplary compds. exhibited IC50 values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFRα, and PDGFRβ with IC50 values of less than 1 μΜ.

IT 668434-53-5P 668434-54-6P 668434-55-7P

(preparation of benzimidazole quinolinones for inhibiting a serine/threonine kinase)

RN 668434-53-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7(1H)-one, 5-(1-azabicyclo[2.2.2]oct-3-ylamino)-6-(1H-benzimidazol-2-yl)-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 668434-54-6 HCAPLUS
CN Pyrido[2,3-d]pyrimidine-2,7(1H,3H)-dione, 5-(1azabicyclo[2.2.2]oct-3-ylamino)-6-(1H-benzimidazol-2-yl)- (9CI)
(CA INDEX NAME)

RN 668434-55-7 HCAPLUS
CN Pyrido[2,3-d]pyrimidine-2,7(1H,3H)-dione, 5-[(3S)-1azabicyclo[2.2.2]oct-3-ylamino]-6-(1H-benzimidazol-2-yl)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

IC ICM C07D
CC 28-9 (Heterocyclic Compounds (More Than One Hetero
Atom))
 Section cross-reference(s): 1

IT 668432-87-9P 668432-88-0P 668432-89-1P 668432-90-4P 668432-91-5P 668432-92-6P 668432-93-7P 668432-94-8P 668432-95-9P 668432-96-0P 668432-97-1P 668432-98-2P 668432-99-3P 668433-00-9P 668433-01-0P 668433-02-1P 668433-03-2P 668433-04-3P 668433-05-4P 668433-06-5P

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668433-09-8P
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                                    668433-42-9P
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                                                    668481-42-3P
     668481-38-7P
                    668481-45-6P
                                    669000-47-9P
     668481-44-5P
        (preparation of benzimidazole quinolinones for inhibiting a
        serine/threonine kinase)
L36 ANSWER 7 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN
                          2003:911230 HCAPLUS
                          140:391246
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ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:
                         Novel uracil and pyrido[2,3-d]pyrimidine-
                         2,4(1H, 3H)-dione derivatives: synthesis and
                         antimicrobial activity
AUTHOR (S):
                         Youssif, Shaker; El-Sabbagh, Osama. I.
CORPORATE SOURCE:
                         Chemistry Department, Faculty of Science,
                         Zagazig University, Zagazig, Egypt
                         Mansoura Journal of Pharmaceutical Sciences (
SOURCE:
                         2002), 18(1), 41-47
                         CODEN: MJPSEO; ISSN: 1110-1318
PUBLISHER:
                         Mansoura University, Faculty of Pharmacy
DOCUMENT TYPE:
                         Journal
LANGUAGE:
                         English
OTHER SOURCE(S):
                         CASREACT 140:391246
GI
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- The treatment of 1-alkyl-6-chlorouracils with nucleophilic reagents such as sulfonamides was described. Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-diones, e.g., I, and their 4a,5-dihydro derivs. were obtained via Michael addition reaction of 6-amino-1-benzyl-uracil with arylidenemalononitriles and arylidenecyanoacetates. Some of the new compds. showed antimicrobial and antifungal activity.
- IT 686720-41-2P 686720-42-3P 686720-44-5P

 (prepn and antimicrobial/antifungal activity of pyrido[2,3-d]pyrimidine diones and their dihydro derivs via Michael addition of aminobenzyluracil with arylidenemalononitriles and arylidenecyanoacetates)
- RN 686720-41-2 HCAPLUS
 CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 7-amino-1,2,3,4-tetrahydro2,4-dioxo-5-phenyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 686720-42-3 HCAPLUS
CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 7-amino-5-(4-chlorophenyl)1,2,3,4-tetrahydro-2,4-dioxo-1-(phenylmethyl)- (9CI) (CA INDEX
NAME)

RN 686720-44-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 7-amino-1,2,3,4-tetrahydro-5-(3-nitrophenyl)-2,4-dioxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

IT 686720-43-4P 686720-45-6P 686720-46-7P 686720-47-8P 686720-48-9P

(prepn and antimicrobial/antifungal activity of pyrido[2,3-d]pyrimidine diones and their dihydro derivs via Michael addition of aminobenzyluracil with arylidenemalononitriles and arylidenecyanoacetates)

RN 686720-43-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 7-amino-1,2,3,4-tetrahydro-5-(4-methoxyphenyl)-2,4-dioxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 686720-45-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carboxylic acid, 7-amino-1,2,3,4,4a,5-hexahydro-2,4-dioxo-5-phenyl-1-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 686720-47-8 HCAPLUS
CN Pyrido[2,3-d]pyrimidine-6-carboxylic acid, 7-amino-1,2,3,4,4a,5-hexahydro-5-(4-methoxyphenyl)-2,4-dioxo-1-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 686720-48-9 HCAPLUS
CN Pyrido[2,3-d]pyrimidine-6-carboxylic acid, 7-amino-1,2,3,4,4a,5-hexahydro-5-(3-nitrophenyl)-2,4-dioxo-1-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

CC 28-16 (Heterocyclic Compounds (More Than One Hetero

Atom))

Section cross-reference(s): 10

IT Antimicrobial agents
Bacillus subtilis

Candida albicans

Condensation reaction

Escherichia coli

Fungicides

Michael reaction

Pseudomonas aeruginosa

Staphylococcus aureus

(prepn and antimicrobial/antifungal activity of

pyrido[2,3-d]pyrimidine diones and their dihydro derivs via

Michael addition of aminobenzyluracil with arylidenemalononitriles and arylidenecyanoacetates)

IT 686720-41-2P 686720-42-3P 686720-44-5P

(prepn and antimicrobial/antifungal activity of

pyrido[2,3-d]pyrimidine diones and their dihydro derivs via

Michael addition of aminobenzyluracil with arylidenemalononitriles and arylidenecyanoacetates)

IT 686720-43-4P 686720-45-6P 686720-46-7P

686720-47-8P 686720-48-9P

(prepn and antimicrobial/antifungal activity of

pyrido[2,3-d]pyrimidine diones and their dihydro derivs via

Michael addition of aminobenzyluracil with arylidenemalononitriles

and arylidenecyanoacetates)

REFERENCE COUNT:

19 THERE ARE 19 CITED REFERENCES AVAILABLE

FOR THIS RECORD. ALL CITATIONS AVAILABLE

IN THE RE FORMAT

L36 ANSWER 8 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:796707 HCAPLUS

DOCUMENT NUMBER:

139:307789

TITLE:

Preparation of imidazopyridopyrimidines as

inhibitors of p-38 kinase

INVENTOR(S):

Goldstein, David Michael; Hawley, Ronald

Charles; Lui, Alfred Sui-ting; Sjogren, Eric

Brian

PATENT ASSIGNEE(S):

F. Hoffmann-La Roche Ag, Switz.

SOURCE:

PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082871	A1	20031009	WO 2003-EP3178	
				2003
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W: AE, AG	AL, AM, AT	C. AU. AZ.	BA, BB, BG, BR, BY, BZ,	CA,
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			ID, IL, IN, IS, JP, KE,	
			LT, LU, LV, MA, MD, MG,	
			PH, PL, PT, RO, RU, SD, TT, TZ, UA, UG, UZ, VN,	
ZA, ZM		1, 1N, 1K,	11, 12, 0A, 0G, 02, VN,	10,
· · · · · · · · · · · · · · · · · · ·		, MZ, SD,	SL, SZ, TZ, UG, ZM, ZW,	AM,
			TM, AT, BE, BG, CH, CY,	
			GR, HU, IE, IT, LU, MC,	
	SE, SI, SE ML, MR, NE		BJ, CF, CG, CI, CM, GA,	GN,
CA 2479644	AA	20031009	CA 2003-2479644	
				2003
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AU 2003215675	A1	20031013	< AU 2003-215675	
AU 2003213673	AI	20031013	AU 2003-213675	2003
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BR 2003008937	A	20050104	BR 2003-8937	
				2003 0327
			<	032,
EP 1492790	A1	20050105	EP 2003-745276	
				2003
			< '	0327
R: AT, BE	CH, DE, DI	C. ES. FR.	GB, GR, IT, LI, LU, NL,	SE.
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EE, HU				
CN 1646529	Α	20050727	CN 2003-807536	2003
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			<	
JP 2006503802	Т2	20060202	JP 2003-580336	
				2003
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US 2003232847	A1	20031218	US 2003-406364	
				2003
				0403
US 6949560	В2	20050927	< - -	
US 2005197352	B2 A1	20050927	US 2005-122137	
	• • •			2005
				0504
****		20062757	<	
US 7081462 RITY APPLN. INF	B2	20060725	US 2002-369929P	P
WILL WELDIN. TIME.			00 Z00Z~30JJZJF	•

WO 2003-EP3178

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2003 0327

US 2003-406364

2003

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OTHER SOURCE(S):

MARPAT 139:307789

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AB Title compds. I [Z = N, CH; Z1 = N, CH, C; R1 = H, alkyl; R2 = (un)substituted alkyl, aralkyl, cycloalkyl, heterocyclyl, aryl; A = bond, O, S, s(O), SO2, (un)substituted CH2, NH, CO; Y = alkyl, heterocyclic, (un)substituted cycloalkyl, aryl, heteroaryl] were prepared for use as inhibitors of p-38 kinase. Thus, the title compound II was prepared by treating 4-amino-2-benzylthiopyrimidine-5-carboxaldehyde with 2-ClC6H4CH2CN, cyclizing with ClCH2CHClOEt, oxidizing to the sulfoxide, and reaction with trans-4-aminocyclohexanol. II had IC50 for inhibition of p-38 kinase of 0.01 μ M.

IT 449809-32-9P

(preparation of imidazopyridopyrimidines as inhibitors of p-38 kinase)

RN 449809-32-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(4-fluorophenoxy)-2-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

IC ICM C07D471-14

ICS C07D487-14; A61K031-519; A61P025-00; A61P029-00; A61P011-00; C07D239-00; C07D235-00; C07D221-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

6309-59-7P, Tetrahydrothiopyran-4-one oxime IT 21926-00-1P, 4-Aminotetrahydrothiopyran 182223-53-6P 182223-54-7P 210240-20-3P 402927-96-2P 402927-97-3P 402927-98-4P 402927-99-5P **449809-32-9P** 610786-10-2P 610786-11-3P 610786-13-5P 610786-15-7P 610786-16-8P 610786-12-4P 610786-17-9P · 610786-20-4P 610786-22-6P 610786-23-7P

(preparation of imidazopyridopyrimidines as inhibitors of p-38

kinase)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L36 ANSWER 9 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:236103 HCAPLUS

DOCUMENT NUMBER: 139:197457

TITLE: Piperazine N-substituted naphthyridines,

pyridothienopyrimidines and

pyridothienotriazines: new antiprotozoals active against Philasterides dicentrarchi
Ouintela. Jose M.: Peinador. Carlos: Gonzalez

AUTHOR(S): Quintela, Jose M.; Peinador, Carlos; Gonzalez,

Liliana; Iglesias, Raul; Parama, Anabel; Alvarez, Francisca; Sanmartin, Manuel L.;

Riguera, Ricardo

CORPORATE SOURCE: Facultad de Ciencias, Departamento de Quimica

Fundamental e Industrial, Universidad de La

Coruna, La Coruna, 15071, Spain

SOURCE: European Journal of Medicinal Chemistry

(2003), 38(3), 265-275

CODEN: EJMCA5; ISSN: 0223-5234

PUBLISHER: Editions Scientifiques et Medicales Elsevier

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:197457

GI

AB New antiprotozoals active against Philasterides dicentrarchi, the causative agent of scuticociliatosis in farmed turbot and Black Sea bass-bream, have been synthesized and tested. The most active compds. posses a piperazine ring, generally N-bonded to the heterocycle, and are 1,8-naphthyridine, pyridothienopyrimidine, and pyridothienotriazine derivs. The pyridothienotriazine I (R1 = 4-methylpiperidino, R2 = 1-piperazinyl) presents the same activity (LD = 0.8/1.5 mg L-1) as the well-known antiparasitics niclosamide and oxyclozanide.

IT 583051-35-8P

(preparation of piperazinyl-subtituted naphthyridines,

TATE 4 /540 040

pyridothienopyrimidines, and pyridothienotriazines as antiprotozoals active against Philasterides dicentrarchi)

RN 583051-35-8 HCAPLUS

CN

Pyrido[2,3-d]pyrimidine-6-carbonitrile, 2-(dimethylamino)-4-(1piperazinyl)-7-(4-thiomorpholinyl)- (9CI) (CA INDEX NAME)

```
CC
     28-19 (Heterocyclic Compounds (More Than One Hetero Atom))
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Section cross-reference(s): 5, 10

583050-97-9P IT 168848-47-3P 583050-98-0P 583050-99-1P 583051-00-7P 583051-01-8P 583051-02-9P 583051-03-0P 583051-07-4P 583051-04-1P 583051-05-2P 583051-06-3P 583051-08-5P 583051-09-6P 583051-13-2P 583051-14-3P 583051-16-5P 583051-17-6P 583051-19-8P 583051-20-1P 583051-21-2P 583051-23-4P 583051-24-5P 583051-25-6P 583051-28-9P 583051-29-0P 583051-27-8P 583051-30-3P 583051-32-5P **583051-35-8P** 583051-43-8P 583051-46-1P 583051-50-7P 583051-48-3P 583051-51-8P 583051-52-9P 583051-55-2P 583051-54-1P 583051-56-3P 583051-57-4P 583051-60-9P

> (preparation of piperazinyl-subtituted naphthyridines, pyridothienopyrimidines, and pyridothienotriazines as antiprotozoals active against Philasterides dicentrarchi)

REFERENCE COUNT:

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 10 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN

15

ACCESSION NUMBER:

2002:977807 HCAPLUS

DOCUMENT NUMBER:

138:55976

TITLE:

Preparation of quinazolinediones as

antibacterial agents for quinolone-resistant

bacteria

INVENTOR (S):

Ellsworth, Edmund Lee; Showalter, Howard Daniel Hollis; Powell, Sharon Anne; Sanchez, Joseph Peter; Kerschen, James Alan; Stier,

Michael Andrew; Tran, Tuan Phong

PATENT ASSIGNEE(S):

Warner-Lambert Company, USA

SOURCE: PCT Int. Appl., 341 pp.

DOCUMENT TYPE:

CODEN: PIXXD2

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

KIND DATE APPLICATION NO.

DATE

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20021227 WO 2002-IB1768
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    WO 2002102793 A3 20030410
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            GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,
            KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,
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                         AA 20021227 CA 2002-2446963
     CA 2446963
                                                                    0513
                                               <--·
    EP 1401830 A2
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                                                                    2002
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     BR 2002010028 A 20040622 BR 2002-10028
                                                                    2002
                                                                    0513
                                               <--
     JP 2005501021 T2
                                20050113
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    US 2003114666 A1 20030619
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                                                                    0618
PRIORITY APPLN. INFO.:
                                            US 2001-299249P
                                                                    2001
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                                              <--
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OTHER SOURCE(S): MARPAT 138:55976
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GΙ

USHA SHRESTHA EIC 1600 REM 1A64

AΒ The present invention provides quinazolinediones (shown as I; variables described below; e.g. 7-[(R)-3-((S)-1aminoethyl)pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-5,8-dimethyl-1Hquinazolinedione hydrochloride) and pharmaceutically acceptable salt thereof, that are useful as antibacterial agents. Also disclosed are pharmaceutical compns. comprising ≥1 I, processes for preparing I, and intermediates useful for I. For I: R1 is H, C1-C7 (un) substituted alkyl, C2-C7 (un) substituted alkenyl, C2-C7 (un) substituted alkynyl, C3-C7 (un) substituted cycloalkyl, (un) substituted aryl, (un) substituted heterocyclic, or (un)substituted heteroary1. R2 is H, C(O)Rc, CO2Rc, C(O)NRc (Rc = C1-C7 (un) substituted alkyl, C2-C7 (un) substituted alkenyl, C3-C7 (un) substituted cycloalkyl, (un) substituted aryl, (un) substituted heteroaryl, (un) substituted heterocycloalkyl). R3, R4, and R6 independently = H, OH, (O) nC1-C7 (un) substituted alkyl, (O) nC2-C7 (un) substituted alkenyl, (0) nC2-C7 (un) substituted alkynyl (n = 0, 1), halo, NO2, CN, NRaRb (Ra and Rb independently = H, C1-C7 (un) substituted alkyl, C2-C7 (un) substituted alkenyl, C2-C7 (un) substituted alkynyl, C3-C7 (un) substituted cycloalkyl, C5-C8 (un) substituted cycloalkenyl, (un) substituted aryl, CO2Rc, C(0) SRc, C(0) Rc; C(0) NRdRe (Rd and Re independently = H, C1-C7 (un) substituted alkyl, C2-C7 (un) substituted alkenyl, C3-C7 (un) substituted cycloalkyl, (un) substituted aryl, (un) substituted heteroaryl, (un) substituted heterocycloalkyl), (un) substituted aryl, (un) substituted heteroaryl, (un) substituted heterocycloalkyl, or Ra and Rb taken together with the N to which they are attached form a 4-8 membered ring having 0-3 heteroatoms = N, O, and S, wherein said ring is optionally substituted by ≥1 substituents). R1 and R6 taken together with the atoms to which they are attached form a 5-8 membered ring having 0-3 heteroatoms = N, O, and S, wherein said ring is optionally substituted by ≥1 substituents. R5 is H, C1-C7 (un) substituted alkyl, C2-C7 (un) substituted alkenyl, C2-C7 (un) substituted alkynyl, ORc, C(O)Rc, OC(O)Rc, OCO2Rc, CO2Rc, C(O)SRc, SRc, S(O)Rc, SO2Rc, SO3Rc, SO2F, SO2CF3, C(O)NRdRe, halo, NO2, CN, NRaRb, (un)fused aryl, (un)fused heterocyclic, (un)fused heteroaryl, bicyclic heterocyclic or spiro heterocyclic, wherein fused aryl, fused heterocyclic, fused heteroaryl, bicyclic heterocyclic, or spiro heterocyclic can be substituted; and wherein J and K independently are C or N, provided that when J or K is N, R4 or R6 is absent at that position. Results of antibacterial assays for 10 I are tabulated for several gram neg. and gram pos. bacteria and for E. coli gyrase and compared to results for Ciprofloxacin. In vivo (mouse) median protective doses of 1-cyclopropyl-6-fluoro-8-methyl-7-[(R)-3-((S)-1methylaminoethyl)pyrrolidin-1-yl]-1H-quinazolinedione and 7-[(R)-3-((S)-1-aminoethyl)pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-8-methyl-1H-quinazolinedione hydrochloride (1) against S. pyogenes

are 10.8 and 3.6 mg/kg compared to >100 mg/kg for Ciprofloxacin. Results for antibacterial activity of 3 I against several Ciprofloxacin-resistant E. coli and S. aureus organisms are tabulated. Comparative pharmacokinetic behavior of a quinazolinedione (1) and a 3-aminoquinazolinedione in rats, dogs and monkeys are tabulated. .apprx.70 Example prepns. are included. For example, 1-cyclopropyl-6-fluoro-8-methyl-7-[(R)-3-((S)-1-methylaminoethyl)pyrrolidin-1-yl]-1H-quinazolinedione was prepared from 1-cyclopropyl-6,7-difluoro-8-methyl-1Hquinazolinedione (0.79 mmol) and methyl[(R)-(S)-1-pyrrolidinyl-3ylethyl]amine (2.4 mmol) in DMSO at 80° for 6 h. 479081-63-5P, 7-((S)-3-Aminopyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-1H-pyrido[2,3-d]pyrimidine-2,4-dione hydrochloride 479081-64-6P, 7-[(R)-3-((S)-1-Aminoethyl)pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-1H-pyrido[2,3-d]pyrimidine-2,4-dione hydrochloride (drug candidate; preparation of quinazolinediones as antibacterial agents for quinolone-resistant bacteria) 479081-63-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 7-[(3S)-3-amino-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

TΤ

RN

•x HCl

RN 479081-64-6 HCAPLUS
CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 7-[(3R)-3-[(1S)-1-aminoethyl]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-, hydrochloride
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

●x HCl

Absolute stereochemistry.

RN 479090-00-1 HCAPLUS
CN Carbamic acid, [(1S)-1-[(3R)-1-(1-cyclopropyl-6-fluoro-1,2,3,4-tetrahydro-2,4-dioxopyrido[2,3-d]pyrimidin-7-yl)-3 pyrrolidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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IC
        ICM C07D403-04
                 C07D239-96; C07D471-04; C07D487-04; C07D498-08; C07D487-06;
                 C07D471-06; C07D409-04; C07D495-04; C07D413-04; C07D491-04;
                 A61P031-04
CC
        28-16 (Heterocyclic Compounds (More Than One Hetero
        Atom))
        Section cross-reference(s): 1, 7, 10, 63
IT
        479081-55-5P, 7-((1\alpha, 5\alpha, 6\alpha)-6-Amino-3-
        azabicyclo[3.1.0] hex-3-yl) -6-fluoro-3H-1-(cyclopropylmethyl) -1H-
        quinazoline-2,4-dione hydrochloride
                                                                          479081-56-6P,
        1-Cyclopropyl-6-fluoro-8-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((S)-1-methyl-7-[(R)-3-((R)-1-methyl-7-[(R)-3-((R)-1-methyl-7-[(R)-3-((R)-1-methyl-7-[(R)-3-((R)-1-methyl-7-[(R)-3-((R)-1-methyl-7-[(R)-3-((R)-1-methyl-7-[(R)-3-((R)-1-methyl-7-[(R)-3-((R)-1-methyl-7-[(R)-1-methyl-7-[(R)-3-((R)-1-methyl-7-[(R)-3-((R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl-7-[(R)-1-methyl
        methylaminoethyl)pyrrolidin-1-yl]-1H-quinazoline-2,4-dione
        479081-57-7P, 1-Cyclopropyl-6-fluoro-8-methoxy-7-[(R)-3-((S)-1-
        methylaminoethyl)pyrrolidin-1-yl]-1H-quinazoline-2,4-dione
        479081-59-9P, 1-Cyclopropyl-7-dimethylamino-6-fluoro-8-methyl-1H-
         quinazoline-2,4-dione
                                                    479081-60-2P
                                                                               479081-61-3P,
         7-[3-[1-Amino-1-(2-fluorophenyl)methyl]pyrrolidin-1-yl]-1-
         cyclopropyl-6-fluoro-8-methyl-1H-quinazoline-2,4-dione
                                     479081-62-4P, 1-Cyclopropyl-8-methyl-7-[(R)-3-((S)-
        hydrochloride
         1-methylaminoethyl)pyrrolidin-1-yl]-1H-pyrido[4,3-d]pyrimidine-2,4-
         dione hydrochloride 479081-63-5P, 7-((S)-3-
        Aminopyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-1H-pyrido[2,3-
         d]pyrimidine-2,4-dione hydrochloride 479081-64-6P,
         7-[(R)-3-((S)-1-Aminoethyl)pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-
         1H-pyrido[2,3-d]pyrimidine-2,4-dione hydrochloride
                                                                                                        479081-65-7P,
         7-((S)-3-Aminopyrrolidin-1-yl)-8-fluoro-5-methyl-5,6-
         dihydropyrrolo[3,2,1-i,j]quinazoline-1,3-dione hydrochloride
         479081-66-8P, 7-[(R)-3-((S)-1-Aminoethyl)pyrrolidin-1-yl]-8-fluoro-
         5-methyl-5,6-dihydropyrrolo[3,2,1-i,j]quinazoline-1,3-dione
                                    479081-67-9P, 8-((S)-3-Aminopyrrolidin-1-yl)-9-
        hydrochloride
         fluoro-5-methyl-6,7-dihydropyrido[3,2,1-i,j]quinazoline-1,3-dione
                                     479081-68-0P, 8-[(R)-3-((S)-1-
        hydrochloride
        Aminoethyl)pyrrolidin-1-yl]-9-fluoro-5-methyl-6,7-dihydro-5H-
        pyrido[3,2,1-i,j]quinazoline-1,3-dione hydrochloride
         479081-70-4P, 1-(1-Cyclopropyl-6-fluoro-8-methyl-2,4-dioxo-1,2,3,4-
         tetrahydroquinazolin-7-yl)cyclopropanecarboxylic acid amide
         479081-71-5P, 7-Amino-9-[(R)-3-((S)-1-aminoethyl)pyrrolidin-1-yl]-
         8-fluoro-3-methyl-2,3-dihydro-1-oxa-3a,5-diazaphenalene-4,6-dione
                                     479081-72-6P, 7-[(3AR*,6aS*)-4-
        hydrochloride
        Aminohexahydrocyclopenta[c]pyrrol-2-yl]-1-cyclopropyl-6-fluoro-8-
        methyl-1H-quinazoline-2,4-dione hydrochloride
                                                                                               479081-74-8P,
         7-[3-(1-Amino-2-fluoroethyl)pyrrolidin-1-yl]-1-cyclopropyl-6-
         fluoro-8-methyl-1H-quinazoline-2,4-dione hydrochloride
         479081-75-9P, 7-[3-(Amino(cyclopropyl)methyl)pyrrolidin-1-yl]-1-
         cyclopropyl-6-fluoro-8-methyl-1H-quinazoline-2,4-dione
                                  479081-76-0P, 7-((3R*,4S*)-3-Aminomethyl-4-
        hydrochloride
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fluoromethylpyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-8-methyl-1Hquinazoline-2,4-dione 479081-77-1P, 7-(5-Aminomethylthiophen-3yl)-1-cyclopropyl-6-fluoro-8-methyl-1H-quinazoline-2,4-dione hydrochloride 479081-79-3P, 7-(4-Amino-5,5-difluoro-4,5,6,7tetrahydrobenzo[b]thiophen-2-yl)-1-cyclopropyl-6-fluoro-8-methyl-1H-quinazoline-2,4-dione hydrochloride 479081-80-6P, 7-[4-Amino-5,6-dihydro-4H-cyclopenta[b]thiophen-2-yl]-1cyclopropyl-6-fluoro-8-methyl-1H-quinazoline-2,4-dione hydrochloride 479081-81-7P, 7-[(R)-3-(1-Aminocyclopropyl)pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-8-methyl-1H-quinazoline-2,4-dione hydrochloride 479081-82-8P, 7-(4-Amino-4,5,6,7-tetrahydrobenzo[b]thiophen-7-yl)-1-cyclopropyl-6-fluoro-8-methyl-1H-quinazoline-2,4-dione hydrochloride 479081-83-9P, 1-Cyclopropyl-6-fluoro-8-methyl-7-(7-methyl-4,5,6,7tetrahydrothieno[2,3-c]pyridin-2-yl)-1H-quinazoline-2,4-dione 479081-84-0P, 1-Cyclopropyl-6-fluoro-8-methyl-7-(4-methyl-5,6dihydro-4H-thieno[2,3-c]pyrrol-2-yl)-1H-quinazoline-2,4-dione 479081-85-1P 479081-86-2P, 7-[3-(Amino(oxazol-4hydrochloride yl)methyl)pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-8-methyl-1Hquinazoline-2,4-dione 479081-87-3P, 7-((3R*,4S*)-3-Aminomethyl-4fluoropyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-8-methoxy-1Hquinazoline-2,4-dione hydrochloride 479081-88-4P, 7-(3-Aminohexahydrofuro[2,3-c]pyrrol-5-yl)-1-cyclopropyl-6-fluoro-8-methyl-1H-quinazoline-2,4-dione hydrochloride 479081-89-5P, 7-[4-(1-Aminoethyl)-3,3-dimethylpyrrolidin-1-yl]-1-cyclopropyl-6fluoro-8-methyl-1H-quinazoline-2,4-dione hydrochloride 479081-90-8P, 7-(4-Aminooctahydroisoindol-2-yl)-1-cyclopropyl-6fluoro-8-methyl-1H-quinazoline-2,4-dione hydrochloride 479081-91-9P, 7-[(R)-3-((S)-1-Aminoethyl)pyrrolidin-1-yl]-1cyclopropyl-6-fluoro-8-fluoromethoxy-1H-quinazoline-2,4-dione hydrochloride 479081-92-0P, 7-[(R)-3-((S)-1-Aminoethyl) pyrrolidin-1-yl]-1-cyclopropyl-8-difluoromethyl-6fluoro-1H-quinazoline-2,4-dione hydrochloride 479081-93-1P, 7-[5-(1-Aminocyclopropyl)thiophen-2-yl]-1-cyclopropyl-6-fluoro-8methyl-1H-quinazoline-2,4-dione hydrochloride 479081-94-2P, 7-[(R)-3-(1-Aminocyclopropyl)pyrrolidin-1-yl]-1-cyclopropyl-8difluoromethoxy-6-fluoro-1H-quinazoline-2,4-dione 479081-95-3P, 7-[(R)-3-((S)-1-Aminoethyl)pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-8-difluoromethoxy-1H-quinazoline-2,4-dione hydrochloride 479081-96-4P, 7-[(R)-3-((S)-1-Aminoethyl)pyrrolidin-1-yl]-1cyclopropyl-6-fluoro-5,8-dimethyl-1H-quinazoline-2,4-dione hydrochloride 479081-97-5P, 1-Cyclopropyl-8-difluoromethoxy-7-((R)-1-methyl-2,3-dihydro-1H-isoindol-5-yl)-1H-quinazoline-2,4dione hydrochloride 479081-98-6P, 7-[(R)-3-((S)-1-Aminoethyl)pyrrolidin-1-yl]-1-cyclopropyl-8-difluoromethoxy-1Hquinazoline-2,4-dione hydrochloride 479081-99-7P, 7-[(3R*,4S*)-3-Aminomethyl-4-trifluoromethylpyrrolidin-1-yl]-1cyclopropyl-8-difluoromethoxy-6-fluoro-1H-quinazoline-2,4-dione 479082-00-3P, 7-(3-Aminopiperidin-1-yl)-1hydrochloride cyclopropyl-6-fluoro-8-methoxy-1H-quinazoline-2,4-dione 479082-01-4P, 1-Cyclopropyl-6-fluoro-8-methoxy-7-(octahydropyrrolo[3,4-c]pyridin-2-yl)-1H-quinazoline-2,4-dione 479082-02-5P, 7-((S)-3-Aminopyrrolidin-1-yl)-1-cyclopropyl-6fluoro-8-methyl-1H-quinazoline-2,4-dione 479082-03-6P, 7-(3-Aminopiperidin-1-yl)-1-cyclopropyl-6-fluoro-8-methyl-1Hquinazoline-2,4-dione 479082-04-7P, 1-Cyclopropyl-6-fluoro-8methyl-7-(octahydropyrrolo[3,4-c]pyridin-2-yl)-1H-quinazoline-2,4-479082-05-8P, 7-((S)-3-Aminopyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-8-methoxy-1H-quinazoline-2,4-dione 479082-06-9P, 7-(3-Aminomethyl-3-methylpyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-8-

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479082-07-0P,
methoxy-1H-quinazoline-2,4-dione
7-(3-Aminomethylpyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-8-methoxy-
                          479082-08-1P, 7-[(R)-3-(1-Amino-1-
1H-quinazoline-2,4-dione
methylethyl)pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-8-methoxy-1H-
                       479082-09-2P, 7-(3-Aminomethylpiperidin-1-
quinazoline-2,4-dione
yl)-1-cyclopropyl-6-fluoro-8-methoxy-1H-quinazoline-2,4-dione
479082-10-5P, 7-(3-Aminomethyl-3-benzylpyrrolidin-1-yl)-1-
cyclopropyl-6-fluoro-8-methoxy-1H-quinazoline-2,4-dione
479082-11-6P, 1-Cyclopropyl-6-fluoro-8-methoxy-7-
(octahydropyrrolo[3,4-b]pyridin-6-yl)-1H-quinazoline-2,4-dione
479082-12-7P, 7-(1-Amino-5-azaspiro[2.4]hept-5-yl)-1-cyclopropyl-6-
fluoro-8-methoxy-1H-quinazoline-2,4-dione 479082-13-8P,
7-(3-Aminomethyl-3-methylpyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-8-
                                 479082-14-9P,
methyl-1H-quinazoline-2,4-dione
7-[(R)-3-(1-Amino-1-methylethyl)pyrrolidin-1-yl]-1-cyclopropyl-6-
fluoro-8-methyl-1H-quinazoline-2,4-dione
                                          479082-15-0P,
1-Cyclopropyl-6-fluoro-8-methyl-7-(octahydropyrrolo[3,4-b]pyridin-
6-yl)-1H-quinazoline-2,4-dione 479082-16-1P,
7-(3a-Aminomethyloctahydroisoindol-2-yl)-1-cyclopropyl-6-fluoro-8-
methyl-1H-quinazoline-2,4-dione
                                479082-17-2P,
7-((3R*,4S*)-3-Amino-4-fluoromethylpyrrolidin-1-yl)-1-cyclopropyl-
6-fluoro-8-methoxy-1H-quinazoline-2,4-dione
                                             479082-18-3P,
1-Cyclopropyl-7-[(3R)-3-(1-ethylaminoethyl)pyrrolidin-1-yl]-6-
fluoro-8-methoxy-1H-quinazoline-2,4-dione 479082-19-4P,
7-(3a-Aminomethyloctahydroisoindol-2-yl)-1-cyclopropyl-6-fluoro-8-
methoxy-1H-quinazoline-2,4-dione
                                  479082-20-7P,
7-((3R*,4S*)-3-Amino-4-fluoromethylpyrrolidin-1-yl)-1-cyclopropyl-
6-fluoro-8-methyl-1H-quinazoline-2,4-dione 479082-21-8P,
1-Cyclopropyl-7-[(R)-3-((S)-1-ethylaminoethyl)pyrrolidin-1-yl]-6-
fluoro-8-methyl-1H-quinazoline-2,4-dione 479082-22-9P,
7-[(R)-3-((S)-1-Aminoethyl)pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-
8-methoxy-5-methyl-1H-quinazoline-2,4-dione hydrochloride
479082-23-0P, 7-[3-(Cyclopropylamino)-4-trifluoromethylpyrrolidin-
1-yl]-1-cyclopropyl-6-fluoro-8-methoxy-5-methyl-1H-quinazoline-2,4-
        479082-24-1P, 1-Cyclopropyl-6-fluoro-7-(3-
hydroxymethylpyrrolidin-1-yl)-8-methoxy-5-methyl-1H-quinazoline-
            479082-25-2P, 1-Cyclopropyl-6-fluoro-8-methoxy-5-
                                                    479082-26-3P,
methyl-7-pyrrolidin-1-yl-1H-quinazoline-2,4-dione
7-(3-Aminopyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-8-methoxy-5-
methyl-1H-quinazoline-2,4-dione
                                 479082-27-4P,
7-[3-(2-Amino-1-hydroxyethyl)pyrrolidin-1-yl]-1-cyclopropyl-6-
fluoro-8-methoxy-5-methyl-1H-quinazoline-2,4-dione 479082-28-5P,
7-[3-(2-Amino-1-hydroxyethyl)-4-fluoropyrrolidin-1-yl]-1-
cyclopropyl-6-fluoro-8-methoxy-5-methyl-1H-quinazoline-2,4-dione
479082-29-6P, 1-Cyclopropyl-6-fluoro-8-methoxy-5-methyl-7-
morpholin-4-yl-1H-quinazoline-2,4-dione
                                         479082-30-9P,
1-Cyclopropyl-6-fluoro-8-methoxy-5-methyl-7-piperazin-1-yl-1H-
quinazoline-2,4-dione
                        479082-31-0P, 1-Cyclopropyl-7-[3-[(2,4-
difluorophenyl)hydroxýmethyl]-4-fluoropyrrolidin-1-yl]-6-fluoro-8-
methoxy-5-methyl-1H-quinazoline-2,4-dione
                                            479082-32-1P,
1-Cyclopropyl-7-[3-[(4-fluorophenyl)hydroxymethyl]-4-
fluoropyrrolidin-1-yl]-6-fluoro-8-methoxy-5-methyl-1H-quinazoline-
            479082-33-2P, 1-Cyclopropyl-6-fluoro-7-(4-
2,4-dione
hydroxyoctahydroisoindol-2-yl)-8-methoxy-5-methyl-1H-quinazoline-
            479082-34-3P, 1-Cyclopropyl-6-fluoro-7-[4-
hydroxyhexahydrocyclopenta[c]pyrrol-2-yl]-8-methoxy-5-methyl-1H-
                        479082-35-4P, 5-Amino-7-[3-
quinazoline-2,4-dione
(cyclopropylamino) -4-trifluoromethylpyrrolidin-1-yl]-1-cyclopropyl-
6-fluoro-8-methoxy-1H-quinazoline-2,4-dione
                                             479082-36-5P,
5-Amino-1-cyclopropyl-6-fluoro-7-(3-hydroxymethylpyrrolidin-1-yl)-
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8-methoxy-1H-quinazoline-2,4-dione 479082-37-6P,
5-Amino-1-cyclopropyl-6-fluoro-8-methoxy-7-pyrrolidin-1-yl-1H-
quinazoline-2,4-dione 479082-38-7P, 5-Amino-7-(3-aminopyrrolidin-
1-yl)-1-cyclopropyl-6-fluoro-8-methoxy-1H-quinazoline-2,4-dione
479082-39-8P, 5-Amino-7-[3-(2-amino-1-hydroxyethyl)pyrrolidin-1-
yl]-1-cyclopropyl-6-fluoro-8-methoxy-1H-quinazoline-2,4-dione
479082-40-1P, 5-Amino-7-[3-(2-amino-1-hydroxyethyl)-4-
fluoropyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-8-methoxy-1H-
quinazoline-2,4-dione
                       479082-41-2P, 5-Amino-1-cyclopropyl-6-
fluoro-8-methoxy-7-morpholin-4-yl-1H-quinazoline-2,4-dione
479082-42-3P, 5-Amino-1-cyclopropyl-6-fluoro-8-methoxy-7-piperazin-
1-yl-1H-quinazoline-2,4-dione 479082-43-4P, 5-Amino-1-
cyclopropyl-7-[3-[(2,4-difluorophenyl)hydroxymethyl]-4-
fluoropyrrolidin-1-yl]-6-fluoro-8-methoxy-1H-quinazoline-2,4-dione
479082-44-5P, 5-Amino-1-cyclopropyl-7-[3-[(4-
fluorophenyl)hydroxymethyl]-4-fluoropyrrolidin-1-yl]-6-fluoro-8-
methoxy-1H-quinazoline-2,4-dione 479082-45-6P,
5-Amino-1-cyclopropyl-6-fluoro-7-(4-hydroxyoctahydroisoindol-2-yl)-
8-methoxy-1H-quinazoline-2,4-dione 479082-47-8P,
5-Amino-1-cyclopropyl-6-fluoro-7-[4-hydroxyhexahydrocyclopenta[c]p
yrrol-2-yl]-8-methoxy-1H-quinazoline-2,4-dione 479082-48-9P,
7-[3-(Cyclopropylamino)-4-trifluoromethylpyrrolidin-1-yl]-1-
cyclopropyl-6-fluoro-5-hydroxy-8-methoxy-1H-quinazoline-2,4-dione
479082-49-0P, 1-Cyclopropyl-6-fluoro-7-(3-hydroxymethylpyrrolidin-
1-yl)-5-hydroxy-8-methoxy-1H-quinazoline-2,4-dione 479082-50-3P,
1-Cyclopropyl-6-fluoro-5-hydroxy-8-methoxy-7-pyrrolidin-1-yl-1H-
quinazoline-2,4-dione 479082-51-4P, 7-(3-Aminopyrrolidin-1-yl)-1-
cyclopropyl-6-fluoro-5-hydroxy-8-methoxy-1H-quinazoline-2,4-dione
479082-52-5P, 7-[3-(2-Amino-1-hydroxyethyl)pyrrolidin-1-yl]-1-
cyclopropyl-6-fluoro-5-hydroxy-8-methoxy-1H-quinazoline-2,4-dione
479082-53-6P, 7-[3-(2-Amino-1-hydroxyethyl)-4-fluoropyrrolidin-1-
yl]-1-cyclopropyl-6-fluoro-5-hydroxy-8-methoxy-1H-quinazoline-2,4-
        479082-54-7P, 1-Cyclopropyl-6-fluoro-5-hydroxy-8-methoxy-7-
morpholin-4-yl-1H-quinazoline-2,4-dione 479082-55-8P,
1-Cyclopropyl-6-fluoro-5-hydroxy-8-methoxy-7-piperazin-1-yl-1H-
quinazoline-2,4-dione 479082-56-9P, 1-Cyclopropyl-7-[3-[(2,4-
difluorophenyl)hydroxymethyl]-4-fluoropyrrolidin-1-yl]-6-fluoro-5-
hydroxy-8-methoxy-1H-quinazoline-2,4-dione 479082-57-0P,
1-Cyclopropyl-7-[3-[(4-fluorophenyl)hydroxymethyl]-4-
fluoropyrrolidin-1-yl]-6-fluoro-5-hydroxy-8-methoxy-1H-quinazoline-
            479082-58-1P, 1-Cyclopropyl-6-fluoro-7-(4-
2,4-dione
hydroxyoctahydroisoindol-2-yl)-5-hydroxy-8-methoxy-1H-quinazoline-
           479082-59-2P, 1-Cyclopropyl-6-fluoro-7-[4-
2,4-dione
hydroxyhexahydrocyclopenta[c]pyrrol-2-y1]-5-hydroxy-8-methoxy-1H-
quinazoline-2,4-dione 479082-60-5P, 7-[3-(1-Aminocyclopropyl)-4-
trifluoromethylpyrrolidin-1-yl]-1-cyclopropyl-5-difluoromethyl-6-
fluoro-8-methoxy-1H-quinazoline-2,4-dione
                                           479082-61-6P,
1-Cyclopropyl-5-difluoromethyl-6-fluoro-7-(3-
hydroxymethylpyrrolidin-1-yl)-8-methoxy-1H-quinazoline-2,4-dione
479082-62-7P, 7-(3-Aminopyrrolidin-1-yl)-1-cyclopropyl-5-
difluoromethyl-6-fluoro-8-methoxy-1H-quinazoline-2,4-dione
479082-63-8P, 7-[3-(1-Amino-2-hydroxyethyl)-4-fluoropyrrolidin-1-
yl]-1-cyclopropyl-5-difluoromethyl-6-fluoro-8-methoxy-1H-
quinazoline-2,4-dione 479082-64-9P, 1-Cyclopropyl-5-
difluoromethyl-6-fluoro-8-methoxy-7-pyrrolidin-1-yl-1H-quinazoline-
           479082-65-0P, 7-[3-(2-Amino-1-hydroxyethyl)pyrrolidin-
2,4-dione
1-yl]-1-cyclopropyl-5-difluoromethyl-6-fluoro-8-methoxy-1H-
quinazoline-2,4-dione 479082-66-1P, 1-Cyclopropyl-5-
difluoromethyl-6-fluoro-8-methoxy-7-piperazin-1-yl-1H-quinazoline-
          479082-67-2P, 1-Cyclopropyl-5-difluoromethyl-6-fluoro-
2,4-dione
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let in the

8-methoxy-7-morpholin-4-yl-1H-quinazoline-2,4-dione 479082-68-3P, 1-Cyclopropy1-5-difluoromethyl-6-fluoro-7-[3-fluoro-4-[(4-fluorophenyl)hydroxymethyl]pyrrolidin-1-yl]-8-methoxy-1Hquinazoline-2,4-dione 479082-69-4P, 1-Cyclopropyl-5difluoromethyl-7-[3-[(2,4-difluorophenyl)hydroxymethyl]-4fluoropyrrolidin-1-yl]-6-fluoro-8-methoxy-1H-quinazoline-2,4-dione 479082-70-7P, 1-Cyclopropyl-5-difluoromethyl-6-fluoro-7-(4hydroxyoctahydroisoindol-2-yl)-8-methoxy-1H-quinazoline-2,4-dione 479082-71-8P, 1-Cyclopropyl-5-difluoromethyl-6-fluoro-7-[4hydroxyhexahydrocyclopenta[c]pyrrol-2-yl]-8-methoxy-1H-quinazoline-479082-72-9P, 7-[4-Aminooctahydrocyclohepta[c]pyrrol-2yl]-1-cyclopropyl-5-difluoromethyl-6-fluoro-8-methoxy-1Hquinazoline-2,4-dione 479082-73-0P, 1-Cyclopropyl-6-fluoro-7-(3hydroxymethylpyrrolidin-1-yl)-5,8-dimethyl-1H-quinazoline-2,4-479082-74-1P, 7-(3-Aminopyrrolidin-1-yl)-1-cyclopropyl-6fluoro-5,8-dimethyl-1H-quinazoline-2,4-dione 479082-75-2P, 7-[3-(1-Amino-2-hydroxyethyl)-4-fluoropyrrolidin-1-yl]-1cyclopropyl-6-fluoro-5,8-dimethyl-1H-quinazoline-2,4-dione 479082-76-3P, 1-Cyclopropyl-6-fluoro-5,8-dimethyl-7-pyrrolidin-1yl-1H-quinazoline-2,4-dione 479082-77-4P, 7-[3-(2-Amino-1hydroxyethyl)pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-5,8-dimethyl-1H-quinazoline-2,4-dione 479082-78-5P, 1-Cyclopropyl-6-fluoro-5,8-dimethyl-7-piperazin-1-yl-1H-quinazoline-2,4-dione 479082-79-6P, 1-Cyclopropyl-6-fluoro-5,8-dimethyl-7-morpholin-4-yl-479082-80-9P, 1-Cyclopropyl-6-fluoro-7-1H-quinazoline-2,4-dione [3-fluoro-4-[(4-fluorophenyl)hydroxymethyl]pyrrolidin-1-yl]-5,8dimethyl-1H-quinazoline-2,4-dione 479082-81-0P, 1-Cyclopropyl-7-[3-[(2,4-difluorophenyl)hydroxymethyl]-4fluoropyrrolidin-1-yl]-6-fluoro-5,8-dimethyl-1H-quinazoline-2,4-479082-82-1P, 1-Cyclopropyl-6-fluoro-7-(4hydroxyoctahydroisoindol-2-yl)-5,8-dimethyl-1H-quinazoline-2,4-479082-83-2P, 1-Cyclopropyl-6-fluoro-7-[4hydroxyhexahydrocyclopenta[c]pyrrol-2-yl]-5,8-dimethyl-1Hquinazoline-2,4-dione 479082-84-3P, 1-Cyclopropyl-6-fluoro-7-[4hydroxyoctahydrocyclohepta[c]pyrrol-2-yl]-5,8-dimethyl-1Hquinazoline-2,4-dione 479082-85-4P, 7-[3-(1-Aminocyclopropyl)-4trifluoromethylpyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-5-methoxy-8methyl-1H-quinazoline-2,4-dione 479082-86-5P, 1-Cyclopropyl-6-fluoro-7-(3-hydroxymethylpyrrolidin-1-yl)-5methoxy-8-methyl-1H-quinazoline-2,4-dione 479082-87-6P, 7-(3-Aminopyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-5-methoxy-8methyl-1H-quinazoline-2,4-dione 479082-88-7P, 7-[3-(1-Amino-2-hydroxyethyl)-4-fluoropyrrolidin-1-yl]-1cyclopropyl-6-fluoro-5-methoxy-8-methyl-1H-quinazoline-2,4-dione 479082-89-8P, 1-Cyclopropyl-6-fluoro-5-methoxy-8-methyl-7pyrrolidin-1-yl-1H-quinazoline-2,4-dione 479082-90-1P, 7-[3-(2-Amino-1-hydroxyethyl)pyrrolidin-1-yl]-1-cyclopropyl-6fluoro-5-methoxy-8-methyl-1H-quinazoline-2,4-dione 479082-91-2P, 1-Cyclopropyl-6-fluoro-5-methoxy-8-methyl-7-piperazin-1-yl-1Hquinazoline-2,4-dione 479082-92-3P, 1-Cyclopropyl-6-fluoro-5methoxy-8-methyl-7-morpholin-4-yl-1H-quinazoline-2,4-dione 479082-93-4P, 1-Cyclopropyl-6-fluoro-7-[3-fluoro-4-[(4fluorophenyl) hydroxymethyl] pyrrolidin-1-yl] -5-methoxy-8-methyl-1H-479082-94-5P, 1-Cyclopropyl-7-[3-[(2,4quinazoline-2,4-dione difluorophenyl)hydroxymethyl]-4-fluoropyrrolidin-1-yl]-6-fluoro-5methoxy-8-methyl-1H-quinazoline-2,4-dione 479082-95-6P, 1-Cyclopropyl-6-fluoro-7-(4-hydroxyoctahydroisoindol-2-yl)-5methoxy-8-methyl-1H-quinazoline-2,4-dione 479082-96-7P, 1-Cyclopropyl-6-fluoro-7-[4-hydroxyhexahydrocyclopenta[c]pyrrol-2yl]-5-methoxy-8-methyl-1H-quinazoline-2,4-dione 479082-97-8P,

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1-Cyclopropyl-6-fluoro-7-[4-hydroxyoctahydrocyclohepta[c]pyrrol-2-
   yl]-5-methoxy-8-methyl-1H-quinazoline-2,4-dione 479082-98-9P,
   7-(3-Aminomethylpyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-8-methoxy-
   5-methyl-1H-quinazoline-2,4-dione 479082-99-0P,
   7-[3-(1-Aminocyclopropyl)pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-8-
   methoxy-5-methyl-1H-quinazoline-2,4-dione 479083-00-6P,
   7-[3-(1-Amino-1-methylethyl)pyrrolidin-1-yl]-1-cyclopropyl-6-
   fluoro-8-methoxy-5-methyl-1H-quinazoline-2,4-dione
                                                       479083-01-7P,
   7-[3-(1-Amino-2-fluoroethyl)pyrrolidin-1-yl]-1-cyclopropyl-6-
   fluoro-8-methoxy-5-methyl-1H-quinazoline-2,4-dione 479083-02-8P,
   7-[3-(1-Amino-2,2-difluoroethyl)pyrrolidin-1-yl]-1-cyclopropyl-6-
   fluoro-8-methoxy-5-methyl-1H-quinazoline-2,4-dione 479083-03-9P,
   7-[3-(1-Amino-2,2,2-trifluoroethyl)pyrrolidin-1-yl]-1-cyclopropyl-
   6-fluoro-8-methoxy-5-methyl-1H-quinazoline-2,4-dione
   479083-04-0P, 7-[3-(1-Aminoethyl)-4-fluoropyrrolidin-1-yl]-1-
   cyclopropyl-6-fluoro-8-methoxy-5-methyl-1H-quinazoline-2,4-dione
   479083-05-1P, 7-[3-[Amino(2,6-difluorophenyl)methyl]pyrrolidin-1-
   yl]-1-cyclopropyl-6-fluoro-8-methoxy-5-methyl-1H-quinazoline-2,4-
           479083-06-2P,\ 7-(3-Aminomethyl-4-fluoromethylpyrrolidin-1-1)
   yl)-1-cyclopropyl-6-fluoro-8-methoxy-5-methyl-1H-quinazoline-2,4-
          479083-07-3P, 7-{3-(Amino(thiazol-2-yl)methyl)pyrrolidin-1-
   dione
   yl]-1-cyclopropyl-6-fluoro-8-methoxy-5-methyl-1H-quinazoline-2,4-
   dione 479083-08-4P, 7-[3-(Amino(cyclopropyl)methyl)pyrrolidin-1-
   yl]-1-cyclopropyl-6-fluoro-8-methoxy-5-methyl-1H-quinazoline-2,4-
          479083-09-5P, 7-(4-Aminooctahydroisoindol-2-yl)-1-
   cyclopropyl-6-fluoro-8-methoxy-5-methyl-1H-quinazoline-2,4-dione
   479083-11-9P, 7-[4-Aminooctahydrocyclohepta[c]pyrrol-2-yl]-1-
   cyclopropyl-6-fluoro-8-methoxy-5-methyl-1H-quinazoline-2,4-dione
   479083-12-0P, 1-Cyclopropyl-6-fluoro-7-[3-(1-
   hydroxycyclopropyl)pyrrolidin-1-yl]-8-methoxy-5-methyl-1H-
   quinazoline-2,4-dione 479083-13-1P, 7-[4-
   Aminohexahydrocyclopenta[c]pyrrol-2-yl]-1-cyclopropyl-6-fluoro-8-
   methoxy-5-methyl-1H-quinazoline-2,4-dione 479083-14-2P,
   7-[3-(Amino(oxazol-4-yl)methyl)pyrrolidin-1-yl]-1-cyclopropyl-6-
   fluoro-8-methoxy-5-methyl-1H-quinazoline-2,4-dione 479083-15-3P,
   5-Amino-7-(3-aminomethylpyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-8-
   methoxy-1H-quinazoline-2,4-dione 479083-16-4P,
   5-Amino-7-[3-(1-aminocyclopropyl)pyrrolidin-1-yl]-1-cyclopropyl-6-
   fluoro-8-methoxy-1H-quinazoline-2,4-dione 479083-17-5P
5-Amino-7-[3-(1-amino-1-methylethyl)pyrrolidin-1-yl]-1-cyclopropyl-6-
   fluoro-8-methoxy-1H-quinazoline-2,4-dione 479083-18-6P,
   5-Amino-7-[3-(1-amino-2-fluoroethyl)pyrrolidin-1-yl]-1-cyclopropyl-
   6-fluoro-8-methoxy-1H-quinazoline-2,4-dione
                                                479083-19-7P,
   5-Amino-7-[3-(1-amino-2,2-difluoroethyl)pyrrolidin-1-yl]-1-
   cyclopropyl-6-fluoro-8-methoxy-1H-quinazoline-2,4-dione
   479083-20-0P, 5-Amino-7-[3-(1-amino-2,2,2-
   trifluoroethyl)pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-8-methoxy-
   1H-quinazoline-2,4-dione 479083-21-1P, 5-Amino-7-[3-(1-
   aminoethyl)-4-fluoropyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-8-
   methoxy-1H-quinazoline-2,4-dione 479083-22-2P,
   5-Amino-7-[3-[amino(2,6-difluorophenyl)methyl]pyrrolidin-1-yl]-1-
   cyclopropyl-6-fluoro-8-methoxy-1H-quinazoline-2,4-dione
   479083-23-3P, 5-Amino-7-(3-aminomethyl-4-fluoromethylpyrrolidin-1-
   yl)-1-cyclopropyl-6-fluoro-8-methoxy-1H-quinazoline-2,4-dione
   479083-24-4P, 5-Amino-7-[3-(amino(thiazol-2-yl)methyl)pyrrolidin-1-
   yl]-1-cyclopropyl-6-fluoro-8-methoxy-1H-quinazoline-2,4-dione
   479083-25-5P, 5-Amino-7-[3-(amino(cyclopropyl)methyl)pyrrolidin-1-
   yl]-1-cyclopropyl-6-fluoro-8-methoxy-1H-quinazoline-2,4-dione
   479083-26-6P, 5-Amino-7-(4-aminooctahydroisoindol-2-yl)-1-
   cyclopropyl-6-fluoro-8-methoxy-1H-quinazoline-2,4-dione
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479083-27-7P, 5-Amino-7-[4-aminooctahydrocyclohepta[c]pyrrol-2-yl]-1-cyclopropyl-6-fluoro-8-methoxy-1H-quinazoline-2,4-dione 479083-28-8P, 5-Amino-1-cyclopropyl-6-fluoro-7-[3-(1hydroxycyclopropyl)pyrrolidin-1-yl]-8-methoxy-1H-quinazoline-2,4-479083-29-9P, 5-Amino-7-[4-aminohexahydrocyclopenta[c]pyrr ol-2-yl]-1-cyclopropyl-6-fluoro-8-methoxy-1H-quinazoline-2,4-dione 479083-30-2P, 5-Amino-7-[3-(amino(oxazol-4-yl)methyl)pyrrolidin-1yl]-1-cyclopropyl-6-fluoro-8-methoxy-1H-quinazoline-2,4-dione 479083-31-3P, 7-(3-Aminomethylpyrrolidin-1-yl)-1-cyclopropyl-5difluoromethyl-6-fluoro-8-methyl-1H-quinazoline-2,4-dione 479083-32-4P, 7-[3-(1-Aminocyclopropyl)pyrrolidin-1-yl]-1cyclopropyl-5-difluoromethyl-6-fluoro-8-methyl-1H-quinazoline-2,4-479083-33-5P, 7-[3-(1-Amino-1-methylethyl)pyrrolidin-1-yl]-1-cyclopropyl-5-difluoromethyl-6-fluoro-8-methyl-1H-quinazoline-479083-34-6P, 7-[3-(1-Amino-2-fluoroethyl)pyrrolidin-1-2,4-dione yl]-1-cyclopropyl-5-difluoromethyl-6-fluoro-8-methyl-1H-479083-35-7P, 7-[3-(1-Amino-2,2quinazoline-2,4-dione difluoroethyl)pyrrolidin-1-yl]-1-cyclopropyl-5-difluoromethyl-6fluoro-8-methyl-1H-quinazoline-2,4-dione 479083-36-8P, 7-[3-(1-Amino-2,2,2-trifluoroethyl)pyrrolidin-1-yl]-1-cyclopropyl-5-difluoromethyl-6-fluoro-8-methyl-1H-quinazoline-2,4-dione 479083-37-9P, 7-[3-(1-Aminoethyl)-4-fluoropyrrolidin-1-yl]-1cyclopropyl-5-difluoromethyl-6-fluoro-8-methyl-1H-quinazoline-2,4-479083-39-1P, 7-(3-Aminomethyl-4dione 479083-38-0P fluoromethylpyrrolidin-1-yl)-1-cyclopropyl-5-difluoromethyl-6fluoro-8-methyl-1H-quinazoline-2,4-dione 479083-40-4P, 7-[3-(Amino(thiazol-2-yl)methyl)pyrrolidin-1-yl]-1-cyclopropyl-5difluoromethyl-6-fluoro-8-methyl-1H-quinazoline-2,4-dione 479083-41-5P, 7-[3-(Amino(cyclopropyl)methyl)pyrrolidin-1-yl]-1cyclopropyl-5-difluoromethyl-6-fluoro-8-methyl-1H-quinazoline-2,4-479083-42-6P, 1-Cyclopropyl-5-difluoromethyl-6-fluoro-7-[3-(1-hydroxycyclopropyl)pyrrolidin-1-yl]-8-methyl-1H-quinazoline-2,4-479083-43-7P, 7-[3-(Amino(oxazol-4-yl)methyl)pyrrolidin-1yl]-1-cyclopropyl-5-difluoromethyl-6-fluoro-8-methyl-1Hquinazoline-2,4-dione 479083-44-8P, 7-(3-Aminomethylpyrrolidin-1yl)-1-cyclopropyl-6-fluoro-5,8-dimethyl-1H-quinazoline-2,4-dione 479083-45-9P, 7-[3-(1-Aminocyclopropyl)pyrrolidin-1-yl]-1cyclopropyl-6-fluoro-5,8-dimethyl-1H-quinazoline-2,4-dione 479083-46-0P, 7-[3-(1-Amino-2-fluoroethyl)pyrrolidin-1-yl]-1cyclopropyl-6-fluoro-5,8-dimethyl-1H-quinazoline-2,4-dione 479083-47-1P, 7-[3-(1-Amino-2,2-difluoroethyl)pyrrolidin-1-yl]-1cyclopropyl-6-fluoro-5,8-dimethyl-1H-quinazoline-2,4-dione 479083-48-2P, 7-[3-(1-Amino-2,2,2-trifluoroethyl)pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-5,8-dimethyl-1H-quinazoline-2,4-dione 479083-49-3P, 1-Cyclopropyl-7-[3-[(2,6difluorophenyl)hydroxymethyl]pyrrolidin-1-yl]-6-fluoro-5,8dimethyl-1H-quinazoline-2,4-dione 479083-50-6P, 7-(3-Aminomethyl-4-fluoromethylpyrrolidin-1-yl)-1-cyclopropyl-6fluoro-5,8-dimethyl-1H-quinazoline-2,4-dione 479083-51-7P, 7-[3-(Amino(thiazol-2-yl)methyl)pyrrolidin-1-yl]-1-cyclopropyl-6fluoro-5,8-dimethyl-1H-quinazoline-2,4-dione 479083-52-8P, 7-[3-(Amino(cyclopropyl)methyl)pyrrolidin-1-yl]-1-cyclopropyl-6fluoro-5,8-dimethyl-1H-quinazoline-2,4-dione 479083-53-9P, 1-Cyclopropyl-6-fluoro-7-[3-(1-hydroxycyclopropyl)pyrrolidin-1-yl]-5,8-dimethyl-1H-quinazoline-2,4-dione 479083-54-0P, 7-[3-(Amino(oxazol-4-yl)methyl)pyrrolidin-1-yl]-1-cyclopropyl-6fluoro-5,8-dimethyl-1H-quinazoline-2,4-dione 479083-55-1P, 5-Amino-7-(3-aminomethylpyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-8methyl-1H-quinazoline-2,4-dione 479083-56-2P, 5-Amino-7-[3-(1-aminocyclopropyl)pyrrolidin-1-yl]-1-cyclopropyl-6-

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fluoro-8-methyl-1H-quinazoline-2,4-dione
                                           479083-57-3P,
5-Amino-7-[3-(1-amino-1-methylethyl)pyrrolidin-1-yl]-1-cyclopropyl-
6-fluoro-8-methyl-1H-quinazoline-2,4-dione 479083-58-4P,
5-Amino-7-[3-(1-amino-2,2,2-trifluoroethyl)pyrrolidin-1-yl]-1-
cyclopropyl-6-fluoro-8-methyl-1H-quinazoline-2,4-dione
479083-59-5P, 5-Amino-7-[3-(1-amino-2-fluoroethyl)pyrrolidin-1-yl]-
1-cyclopropyl-6-fluoro-8-methyl-1H-quinazoline-2,4-dione
479083-60-8P, 5-Amino-7-[3-(1-aminoethyl)-4-fluoropyrrolidin-1-yl]-
1-cyclopropyl-6-fluoro-8-methyl-1H-quinazoline-2,4-dione
479083-61-9P, 5-Amino-7-[3-(1-amino-2,2-difluoroethyl)pyrrolidin-1-
yl]-1-cyclopropyl-6-fluoro-8-methyl-1H-quinazoline-2,4-dione
479083-62-0P, 5-Amino-1-cyclopropyl-7-[3-[(2,6-
difluorophenyl) hydroxymethyl]pyrrolidin-1-yl]-6-fluoro-8-methyl-1H-
quinazoline-2,4-dione 479083-63-1P, 5-Amino-7-(3-aminomethyl-4-
fluoromethylpyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-8-methyl-1H-
quinazoline-2,4-dione 479083-64-2P, 5-Amino-7-[3-(amino(thiazol-
2-yl)methyl)pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-8-methyl-1H-
quinazoline-2,4-dione 479083-65-3P, 5-Amino-1-cyclopropyl-6-
fluoro-7-[3-(1-hydroxycyclopropyl)pyrrolidin-1-yl]-8-methyl-1H-
quinazoline-2,4-dione 479083-66-4P, 5-Amino-7-[3-(amino(oxazol-4-
yl) methyl) pyrrolidin-1-yl] -1-cyclopropyl-6-fluoro-8-methyl-1H-
quinazoline-2,4-dione 479083-67-5P, 7-[3-(1-Amino-2-
fluoroethyl)pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-5-hydroxy-8-
methyl-1H-quinazoline-2,4-dione
                                479083-68-6P,
7-[3-(1-Amino-2,2-difluoroethyl)pyrrolidin-1-yl]-1-cyclopropyl-6-
fluoro-5-hydroxy-8-methyl-1H-quinazoline-2,4-dione 479083-69-7P,
7-[3-(1-Amino-2,2,2-trifluoroethyl)pyrrolidin-1-yl]-1-cyclopropyl-
6-fluoro-5-hydroxy-8-methyl-1H-quinazoline-2,4-dione
479083-70-0P, 7-[3-(Amino(thiazol-2-yl)methyl)pyrrolidin-1-yl]-1-
cyclopropyl-6-fluoro-5-hydroxy-8-methyl-1H-quinazoline-2,4-dione
479083-71-1P, 1-Cyclopropyl-6-fluoro-5-hydroxy-7-[3-(1-
hydroxycyclopropyl)pyrrolidin-1-yl]-8-methyl-1H-quinazoline-2,4-
       479083-72-2P, 7-[3-(Amino(oxazol-4-yl)methyl)pyrrolidin-1-
yl]-1-cyclopropyl-6-fluoro-5-hydroxy-8-methyl-1H-quinazoline-2,4-
       479083-73-3P, 7-[3-(1-Aminocyclopropyl)pyrrolidin-1-yl]-1-
cyclopropyl-6-fluoro-5-methoxy-8-methyl-1H-quinazoline-2,4-dione
479083-74-4P, 7-[3-(1-Amino-2-fluoroethyl)pyrrolidin-1-yl]-1-
cyclopropyl-6-fluoro-5-methoxy-8-methyl-1H-quinazoline-2,4-dione
479083-75-5P, 7-(3-Aminomethylpyrrolidin-1-yl)-1-cyclopropyl-6-
fluoro-5-methoxy-8-methyl-1H-quinazoline-2,4-dione
                                                   479083-76-6P,
7-[3-(1-Amino-2,2-difluoroethyl)pyrrolidin-1-yl]-1-cyclopropyl-6-
fluoro-5-methoxy-8-methyl-1H-quinazoline-2,4-dione
                                                   479083-77-7P,
7-[3-(1-Amino-2,2,2-trifluoroethyl)pyrrolidin-1-yl]-1-cyclopropyl-
6-fluoro-5-methoxy-8-methyl-1H-quinazoline-2,4-dione
479083-78-8P, 7-[3-(1-Aminoethyl)-4-fluoropyrrolidin-1-yl]-1-
cyclopropyl-6-fluoro-5-methoxy-8-methyl-1H-quinazoline-2,4-dione
   (drug candidate; preparation of quinazolinediones as antibacterial
   agents for quinolone-resistant bacteria)
59227-67-7P, 1-(5-Bromothiophen-3-yl)ethanone
                                                108046-24-8P
137234-92-5P, 2,4,5-Trifluoro-3-hydroxybenzoic acid methyl ester
162959-93-5P, 1-Thiophen-2-ylcyclopropanecarbonitrile
162959-94-6P, 1-Thiophen-2-ylcyclopropanecarboxylic acid
178444-98-9P, 4-Bromo-2,5-difluoro-3-methylbenzoic acid
195048-70-5P, 3-Difluoromethyl-2,4,5-trifluorobenzoic acid
208166-53-4P, 4-Amino-2,5-difluoro-3-methylbenzoic acid methyl
       343929-41-9P, 5,6-Dihydrocyclopenta[b]thiophen-4-one oxime
405141-89-1P, 7-Methyl-6-trityl-4,5,6,7-tetrahydrothieno[2,3-
c]pyridine 405142-42-9P, (1-Thiophen-2-ylcyclopropyl)carbamic
acid tert-butyl ester 477700-40-6P, (R)-1-Pyrrolidin-3-
ylcyclopropylamine 477700-56-4P, 4-(Oxazole-4-carbonyl)-1-((S)-1-
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phenylethyl)pyrrolidin-2-one 477700-58-6P, 4-(Benzyloxyiminooxazol-4-ylmethyl)-1-((S)-1-phenylethyl)pyrrolidin-477700-59-7P, C-Oxazol-4-yl-C-[1-((S)-1phenylethyl)pyrrolidin-3-yl]methylamine 477700-60-0P, C-Oxazol-4-yl-C-pyrrolidin-3-ylmethylamine 477700-69-9P, 1-Benzyl-3-(2-bromoacetyl)pyrrolidin-2-one 477700-70-2P, 1-Benzyl-3-(2-fluoroacetyl)pyrrolidin-2-one 477700-71-3P, 1-Benzyl-3-(1-benzylamino-2-fluoroethyl)pyrrolidin-2-one 477700-72-4P, Benzyl[1-(1-benzylpyrrolidin-3-yl)-2-477700-73-5P, 2-Fluoro-1-pyrrolidin-3fluoroethyl]amine 479089-75-3P, 2-Amino-4,5-difluoro-Nylethylamine methoxybenzamide 479089-76-4P, 6,7-Difluoro-3-methoxy-1H-479089-77-5P, 6,7-Difluoro-3-methoxy-1quinazoline-2,4-dione (cyclopropylmethyl) -1H-quinazoline-2,4-dione 479089-78-6P, [3-($(1\alpha, 5\alpha, 6\alpha)$ -1-(Cyclopropylmethyl)-6-fluoro-3methoxy-2,4-dioxo-1,2,3,4-tetrahydroquinazolin-7-yl)-3azabicyclo[3.1.0]hex-6-yl]carbamic acid tert-butyl ester 479089-79-7P, $[3-((1\alpha,5\alpha,6\alpha)-1-$ (Cyclopropylmethyl) -6-fluoro-2,4-dioxo-1,2,3,4tetrahydroquinazolin-7-yl)-3-azabicyclo[3.1.0]hex-6-yl]carbamic acid tert-butyl ester 479089-80-0P, [(S)-1-[(R)-1-(1-Cyclopropyl-6-fluoro-8-methyl-2,4-dioxo-1,2,3,4-tetrahydroquinazolin-7yl)pyrrolidin-3-yl]ethyl]carbamic acid tert-butyl ester 479089-81-1P, 4-((S)-3-tert-Butoxycarbonylaminopyrrolidin-1-yl)-3chloro-2-(1-cyclopropylureido)-5-fluorobenzoic acid ethyl ester 479089-82-2P, [(S)-1-(8-Chloro-1-cyclopropyl-6-fluoro-2,4-dioxo-1,2,3,4-tetrahydroquinazolin-7-yl)pyrrolidin-3-yl]carbamic acid 479089-85-5P, 5-0xo-1-((S)-1tert-butyl ester phenylethyl)pyrrolidine-3-carboxylic acid (methoxy)(methyl)amide 479089-87-7P, 4-[1-(2-Fluorophenyl)methanoyl]-1-((S)-1phenylethyl)pyrrolidin-2-one 479089-88-8P, 4-[1-(2-Fluorophenyl)-1-hydroxyiminomethyl]-1-((S)-1-phenylethyl)pyrrolidin-2-one 479089-89-9P, 4-[1-Amino-1-(2-fluorophenyl)methyl]-1-((S)-1phenylethyl)pyrrolidin-2-one 479089-90-2P, [1-(2-Fluorophenyl)-1-[1-((S)-1-phenylethyl)pyrrolidin-3-yl]methyl]carbamic acid 479089-91-3P, 3-[1-tert-Butoxycarbonylamino-1tert-butyl ester (2-fluorophenyl)methyl]pyrrolidine-1-carboxylic acid benzyl ester 479089-92-4P, [1-(2-Fluorophenyl)-1-pyrrolidin-3-ylmethyl]carbamic acid tert-butyl ester 479089-93-5P, C-[1-(2-Fluorophenyl)-1pyrrolidin-3-yl]methylamine 479089-94-6P, [1-[1-(1-Cyclopropyl-6fluoro-8-methyl-2,4-dioxo-1,2,3,4-tetrahydroquinazolin-7yl)pyrrolidin-3-yl]-1-(2-fluorophenyl)methyl]carbamic acid tert-butyl ester 479089-95-7P, 4,6-Dichloro-5-methylnicotinamide 479089-96-8P, 1-Cyclopropyl-3-[1-(4,6-dichloro-5-methylpyridin-3yl)methanoyl]urea 479089-97-9P, 7-Chloro-1-cyclopropyl-8-methyl-1H-pyrido [4,3-d] pyrimidine-2,4-dione 479089-98-0P, [(S)-1-[(R)-1-(1-Cyclopropyl-8-methyl-2,4-dioxo-1,2,3,4tetrahydropyrido[4,3-d]pyrimidin-7-yl)pyrrolidin-3yl]ethyl]methylcarbamic acid tert-butyl ester 479089-99-1P , [(S)-1-(1-Cyclopropyl-6-fluoro-2,4-dioxo-1,2,3,4tetrahydropyrido[2,3-d]pyrimidin-7-yl)pyrrolidin-3-yl]carbamic acid tert-butyl ester 479090-00-1P, [(S)-1-[(R)-1-(1-Cyclopropyl-6-fluoro-2,4-dioxo-1,2,3,4-tetrahydropyrido[2,3d]pyrimidin-7-yl)pyrrolidin-3-yl]ethyl]carbamic acid tert-butyl 479090-01-2P, 3-(Ethylsulfanyl)-4,5-difluoro-2-methyl-1Hindole-7-carboxylic acid methyl ester 479090-02-3P, 4,5-Difluoro-2-methyl-1H-indole-7-carboxylic acid methyl ester 479090-03-4P, 4,5-Difluoro-2-methyl-2,3-dihydro-1H-indole-7carboxylic acid methyl ester 479090-04-5P, 7,8-Difluoro-5-methyl-5,6-dihydro-5H-pyrrolo[3,2,1-i,j]quinazoline-1,3-dione

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479090-05-6P, [(S)-1-(8-Fluoro-5-methyl-1,3-dioxo-2,3,5,6-
tetrahydro-1H-pyrrolo[3,2,1-i,j]quinazolin-7-yl)pyrrolidin-3-
yl]carbamic acid tert-butyl ester 479090-06-7P,
(S)-1-[(R)-1-(8-Fluoro-5-methyl-1,3-dioxo-2,3,5,6-tetrahydro-1H-
pyrrolo[3,2,1-i,j]quinazolin-7-yl)pyrrolidin-3-yl]ethyl]carbamic
acid tert-butyl ester 479090-07-8P, [(S)-1-(9-Fluoro-5-methyl-
1,3-dioxo-2,3,6,7-tetrahydro-1H,5H-pyrido[3,2,1-i,j]quinazolin-8-
yl)pyrrolidin-3-yl]carbamic acid tert-butyl ester 479090-08-9P,
[(S)-1-[(R)-1-(9-Fluoro-5-methyl-1,3-dioxo-2,3,6,7-tetrahydro-1]
1H, 5H-pyrido[3,2,1-i,j]quinazolin-8-yl)pyrrolidin-3-
yl]ethyl]carbamic acid tert-butyl ester 479090-09-0P,
4-(1-tert-Butoxycarbonyl-1-cyanomethyl)-2,5-difluoro-3-
methylbenzoic acid ethyl ester 479090-10-3P,
4-Cyanomethyl-2,5-difluoro-3-methylbenzoic acid ethyl ester
479090-11-4P, 4-(1-Cyanocyclopropyl)-2,5-difluoro-3-methylbenzoic
       479090-12-5P, 1-[1-[4-(1-Cyanocyclopropyl)-2,5-difluoro-3-
methylphenyl]methanoyl]-3-cyclopropylurea
                                          479090-13-6P,
8,9-Difluoro-3-methyl-7-nitro-2,3-dihydro-1-oxa-3a,5-
diazaphenalene-4,6-dione 479090-14-7P, 7-Amino-8,9-difluoro-3-
methyl-2,3-dihydro-1-oxa-3a,5-diazaphenalene-4,6-dione
479090-15-8P, [(S)-1-[(R)-1-(7-Amino-8-fluoro-3-methyl-4,6-dioxo-
2,3,5,6-tetrahydro-4H-1-oxa-3a,5-diazaphenalen-9-yl)pyrrolidin-3-
yl]ethyl]carbamic acid tert-butyl ester 479090-16-9P,
[(3AR*,6aS*)-2-(1-Cyclopropyl-6-fluoro-8-methoxy-2,4-dioxo-1,2,3,4-
tetrahydroquinazolin-7-yl)octahydrocyclopenta[c]pyrrol-4-
yl]carbamic acid tert-butyl ester 479090-18-1P,
[(3AR*,6aS*)-2-(1-Cyclopropyl-6-fluoro-8-methyl-2,4-dioxo-1,2,3,4-
tetrahydroquinazolin-7-yl)octahydrocyclopenta[c]pyrrol-4-
yl]carbamic acid tert-butyl ester 479090-19-2P,
3-((Methoxy)(Methyl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl
        479090-20-5P, 3-Cyclopropanecarbonylpyrrolidine-1-
carboxylic acid benzyl ester
                             479090-21-6P, 3-
(Cyclopropyl(hydroxyimino)methyl)pyrrolidine-1-carboxylic acid
              479090-22-7P, C-Cyclopropyl-C-pyrrolidin-3-
benzyl ester
ylmethylamine 479090-23-8P, 4-Hydroxymethyl-1-((S)-1-
phenylethyl)pyrrolidin-2-one 479090-25-0P, Methanesulfonic acid
5-oxo-1-((S)-1-phenylethyl)pyrrolidin-3-ylmethyl ester
479090-26-1P, 4-Fluoromethyl-1-((S)-1-phenylethyl)pyrrolidin-2-one
479090-27-2P
                            479090-29-4P,
             479090-28-3P
(3R*,4R*) - [4-Fluoromethyl-1-((S)-1-phenylethyl)pyrrolidin-3-
yl]methanol 479090-30-7P, (3R*,4R*)-4-Fluoromethyl-1-((S)-1-
phenylethyl)pyrrolidin-3-ylmethanesulfonic acid methyl ester
479090-31-8P, (3R*,4R*)-3-Azidomethyl-4-fluoromethyl-1-((S)-1-
phenylethyl)pyrrolidine 479090-32-9P
                                       479090-33-0P,
(3R*,4S*)-C-[4-Fluoromethylpyrrolidin-3-yl]methylamine
479090-34-1P, 4-Bromo-2,5-difluoro-3-methylbenzamide
479090-35-2P, 1-(4-Bromo-2,5-difluoro-3-methylbenzoyl)-3-
                479090-36-3P, 7-Bromo-1-cyclopropyl-6-fluoro-8-
cyclopropylurea
methyl-1H-quinazoline-2,4-dione
                                479090-37-4P,
4-Bromothiophene-2-carboxaldehyde O-benzyloxime
                                                 479090-38-5P,
C-(4-Bromothiophen-2-yl)methylamine
                                    479090-39-6P,
(4-Bromothiophen-2-ylmethyl) carbamic acid tert-butyl ester
479090-40-9P, (4-(Tributylstannyl)thiophen-2-ylmethyl)carbamic
acid tert-butyl ester 479090-41-0P, [4-(1-Cyclopropyl-6-fluoro-8-
methyl-2,4-dioxo-1,2,3,4-tetrahydroquinazolin-7-yl)thiophen-2-
ylmethyl]carbamic acid tert-butyl ester 479090-42-1P,
7-[4-(tert-Butyldimethylsilanyloxy)-5,5-difluoro-4,5,6,7-
tetrahydrobenzo[b]thiophen-2-yl]-1-cyclopropyl-6-fluoro-8-methyl-
1H-quinazoline-2,4-dione 479090-44-3P, Phosphoric acid
2-(1-cyclopropyl-6-fluoro-8-methyl-2,4-dioxo-1,2,3,4-
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tetrahydroquinazolin-7-yl)-5,5-difluoro-4,5,6,7tetrahydrobenzo[b]thiophen-4-yl diphenyl ester 479090-45-4P, 7-(4-Azido-5,5-difluoro-4,5,6,7-tetrahydrobenzo[b]thiophen-2-yl)-1cyclopropyl-6-fluoro-8-methyl-1H-quinazoline-2,4-dione 479090-46-5P, [2-(1-Cyclopropyl-6-fluoro-8-methyl-2,4-dioxo-1,2,3,4-tetrahydroquinazolin-7-yl)-5,5-difluoro-4,5,6,7tetrahydrobenzo[b]thiophen-4-yl]carbamic acid tert-butyl ester 479090-47-6P, 2,4,5-Trifluoro-3-methylbenzoic acid methyl ester 479090-48-7P, 4-Benzylamino-2,5-difluoro-3-methylbenzoic acid 479090-49-8P, 2,5-Difluoro-4-iodo-3-methylbenzoic methyl ester acid methyl ester 479090-50-1P, 2,5-Difluoro-4-iodo-3-479090-51-2P, 2,5-Difluoro-4-iodo-3methylbenzoic acid 479090-52-3P, 1-Cyclopropyl-3-(2,5-difluoro-4methylbenzamide 479090-53-4P, 1-Cyclopropyl-6-fluoro-7iodo-3-methylbenzoyl)urea iodo-8-methyl-1H-quinazoline-2,4-dione 479090-54-5P, [5,6-Dihydro-4H-cyclopenta[b]thiophen-4-yl]tritylamine 479090-55-6P, 1-Cyclopropyl-6-fluoro-8-methyl-7-[4-(tritylamino)-5,6-dihydro-4H-cyclopenta[b]thiophen-2-yl]-1H-quinazoline-2,4-479090-56-7P, [1-[(R)-1-(1-Cyclopropyl-6-fluoro-8-methyl-2,4-dioxo-1,2,3,4-tetrahydroquinazolin-7-yl)pyrrolidin-3yl]cyclopropyl]carbamic acid tert-butyl ester 479090-57-8P, [4,5,6,7-Tetrahydrobenzo[b]thiophen-7-yl]carbamic acid tert-butyl 479090-58-9P, (2-(Tributylstannyl)-4,5,6,7tetrahydrobenzo[b]thiophen-7-yl)carbamic acid tert-butyl ester 479090-59-0P, [2-(1-Cyclopropyl-6-fluoro-8-methyl-2,4-dioxo-1,2,3,4-tetrahydroquinazolin-7-yl)-4,5,6,7tetrahydrobenzo[b]thiophen-'7-yl]carbamic acid tert-butyl ester 479090-60-3P, 7-Methyl-2-(tributylstannyl)-6-trityl-4,5,6,7tetrahydrothieno[2,3-c]pyridine 479090-61-4P, 1-Cyclopropyl-6-fluoro-8-methyl-7-(7-methyl-6-trityl-4,5,6,7tetrahydrothieno[2,3-c]pyridin-2-yl)-1H-quinazoline-2,4-dione 479090-62-5P, 1-(5-Bromothiophen-3-yl)ethanone O-benzyl oxime 479090-63-6P, 1-(5-Bromothiophen-3-yl)ethylamine 479090-64-7P, 1-(5-Bromo-2-chloromethylthiophen-3-yl)ethylamine hydrochloride 479090-65-8P, 2-Bromo-4-methyl-4,6-dihydrothieno[2,3-c]pyrrole-5carboxylic acid tert-butyl ester 479090-66-9P, 4-Methyl-2-(tributylstannyl)-4,6-dihydrothieno[2,3-c]pyrrole-5carboxylic acid tert-butyl ester 479090-67-0P, 2-(1-Cyclopropyl-6-fluoro-8-methyl-2,4-dioxo-1,2,3,4tetrahydroquinazolin-7-yl)-4-methyl-4,6-dihydrothieno[2,3c]pyrrole-5-carboxylic acid tert-butyl ester 479090-68-1P 479090-71-6P, [(3R*,4S*)-1-(1-Cyclopropyl-6-fluoro-479090-70-5P 8-methoxy-2,4-dioxo-1,2,3,4-tetrahydroquinazolin-7-yl)-4fluoropyrrolidin-3-ylmethyl]carbamic acid tert-butyl ester 479090-72-7P, 5-Benzyl-3-(tetrahydropyran-2-yloxymethyl)-4,5,6,6atetrahydro-3aH-pyrrolo[3,4-d]isoxazole 479090-73-8P, 4-[1-Amino-2-(tetrahydropyran-2-yloxy)ethyl]-1-benzylpyrrolidin-3ol 479090-74-9P, [1-(1-Benzyl-4-hydroxypyrrolidin-3-yl)-2-(tetrahydropyran-2-yloxy)ethyl]carbamic acid tert-butyl ester 479090-75-0P, [1-(1-Benzyl-4-hydroxypyrrolidin-3-yl)-2hydroxyethyl]carbamic acid tert-butyl ester 479090-76-1P, (5-Benzylhexahydrofuro[2,3-c]pyrrol-3-yl)carbamic acid tert-butyl 479090-77-2P, (Hexahydrofuro[2,3-c]pyrrol-3-yl)carbamic 479090-78-3P, [5-(1-Cyclopropyl-6-fluoro-8acid tert-butyl ester methyl-2,4-dioxo-1,2,3,4-tetrahydroquinazolin-7yl)hexahydrofuro[2,3-c]pyrrol-3-yl]carbamic acid tert-butyl ester 479090-79-4P, [1-[1-(1-Cyclopropyl-6-fluoro-8-methyl-2,4-dioxo-1,2,3,4-tetrahydroquinazolin-7-yl)-4,4-dimethylpyrrolidin-3yl]ethyl]carbamic acid tert-butyl ester 479090-80-7P, [2-(1-Cyclopropyl-6-fluoro-8-methyl-2,4-dioxo-1,2,3,4-

tetrahydroquinazolin-7-yl)octahydroisoindol-4-yl]carbamic acid tert-butyl ester 479090-82-9P, 3-tert-Butoxycarbonylmethoxy-2,4,5-trifluorobenzoic acid methyl ester 479090-83-0P, 3-Carboxymethoxy-2,4,5-trifluorobenzoic acid methyl ester 479090-84-1P, 2,4,5-Trifluoro-3-fluoromethoxybenzoic acid methyl 479090-85-2P, 2,4,5-Trifluoro-3-fluoromethoxybenzamide 479090-86-3P, 1-Cyclopropyl-3-(2,4,5-trifluoro-3fluoromethoxybenzoyl)urea 479090-87-4P, 1-Cyclopropyl-6,7difluoro-8-fluoromethoxy-1H-quinazoline-2,4-dione 479090-88-5P, [(S)-1-[(R)-1-(1-Cyclopropyl-6-fluoro-8-fluoromethoxy-2,4-dioxo-1,2,3,4-tetrahydroquinazolin-7-yl)pyrrolidin-3-yl]ethyl]carbamic acid tert-butyl ester 479090-89-6P, 1-Cyclopropyl-3-(3difluoromethyl-2,4,5-trifluorobenzoyl)urea 479090-90-9P, 1-Cyclopropyl-8-difluoromethyl-6,7-difluoro-1H-quinazoline-2,4-479090-91-0P, [(S)-1-[(R)-1-(1-Cyclopropyl-8difluoromethyl-6-fluoro-2,4-dioxo-1,2,3,4-tetrahydroquinazolin-7yl)pyrrolidin-3-yl]ethyl]carbamic acid tert-butyl ester 479090-92-1P, [1-(5-(Tributylstannyl)thiophen-2yl)cyclopropyl]carbamic acid tert-butyl ester 479090-93-2P, [1-[5-(1-Cyclopropyl-6-fluoro-8-methyl-2,4-dioxo-1,2,3,4tetrahydroquinazolin-7-yl)thiophen-2-yl]cyclopropyl]carbamic acid tert-butyl ester 479090-94-3P, 1-Cyclopropyl-3-(3difluoromethoxy-2,4,5-trifluorobenzoyl)urea 479090-95-4P, 1-Cyclopropyl-8-difluoromethoxy-6,7-difluoro-1H-quinazoline-2,4-479090-97-6P, Dibenzyl[1-[(R)-1-((S)-1-479090-96-5P phenylethyl)pyrrolidin-3-yl]cyclopropyl]amine 479090-98-7P, [(S)-1-[(R)-1-(1-Cyclopropyl-6-fluoro-8-difluoromethoxy-2,4-dioxo-8-difluoromethox-8-difluoromethox-8-difluorome1,2,3,4-tetrahydroquinazolin-7-yl)pyrrolidin-3-yl]ethyl]carbamic acid tert-butyl ester 479090-99-8P, 1-Cyclopropyl-6,7-difluoro-5,8-dimethyl-1H-quinazoline-2,4-dione 479091-00-4P, [(S)-1-[(R)-1-(1-Cyclopropyl-6-fluoro-5,8-dimethyl-2,4-dioxo-1,2,3,4-tetrahydroquinazolin-7-yl)pyrrolidin-3-yl]ethyl]carbamic 479091-01-5P, 2,4-Dibromo-3acid tert-butyl ester difluoromethoxybenzamide 479091-02-6P, 1-Cyclopropyl-3-(2,4dibromo-3-difluoromethoxybenzoyl)urea 479091-03-7P, 7-Bromo-1-cyclopropyl-8-difluoromethoxy-1H-quinazoline-2,4-dione 479091-04-8P, 1-Cyclopropyl-8-difluoromethoxy-7-((R)-1-methyl-2trityl-2,3-dihydro-1H-isoindol-5-yl)-1H-quinazoline-2,4-dione 479091-05-9P, (R)-5-(1-Cyclopropyl-8-difluoromethoxy-2,4-dioxo-1,2,3,4-tetrahydroquinazolin-7-yl)-1-methyl-1,3-dihydroisoindole-2carboxylic acid tert-butyl ester 479091-06-0P, 479091-07-1P, 2,4-Difluoro-3-methoxybenzamide 2,4-Difluoro-3-hydroxybenzamide 479091-08-2P, 3-Difluoromethoxy-2,4-difluorobenzamide 479091-09-3P, 1-Cyclopropyl-3-(3-difluoromethoxy-2,4-difluorobenzoyl)urea 479091-10-6P, 1-Cyclopropyl-8-difluoromethoxy-7-fluoro-1Hquinazoline-2,4-dione 479091-11-7P, [(S)-1-[(R)-1-(1-Cyclopropyl-8-difluoromethoxy-2,4-dioxo-1,2,3,4-tetrahydroquinazolin-7yl)pyrrolidin-3-yl]ethyl]carbamic acid tert-butyl ester 479091-13-9P, [(3R*,4S*)-1-(1-Cyclopropyl-8-difluoromethoxy-6fluoro-2,4-dioxo-1,2,3,4-tetrahydroquinazolin-7-yl)-4trifluoromethylpyrrolidin-3-ylmethyl]carbamic acid tert-butyl 479091-31-1P, 1-Cyclopropyl-6,7-difluoro-8-methoxy-5-479091-32-2P, methyl-1H-quinazoline-2,4-dione [(S)-1-[(R)-1-(1-Cyclopropyl-6-fluoro-8-methoxy-5-methyl-2,4-dioxo-1-(R)-1-(1,2,3,4-tetrahydroquinazolin-7-yl)pyrrolidin-3-yl]ethyl]carbamic acid tert-butyl ester (preparation of quinazolinediones as antibacterial agents for

quinolone-resistant bacteria)

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ACCESSION NUMBER:

2002:691240 HCAPLUS

DOCUMENT NUMBER:

138:106660

TITLE:

Pyrido[2,3-d]pyrimidines and

pyrimido[5',4':5,6]pyrido[2,3-d]pyrimidines as new antiviral agents: synthesis and biological

activity

AUTHOR (S):

Nasr, Magda N.; Gineinah, Magdy M.

CORPORATE SOURCE:

Department of Medicinal Chemistry, Faculty of

Pharmacy, University of Mansoura, Mansoura, 35516, Egypt

SOURCE:

Archiv der Pharmazie (Weinheim, Germany) (

2002), 335(6), 289-295 CODEN: ARPMAS; ISSN: 0365-6233

PUBLISHER:

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III

LANGUAGE: OTHER SOURCE(S):

CASREACT 138:106660

IV

AΒ A series of 7-amino- and 7-oxo-5-aryl-6-cyanopyrido[2,3d]pyrimidines, I [Ar = 4-ClC6H4, 2-BrC6H4, 4-BrC6H4, 3-HOC6H4, 3-O2NC6H4, 2,4-(MeO)2C6H3, 3,4-(MeO)2C6H3] and II [Ar = 4-BrC6H4, 3-HOC6H4, 2,4-(MeO)2C6H3], resp., and pyrimido[5',4':5,6]pyrido[2,3-d]pyrimidines III [Ar = 4-ClC6H4, 4-BrC6H4, 2,4-(MeO)2C6H3, 3,4-(MeO)2C6H3, X = S, O] and IV (Ar = S) 4-ClC6H4, 3-O2NC6H4) were synthesized and investigated as antiviral agents. Different synthetic strategies for the preparation of the target compds. were explored. A synthetic procedure for I and II starting with 6-amino-1,2,3,4-tetrahydro-2,4dioxopyrimidine, proper aldehyde, and malononitrile or Et cyanoacetate, resp., in a one-pot reaction proved to be the method of choice for preparation of compds. of such type. Construction of another pyrimidine ring on the pyridine nucleus of I was achieved either by reaction with Ph iso(thio) cyanate or with formic acid to

.. = -

yield III and IV, resp. The structure of the prepared compds. was confirmed through elemental anal. and spectral investigation. Most of the newly synthesized compds. were subjected to antiviral activity testing against herpes simplex virus (HSV) where some of them show good activities.

IT 187398-61-4P 487061-95-0P

(preparation of pyridopyrimidines via cyclocondensation of aminotetrahydrodioxopyrimidine with malonodinitrile and benzaldehydes)

RN 187398-61-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 7-amino-5-(4-chlorophenyl)-1,2,3,4-tetrahydro-2,4-dioxo-(9CI) (CA INDEX NAME)

RN 487061-95-0 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 7-amino-5-(2,4-dimethoxyphenyl)-1,2,3,4-tetrahydro-2,4-dioxo-(9CI) (CA INDEX NAME)

IT 487061-91-6P 487061-93-8P

(preparation of pyridopyrimidines via cyclocondensation of aminotetrahydrodioxopyrimidine with malonodinitrile and benzaldehydes)

RN 487061-91-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 7-amino-5-(2-bromophenyl)-1,2,3,4-tetrahydro-2,4-dioxo-(9CI) (CA INDEX NAME)

RN 487061-93-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 7-amino-1,2,3,4-tetrahydro-5-(3-hydroxyphenyl)-2,4-dioxo-(9CI) (CA INDEX NAME)

IT 487062-04-4P

(preparation of pyrimidopyridopyrimidines via addition of amino(cyano)pyridopyrimidines to phenylisocyanate and phenylisothiocyanate followed by cyclization)

RN 487062-04-4 HCAPLUS

CN Urea, N-[6-cyano-5-(3,4-dimethoxyphenyl)-1,2,3,4-tetrahydro-2,4-dioxopyrido[2,3-d]pyrimidin-7-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

IT 487061-92-7P 487061-94-9P 487061-96-1P

(preparation, antiviral activity, cytotoxicity, and structure-activity relationship of pyridopyrimidines via cyclocondensation of aminotetrahydrodioxopyrimidine with

malonodinitrile and benzaldehydes)

RN 487061-92-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 7-amino-5-(4-bromophenyl)-1,2,3,4-tetrahydro-2,4-dioxo-(9CI) (CA INDEX NAME)

RN 487061-94-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 7-amino-1,2,3,4-tetrahydro-5-(3-nitrophenyl)-2,4-dioxo-(9CI) (CA INDEX NAME)

RN 487061-96-1 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 7-amino-5-(3,4-dimethoxyphenyl)-1,2,3,4-tetrahydro-2,4-dioxo-(9CI) (CA INDEX NAME)

IT 487061-97-2P 487061-98-3P 487061-99-4P 487062-00-0P 487062-01-1P 487062-02-2P

487062-03-3P

(preparation, antiviral activity, cytotoxicity, and structure-activity relationship of pyrimidopyridopyrimidines

via addition of amino(cyano)pyridopyrimidines to phenylisocyanate and phenylisothiocyanate followed by cyclization)

RN 487061-97-2 HCAPLUS

CN Thiourea, N-[5-(4-chlorophenyl)-6-cyano-1,2,3,4-tetrahydro-2,4-dioxopyrido[2,3-d]pyrimidin-7-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

RN 487061-98-3 HCAPLUS

CN Thiourea, N-[5-(4-bromophenyl)-6-cyano-1,2,3,4-tetrahydro-2,4-dioxopyrido[2,3-d]pyrimidin-7-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

RN 487061-99-4 HCAPLUS

CN Thiourea, N-[6-cyano-5-(2,4-dimethoxyphenyl)-1,2,3,4-tetrahydro-2,4-dioxopyrido[2,3-d]pyrimidin-7-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

RN 487062-00-0 HCAPLUS

CN Thiourea, N-[6-cyano-5-(3,4-dimethoxyphenyl)-1,2,3,4-tetrahydro-2,4-dioxopyrido[2,3-d]pyrimidin-7-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

RN 487062-01-1 HCAPLUS

CN Urea, N-[5-(4-chlorophenyl)-6-cyano-1,2,3,4-tetrahydro-2,4-dioxopyrido[2,3-d]pyrimidin-7-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

- RN 487062-02-2 HCAPLUS
- CN Urea, N-[5-(4-bromophenyl)-6-cyano-1,2,3,4-tetrahydro-2,4-dioxopyrido[2,3-d]pyrimidin-7-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

- RN 487062-03-3 HCAPLUS
- CN Urea, N-[6-cyano-5-(2,4-dimethoxyphenyl)-1,2,3,4-tetrahydro-2,4-dioxopyrido[2,3-d]pyrimidin-7-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

- CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
 - Section cross-reference(s): 1, 10
- IT 187398-61-4P 487061-95-0P

(preparation of pyridopyrimidines via cyclocondensation of aminotetrahydrodioxopyrimidine with malonodinitrile and benzaldehydes)

IT 487061-91-6P 487061-93-8P

(preparation of pyridopyrimidines via cyclocondensation of aminotetrahydrodioxopyrimidine with malonodinitrile and benzaldehydes)

IT 487062-04-4P

(preparation of pyrimidopyridopyrimidines via addition of amino(cyano)pyridopyrimidines to phenylisocyanate and phenylisothiocyanate followed by cyclization)

IT 487061-92-7P 487061-94-9P 487061-96-1P

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(preparation, antiviral activity, cytotoxicity, and
structure-activity relationship of pyridopyrimidines via
cyclocondensation of aminotetrahydrodioxopyrimidine with
malonodinitrile and benzaldehydes)
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487061-97-2P 487061-98-3P 487061-99-4P IT 487062-00-0P 487062-01-1P 487062-02-2P 487062-03-3P

> (preparation, antiviral activity, cytotoxicity, and structure-activity relationship of pyrimidopyridopyrimidines via addition of amino(cyano)pyridopyrimidines to phenylisocyanate and phenylisothiocyanate followed by cyclization)

REFERENCE COUNT:

THERE ARE 17 CITED REFERENCES AVAILABLE 17 FOR THIS RECORD. ALL CITATIONS AVAILABLE

IN THE RE FORMAT

L36 ANSWER 12 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2002:637680 HCAPLUS

DOCUMENT NUMBER:

137:185502

TITLE:

Preparation of 2,6-disubstituted

7-oxopyrido[2,3-d]pyrimidines for treating p38

APPLICATION NO.

DATE

mediated disorders

INVENTOR(S):

Chen, Jian Jeffrey; Dunn, James Patrick; Goldstein, David Michael; Stahl, Christoph

Martin

PATENT ASSIGNEE(S):

F. Hoffmann-La Roche Ag, Switz.

SOURCE:

PCT Int. Appl., 207 pp.

DATE

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

KIND

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

			-				
WO 2002064594	A2 2002082	2 WO 2002-EP1106	2002				
			0204				
WO 2002064594	A3 2003010	<					
W: AE, AG, AL, CH, CN, CO, GB, GD, GE, KP, KR, KZ, MN, MW, MX, SI, SK, SL, RW: GH, GM, KE, BE, CH, CY, NL, PT, SE,	AM, AT, AU, AZ CR, CU, CZ, DE GH, GM, HR, HC LC, LK, LR, LS MZ, NO, NZ, PH TJ, TM, TR, TT LS, MW, MZ, SE DE, DK, ES, FI TR, BF, BJ, CF	BA, BB, BG, BR, BY, BZ, DK, DM, DZ, EC, EE, ES, ID, IL, IN, IS, JP, KE, LT, LU, LV, MA, MD, MG, PL, PT, RO, RU, SD, SE, TZ, UA, UG, UZ, VN, YU, SL, SZ, TZ, UG, ZM, ZW, FR, GB, GR, IE, IT, LU, CG, CI, CM, GA, GN, GQ,	FI, KG, MK, SG, ZA, ZW AT, MC,				
ML, MR, NE, CA 2434834	•	2 CA 2002-2434834					
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EP 1361880	A2 2003111	< 9 EP 2002-726103	2002				
			0204				
		<					
EP 1361880	B1 2005092	8					

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,

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<--WO 2002-EP1106 1130

2002

0204

US 2002-73845

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A1 2002

0211

OTHER SOURCE(S):

MARPAT 137:185502

15.1

AB The title compds. with general formula I or II [wherein Z = N or CH; W = NR2; X1 = O, NR4, S, CR5R6, or CO; R4, R5, and R6 =independently H or alkyl; X2 = O or NR7; Ar1 = (hetero)aryl; R2 = H, alkyl, acyl, alkoxycarbonyl, aryloxycarbonyl, heteroalkyl(oxy)carbonyl, or R21-R22; R21 = alkylene or CO; R22 = alkyl or alkoxy; R1 = H, (halo)alkyl, (hetero)aryl, (hetero) aralkyl, cyclo(alkyl) alkyl, hetero(cyclyl) alkyl, cyanoalkyl, heterocyclyl, or substituted hetero(alkyl)cycloalkyl, heterocycloamino, or acyl(alkylene); R3 = H, (cyclo)alkyl, cycloalkylalkyl, aryl, aralkyl, haloalkyl, heteroalkyl, cyanoalkyl, acylalkylene, (un) substituted amino; R7 = H or alkyl; R8 and R9 = independently H, (cyclo)alkyl, aryl(sulfonyl), aralkyl, cycloalkylalkyl, heteroalkyl, alkylsulfonyl, acyl, etc.; and pharmaceutically acceptable salts thereof] were prepared For example, the substitution reaction of 6-(2-fluorophenoxy)-8-methyl-2-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7(8H)-one (preparation given) and 1-(methylsulfonyl)piperidin-4-amine (preparation given), followed by salt formation, gave the phenoxypyrido[2,3-d]pyrimidinone III-HCl. I and II have IC50 activity against p38 kinase in the range of 0.1-5000 nM, with the majority being 1-1000 nM. and II are useful for the treatment of arthritis, Crohn's disease, irritable bowel syndrome, adult respiratory distress syndrome, chronic obstructive pulmonary disease, or Alzheimer's disease (no data).

IT 449809-32-9P 449809-34-1P 449809-35-2P 449810-78-0P

(inhibitor; preparation of oxopyrido[2,3-d]pyrimidines for treating p38 mediated disorders)

RN 449809-32-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(4-fluorophenoxy)-2-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

RN 449809-34-1 HCAPLUS

CN Urea, N-[6-(2,4-difluorophenoxy)-2-[(tetrahydro-2H-pyran-4-yl)amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)-(9CI) (CA INDEX NAME)

RN 449809-35-2 HCAPLUS

CN Methanesulfonamide, N-[6-(2,4-difluorophenoxy)-2-[(tetrahydro-2H-pyran-4-yl)amino]pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 449810-78-0 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,4-difluorophenoxy)-N2-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

IT 449811-24-9P 449811-26-1P 449811-27-2P

(intermediate; preparation of oxopyrido[2,3-d]pyrimidines for

treating p38 mediated disorders)

RN 449811-24-9 HCAPLUS

CN Urea, N-[6-(2,4-difluorophenoxy)-2-(methylthio)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 449811-26-1 HCAPLUS

CN Urea, N-[6-(2,4-difluorophenoxy)-2-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 449811-27-2 HCAPLUS

CN Methanesulfonamide, N-[6-(2,4-difluorophenoxy)-2- (methylthio)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

IC ICM C07D487-04

ICS C07D471-04; C07D519-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT 449808-60-0P 449808-61-1P 449808-63-3P 449808-65-5P

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449808-69-9P
449808-66-6P
                449808-67-7P
                                449808-68-8P
449808-70-2P
                449808-71-3P
                                449808-73-5P
                                                449808-74-6P
                449808-76-8P
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449808-75-7P
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   (inhibitor; preparation of oxopyrido[2,3-d]pyrimidines for treating
   p38 mediated disorders)
                                                          21926-00-1P
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185040-34-0P
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                             449811-91-0P
   (intermediate; preparation of oxopyrido[2,3-d]pyrimidines for
   treating p38 mediated disorders)
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L36 ANSWER 13 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2002:240780 HCAPLUS

DOCUMENT NUMBER:

136:279442

TITLE:

Preparation of thienopyrimidinecarboxamides, quinazolinecarboxamides, and related compounds

as luteinizing hormone agonists.

INVENTOR(S):

Timmers, Cornelis Marius; Karstens, Willem

Frederik Johan

PATENT ASSIGNEE(S):

Akzo Nobel N.V., Neth. PCT Int. Appl., 64 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	PATENT NO.			KIND DATE			j	DATE							
WO	 0 2002024703			A1	_	20020328		1	WO 2						
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	RW:	GH, CH, PT,	GM, CY, SE,	KE, DE, TR,	LS, DK,	MW, ES, BJ,	MZ, FI, CF,	SD, FR,	SL, GB,	SZ, GR,	TZ, IE,	UG, IT,	LU,	MC,	NL,
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OTHER SOURCE(S):

MARPAT 136:279442

AB Title compds. [I; R1 = (substituted) cycloalkyl, heterocycloalkyl, aryl, heteroaryl; R2 = alkyl, alkenyl, alkynyl, aryl, heteroaryl;

R3 = alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl; Y = CH, N; Z = NH2, OH; A = S, NH, NR, O, bond; B = NH, O, bond; X1-X2 = C:C, CONH, CO2, C:N, S, O], were prepared Thus, S-methylisothiourea sulfate, 3-MeOC6H4CHO, EtO2CCH2CN, and K2CO3 were stirred 5 h at 60° in EtOH to give 5-cyano-4-(3-methoxyphenyl)-2-methylthio-6-hydroxypyrimidine. This was stirred with POCl3 and PhNMe2 in dioxane at 80° for 3 h to give 6-chloro-5-cyano-4-(3-methoxyphenyl)-2-methylthiopyrimidine. The latter was stirred with KOCMe3 and EtO2CCH2SH in THF for 1 h to give Et 5-amino-4-(3-methoxyphenyl)-2-methylthiothieno[2,3-d]pyrimidine-6-carboxylate. This was converted to title compound tert-Bu 5-amino-2-methylthio-4-(3-methoxycarbonyloxy)phenylthieno[2,3-d]pyrimidine-6-carboxamide in several steps. Several I stimulated human LH receptors in CHO cells with IC50 = 10-7 to 10-8 M.

IT 405891-19-2P

RN

(preparation of thienopyrimidinecarboxamides, quinazolinecarboxamides, and related compds. as LH agonists) 405891-19-2 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carboxylic acid, 5-amino-1,7-dihydro-2-(methylthio)-4-(3-nitrophenyl)-7-oxo-, ethyl ester (9CI) (CA INDEX NAME)

IC ICM C07D495-04 ICS C07D239-78; A61K031-519; A61P005-24; C07D487-04; C07D471-04; C07D491-04; C07D215-48; C07D495-04; C07D333-00; C07D239-00; C07D487-04; C07D239-00; C07D221-00

CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 2

405890-85-9P 405890-86-0P IT 405890-84-8P 405890-87-1P 405890-90-6P 405890-88-2P 405890-89-3P 405890-91-7P 405890-92-8P 405890-93-9P 405890-94-0P 405890-95-1P 405890-97-3P 405890-96-2P 405890-98-4P 405890-99-5P 405891-03-4P 405891-01-2P 405891-05-6P 405891-07-8P 405891-09-0P 405891-08-9P 405891-10-3P 405891-11-4P 405891-13-6P 405891-12-5P 405891-15-8P 405891-16-9P 405891-17-0P 405891-18-1P 405891-19-2P 405891-20-5P 405891-21-6P

(preparation of thienopyrimidinecarboxamides,

quinazolinecarboxamides, and related compds. as LH agonists)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE

IN THE RE FORMAT

L36 ANSWER 14 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2002:123003 HCAPLUS

136:183833

Preparation of 2-(4-pyridyl)amino-6-

dialkoxyphenyl-pyrido[2,3-d]pyrimidin-7-ones as novel antiangiogenic agents useful for the treatment of diseases associated with aberrant

blood vessel proliferation.

INVENTOR(S):

Hamby, James Marino; Klutchko, Sylvester;

Kramer, James Bernard

PATENT ASSIGNEE(S):

Warner-Lambert Company, USA

SOURCE:

TITLE:

PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
	A2 20020214	WO 2001-US22881	2001 0720
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	A3 20020510		
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AU 2001077032	A5 20020218	AU 2001-77032	2001 0720
EP 1307450	Δ2 20030507	< EP 2001-954811	
PL 120/420	AZ 20030307	EF 2001-934011	2001 0720
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MC, PT, IE,	SI, LT, LV, FI,	RO, MK, CY, AL, TR JP 2002-518213	
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BR 2001012857	λ 20050209	< BR 2001-12857	
BR 2001012657	A 20050209		2001 0720
US 2003220345	A1 20031127	< US 2003-343847	2003 0204

US 7022711 B2 20060404

PRIORITY APPLN. INFO.:

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OTHER SOURCE(S):

MARPAT 136:183833

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT

AB The invention discloses the preparation and the use of title compds. I, wherein: R1, R2, R5, R6 = H, halogen, alkyl, alkoxy, thio, thioalkyl, hydroxy, alkanoyl, nitrile, nitro, alkanoyloxy, CF3, alkyl ester, NH2 or derivs., aminoalkoxy, etc.; R3, R4 = alkyl, or haloalkyl; R7 = H, alkyl, alkenyl, alkynyl, or cycloalkyl; including their pharmaceutically acceptable salts and compns. as antiangiogenic agents. Compds. I, are useful for treating diseases, resulting from uncontrolled cellular proliferation such as cancer, atherosclerosis, rheumatoid arthritis, and psoriasis. The invention compds. exhibited greater selectivity for inhibiting VEGF and FGF, without inhibiting the Src family c-Src and Lck kinases. Claims include 12 specific compds. and the syntheses of 5 especially preferred compds. are described. For example, condensation of 3,5-dimethoxyphenylacetonitrile with aldehyde II, followed by acylation of the resultant imine, hydrolysis, oxidation, and sulfoxide displacement with the lithium salt of 4-amino-2,6-dimethoxypyridine, provided the most preferred compound III in 5 steps. Tyrosine kinase inhibition data (IC50 = μ M) was disclosed for compound I (R1, R5, R6 = H; R2 = 3-Cl; R3, R4 = Me; and R7 = Et) against: FGFr = 0.0002, VEGF-2 = 0.003, PDGF = 5, Lck = 2.77, and c-Src = >4. Inhibition of serum-stimulated HUVEC cell proliferation data (IC50 = μM) of compound I (R1, R2, R5, R6 = H; R3, R4 = Me; and R7 = Et) against HUVEC = 0.009, A90 = 2.92, and C6 = >25 uM was also provided. Metabolic stability and transport studies of compound I (R1, R2, R5, R6 = H; R3, R4 = Me; and R7 = Et) with human and mice liver S9 prepns. indicated half-lives > 200 min. Also investigated, the in vivo anticancer efficacy of compound I (R1, R2, R5, R6 = H; R3, R4 = Me; and R7 = Et) against mammary adenocarcinoma M16/C: at 5 mg/kg dosage

398517-67-4P 398517-68-5P
 (intermediate; preparation of pyrido[2,3-d]pyrimidine-7-ones as
 antiangiogenic agents)

yielded a median mass of treated tumors/median mass of control tumor ratio of 39% with a net gain in subject body weight

RN 398517-67-4 HCAPLUS

IT

CN Pyrido[2,3-d]pyrimidin-7(8H)-imine, 6-(3,5-dimethoxyphenyl)-8ethyl-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 398517-68-5 HCAPLUS

CN Acetamide, N-[6-(3,5-dimethoxyphenyl)-8-ethyl-2-(methylthio)pyrido[2,3-d]pyrimidin-7(8H)-ylidene]- (9CI) (CA INDEX NAME)

IC ICM C07D471-04

ICS A61K031-519; A61P035-00; C07D471-04; C07D239-00; C07D221-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT 185040-33-9P, 4-Ethylamino-2-methylsulfanylpyrimidine-5-carboxylic acid ethyl ester 185040-34-0P, 4-Ethylamino-2-methylsulfanylpyrimidin-5-ylmethanol 185040-35-1P, 4-Ethylamino-2-methylsulfanylpyrimidine-5-carboxaldehyde 397862-44-1P, 6-(3,5-Dimethoxyphenyl)-8-ethyl-2-methylsulfanyl-8H-pyrido[2,3-d]pyrimidin-7-one 397862-45-2P 398517-67-4P 398517-68-5P

(intermediate; preparation of pyrido[2,3-d]pyrimidine-7-ones as antiangiogenic agents)

L36 ANSWER 15 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:75018 HCAPLUS

DOCUMENT NUMBER: 137:310879

TITLE: Synthesis and characterization of some new

pyrimidine derivatives

AUTHOR(S): Abd El-Ghafar, Nahed F.; Dawood, Nadia T.;

Soliman, Fekria M. A.

CORPORATE SOURCE: Chemistry Department, Faculty of Science,

Girls' Branch, Al-Azhar University, Nasr City,

Egypt

SOURCE: Al-Azhar Bulletin of Science (2000),

11(2), 147-154

CODEN: ABSCE7; ISSN: 1110-2535

PUBLISHER: Al-Azhar University, Faculty of Science

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:310879

GI

The reaction of 4-amino-6-(4-methoxyphenyl)-5-cyano-pyrimidin-2-AB thione (I) with active methylene compds. namely, Et cyanoacetate, malononitrile, ethylacetoacetate and/or acetylacetone afforded the pyrido[2,3-d] pyrimidines II [Ar = 4-MeOC6H4, R = CN, X = 0, NH; Ar = 4-MeOC6H4, R = COMe, X = O] and III resp. Also, the reaction of I with malononitrile, formaldehyde, acetaldehyde and/or p-chlorocinnamonitrile gave the corresponding pyrido-[2,3-d]pyrimidinethione derivs. IV (R = H, Me, 4-ClC6H4). The reaction of I with carbon disulfide and/or Ph isothiocyanate yielded the corresponding pyrimido[4,5-d]pyrimidine derivs. The reaction of I with Et chloroacetate gave the corresponding 2-(ethoxycarbonylmercaptomethyl)pyrimidine V. The reactivity of V towards acetic anhydride, hydrazine hydrate, ethylene diamine, acetic anhydride-pyridine mixture and/or phenylisothiocyanate was also studied. Structural assignments of the new products were based on elemental anal., IR, 1H-NMR and mass spectra. Preliminary screening of the products for antimicrobial activity was reported.

IT 470483-94-4P 470483-97-7P 470483-99-9P

II

(preparation and bactericidal activity of pyridopyrimidines, pyridopyrimidinethiones, pyrimidopyrimidines and (ethoxycarbonylmercaptomethyl) pyrimidines)

RN 470483-94-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 5-amino-1,2,3,7-tetrahydro-4-(4-methoxyphenyl)-7-oxo-2-thioxo-(9CI) (CA INDEX NAME)

RN 470483-97-7 HCAPLUS

CN Ethanone, 1-[5-amino-1,2-dihydro-4-(4-methoxyphenyl)-7-methyl-2-thioxopyrido[2,3-d]pyrimidin-6-yl]- (9CI) (CA INDEX NAME)

RN 470483-99-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 5-amino-1,2-dihydro-4-(4-methoxyphenyl)-7-methyl-2-thioxo-(9CI) (CA INDEX NAME)

IT 470483-95-5P 470483-96-6P 470483-98-8P 470484-00-5P

(preparation and bactericidal activity of pyridopyrimidines, pyridopyrimidinethiones, pyrimidopyrimidines and (ethoxycarbonylmercaptomethyl) pyrimidines)

RN 470483-95-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 5,7-diamino-1,2-dihydro-4-(4-methoxyphenyl)-2-thioxo-(9CI) (CA INDEX NAME)

RN 470483-96-6 HCAPLUS CN Pyrido[2,3-d]pyrimidin-7(1H)-one, 6-acetyl-5-amino-2,3-dihydro-4-(4-methoxyphenyl)-2-thioxo- (9CI) (CA INDEX NAME)

RN 470483-98-8 HCAPLUS
CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 5-amino-1,2-dihydro-4-(4-methoxyphenyl)-2-thioxo- (9CI) (CA INDEX NAME)

RN 470484-00-5 HCAPLUS
CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 5-amino-7-(4-chlorophenyl)1,2-dihydro-4-(4-methoxyphenyl)-2-thioxo- (9CI) (CA INDEX NAME)

28-16 (Heterocyclic Compounds (More Than One Hetero CC

Section cross-reference(s): 1, 10

470483-94-4P 470483-97-7P 470483-99-9P TΤ

> 470484-01-6P 470484-04**-**9P 470484-05-0P

470484-08-3P 470484-09-4P

> (preparation and bactericidal activity of pyridopyrimidines, pyridopyrimidinethiones, pyrimidopyrimidines and

(ethoxycarbonylmercaptomethyl) pyrimidines)

470483-95-5P 470483-96-6P 470483-98-8P IT

470484-00-5P 470484-06-1P 470484-10-7P

11

(preparation and bactericidal activity of pyridopyrimidines, pyridopyrimidinethiones, pyrimidopyrimidines and (ethoxycarbonylmercaptomethyl) pyrimidines)

REFERENCE COUNT:

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

470484-07-2P

L36 ANSWER 16 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2001:819452 HCAPLUS 137:125103

DOCUMENT NUMBER: TITLE:

Reaction of benzoyl acetonitrile with

acetoacetanilides: synthesis of some pyrazole,

pyrimidine, pyrazolo[3,4-b]pyridine and pyrido[2,3-d]pyrimidine derivatives

AUTHOR(S): CORPORATE SOURCE: Wardakhan, Wagnat W.; Agami, Samia M.

National Organization for Research and Drug

Control, Cairo, Egypt

Egyptian Journal of Chemistry (2001 SOURCE:

), 44(4-6), 315-333

CODEN: EGJCA3; ISSN: 0449-2285

PUBLISHER:

National Information and Documentation Centre

DOCUMENT TYPE:

Journal

LANGUAGE:

English CASREACT 137:125103

OTHER SOURCE(S): GI

$$\begin{array}{c|c} & H & R \\ \hline Me & N & R \\ \hline 0 & O & & \end{array}$$

AB The reaction of benzoyl acetonitrile with acetoacetanilides I (R = H, 2-Me, 4-Me) gave the resp. acyclic products II. The reaction of II (R = H) with hydrazines, urea, thiourea, aromatic aldehydes and malononitrile gives pyrazole, pyrimidine, pyrazolo [3,4-d]pyridine and pyrido[2,3-d]pyrimidine and pyridine derivs.

IT 444346-43-4P 444346-44-5P

(preparation, bactericidal and antifungal activity of pyrazoles, pyrimidines, pyrazolo[3,4-b]pyridines and pyrido[2,3-d]pyrimidines via reaction of benzoyl acetonitrile with acetoacetanilides)

RN 444346-43-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2(6H)-one, 5-methyl-4-phenyl-7-(phenylamino)-6-(phenylmethylene)- (9CI) (CA INDEX NAME)

II

RN 444346-44-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2(6H)-thione, 5-methyl-4-phenyl-7-(phenylamino)-6-(phenylmethylene)- (9CI) (CA INDEX NAME)

CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))

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Section cross-reference(s): 1, 10
ST
    acetoacetanilide benzoyl acetonitrile condensation;
     acetanilidocrotonitrile benzoyl prepn cyclization hydrazine;
    pyrazole prepn fungicide bactericide;
    benzoylacetanilidocrotonitrile prepn cyclization urea; pyrimidine
    pyrido prepn fungicide antibacterial agent; pyridine
    pyrazolo prepn fungicide bactericide
    Antibacterial agents
IT
    Bacillus cereus
     Condensation reaction
    Escherichia coli
       Fungicides
    Klebsiella pneumoniae
    Mycosis
     Staphylococcus aureus
        (preparation, bactericidal and antifungal activity of pyrazoles,
       pyrimidines, pyrazolo[3,4-b]pyridines and pyrido[2,3-
       d]pyrimidines via reaction of benzoyl acetonitrile with
       acetoacetanilides)
IT
     444346-36-5P 444346-37-6P
                                  444346-38-7P 444346-39-8P
                  444346-41-2P 444346-42-3P 444346-43-4P
     444346-40-1P
     444346-44-5P 444346-46-7P 444346-47-8P 444346-49-0P
     444346-50-3P
                   444346-52-5P
                                  444346-53-6P
                                                 444346-54-7P
     444346-56-9P 444346-57-0P
        (preparation, bactericidal and antifungal activity of pyrazoles,
       pyrimidines, pyrazolo[3,4-b]pyridines and pyrido[2,3-
       d]pyrimidines via reaction of benzoyl acetonitrile with
       acetoacetanilides)
REFERENCE COUNT:
                        21
                               THERE ARE 21 CITED REFERENCES AVAILABLE
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L36 ANSWER 17 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                        2001:565040 HCAPLUS
DOCUMENT NUMBER:
                        135:152817
TITLE:
                        Preparation of pyrido[2,3-d]pyrimidine-2,7-
                        diamine kinase inhibitors for treatment of
                        proliferative disorders
INVENTOR (S):
                        Booth, Richard John; Dobrusin, Ellen Myra;
                         Josyula, Vara Prasad Venkata Nagendra;
                        McNamara, Dennis Joseph; Toogood, Peter
                        Laurence
PATENT ASSIGNEE(S):
                        Warner-Lambert Company, USA
SOURCE:
                        PCT Int. Appl., 114 pp.
                        CODEN: PIXXD2
DOCUMENT TYPE:
                        Patent
LANGUAGE:
                        English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
    PATENT NO.
                        KIND
                               DATE
                                           APPLICATION NO.
                                                                  DATE
    WO 2001055147
                        A1
                               20010802 WO 2001-IB69
                                                                   2001
                                                                   0123
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	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,

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KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,
            MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL,
            TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE,
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            PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR,
            NE, SN, TD, TG
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    EP 1254137
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                    A 20021112 BR 2001-7751
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    JP 2003523357
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                                           ZA 2002-5879
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                               20020910
                                           NO 2002-3527
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                        A1
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                                           US 2002-182178
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                                                                  0724
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    US 7053070
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PRIORITY APPLN. INFO.:
                                           US 2000-178261P
                                                                  2000
                                                                  0125
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                                           WO 2001-IB69
                                                                  2001
                                                                  0123
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OTHER SOURCE(S):

MARPAT 135:152817

GΙ

AB Title compds. (I) [wherein R2, R7, R13, R14, and R15 = independently H, or (un) substituted alkyl, alkenyl, alkynyl, or (CH2) nR12; R5 = halo, CN, NO2, R9, NR9R10, or OR9; R6 = halo, CN, NO2, R9, NR9R10, OR9, CO2R9, COR9, CONR9R10, NR9COR10, or (un) substituted alkenyl or alkynyl; R8 = CO2R13, COR13, CONR13R14, CSNR13R14, C(NR13)NR14R15, SO3R13, SO2R13, SO2NR13R14, PO3R13R14, POR13R14, or PO(NR13R14)2; R9 and R10 = independently H or (un)substituted alkyl; R11 = heteroaryl or heterocyclic group; R12 = cycloalkyl, heterocyclic, or (hetero)aryl group; n = 0-3; and pharmaceutically acceptable salts, esters, amides, and prodrugs thereof] were prepared and formulated as cyclin dependent kinase (cdk) and growth factor-mediated tyrosine kinase inhibitors. For example, the 2-methylsulfinyl group of 2-methanesulfinylpyrido[2,3d]pyrimidin-7-ylamine was displaced by 4-(4-aminophenyl)piperazine-1-carboxylic acid tert-Bu ester (multi-step preparation of starting materials given) by refluxing in DMSO (36%). The pyrido[2,3-d]pyrimidin-7-amine was converted to the urea by reaction with tert-Bu isocyanate (67.9%) and the piperazine deprotected using HCl/dioxane (93.4%) to afford II-2.1HCl. The latter inhibited the cyclin dependent kinases cdk1/B, cdk2/A, cdk2/E, and cdk4D with IC50 values of 0.219 μ M, 0.060 μ M, 0.130 μM , and 0.006 μM , resp. In addition, IIo2.1HCl inhibited the growth factor receptor tyrosine kinases PDGF-B and FGF-1 by 94.4% and 93.7%, resp., at 50 μM. I are useful for treating cell proliferative disorders, such as cancer and

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restenosis (no data).

IT 352328-66-6P 352328-68-8P 352328-69-9P 352328-70-2P 352328-89-3P 352328-90-6P 352328-92-8P 352328-93-9P 352328-95-1P 352328-97-3P 352328-95-P 352329-00-1P 352329-02-3P 352329-05-6P 352329-07-8P 352329-09-0P 352329-10-3P 352329-11-4P 352329-16-9P 352329-17-0P 352329-18-1P 352329-19-2P 352329-28-3P 352329-29-4P 352329-30-7P 352329-32-9P 352329-33-0P
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(intermediate; preparation of pyrido[2,3-d]pyrimidine-2,7-diamines kinase inhibitors by cyclization of 3-[2-(methylsulfinyl)-4-aminopyrimidin-5-yl]acrylates or [2,4-diaminopyrimidine-5-yl]ketones)

RN 352328-66-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-fluoro-2-(methylthio)- (9CI)

(CA INDEX NAME)

RN 352328-69-9 HCAPLUS

1-Piperazinecarboxylic acid, 4-[4-[(7-amino-6-fluoropyrido[2,3-d]pyrimidin-2-yl)amino]phenyl]-2,6-dimethyl-, 1,1-dimethylethyl ester, (2R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 352328-70-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[7-[[(cyclohexylamino)carbonyl]amino]-6-fluoropyrido[2,3-d]pyrimidin-2-yl]amino]phenyl]-2,6-dimethyl-, 1,1-dimethylethyl ester, (2R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

<u> 39</u>...

RN 352328-89-3 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-bromo-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 352328-90-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-bromo-2-(methylsulfinyl)- (9CI) (CA INDEX NAME)

$$H_2N$$
 N
 N
 S
 S
 N
 N

RN 352328-92-8 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[(7-amino-6-bromopyrido[2,3-d]pyrimidin-2-yl)amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 352328-93-9 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[6-bromo-7-[[(cyclohexylamino)carbonyl]amino]pyrido[2,3-d]pyrimidin-2yl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 352328-95-1 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[6-bromo-7-[[[(1,1-dimethylethyl)amino]carbonyl]amino]pyrido[2,3-d]pyrimidin-2-yl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 352328-97-3 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[6-bromo-7-[[(methylamino)carbonyl]amino]pyrido[2,3-d]pyrimidin-2-yl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 352328-99-5 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[(7-amino-6-bromopyrido[2,3-d]pyrimidin-2-yl)amino]phenyl]-2,6-dimethyl-, 1,1-dimethylethyl ester, (2R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 352329-00-1 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[6-bromo-7-[[[(1,1-dimethylethyl)amino]carbonyl]amino]pyrido[2,3-d]pyrimidin-2-yl]amino]phenyl]-2,6-dimethyl-, 1,1-dimethylethyl ester, (2R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 352329-02-3 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[6-bromo-7-[[(cyclohexylamino)carbonyl]amino]pyrido[2,3-d]pyrimidin-2yl]amino]phenyl]-2,6-dimethyl-, 1,1-dimethylethyl ester, (2R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 352329-05-6 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[(7-amino-6-fluoropyrido[2,3-d]pyrimidin-2-yl)amino]phenyl]-2,2-dimethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 352329-07-8 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[7[[(cyclohexylamino)carbonyl]amino]-6-fluoropyrido[2,3-d]pyrimidin2-yl]amino]phenyl]-2,2-dimethyl-, 1,1-dimethylethyl ester (9CI)
(CA INDEX NAME)

RN 352329-09-0 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[(7-amino-6-fluoropyrido[2,3-d]pyrimidin-2-yl)amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 352329-10-3 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[7[[(cyclohexylamino)carbonyl]amino]-6-fluoropyrido[2,3-d]pyrimidin2-yl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX
NAME)

RN 352329-11-4 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[4-[[7-[[[(1,1dimethylethyl)amino]carbonyl]amino]-6-fluoropyrido[2,3-d]pyrimidin2-yl]amino]phenyl]-2,6-dimethyl-, 1,1-dimethylethyl ester,
(2R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 352329-16-9 HCAPLUS
CN Pyrido[2,3-d]pyrimidin-7-amine, 6-chloro-2-(methylthio)- (9CI)
(CA INDEX NAME)

$$\begin{array}{c|c} H_2N & N & SMe \\ \hline \\ C1 & N & \end{array}$$

RN 352329-17-0 HCAPLUS
CN Pyrido[2,3-d]pyrimidin-7-amine, 6-chloro-2-(methylsulfinyl)- (9CI)
(CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ H_2N & & & & \\ \hline \\ C1 & & & N \end{array}$$

RN 352329-18-1 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[(7-amino-6-chloropyrido[2,3-d]pyrimidin-2-yl)amino]phenyl]-2,6-dimethyl-, 1,1-dimethylethyl ester, (2R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 352329-19-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[6-chloro-7-[[[(1,1-dimethylethyl)amino]carbonyl]amino]pyrido[2,3-d]pyrimidin-2-yl]amino]phenyl]-2,6-dimethyl-, 1,1-dimethylethyl ester, (2R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 352329-28-3 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carboxylic acid, 7-amino-2-(methylthio)-, ethyl ester (9CI) (CA INDEX NAME)

RN 352329-29-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carboxylic acid, 7-amino-2-chloro-,
 ethyl ester (9CI) (CA INDEX NAME)

RN 352329-30-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carboxylic acid, 7-amino-2-[[4-[4-[(1,1-dimethylethoxy)carbonyl]-1-piperazinyl]phenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 352329-32-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carboxylic acid, 2-[[4-[4-[(1,1-dimethylethoxy)carbonyl]-1-piperazinyl]phenyl]amino]-7-[[[(1,1-dimethylethyl)amino]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 352329-33-0 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)

TT 352326-95-5P 352327-10-7P 352327-11-8P 352327-12-9P 352327-14-1P 352327-15-2P 352327-24-3P 352327-25-4P 352327-27-6P 352327-30-1P 352327-44-7P 352327-45-8P

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352327-49-2P 352327-50-5P 352327-51-6P
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     352359-64-9P 352359-65-0P 352359-66-1P
        (preparation of pyrido[2,3-d]pyrimidine-2,7-diamines kinase
        inhibitors by cyclization of 3-[2-(methylsulfinyl)-4-
        aminopyrimidin-5-yl]acrylates or [2,4-diaminopyrimidine-5-
        yl]ketones)
     352326-95-5 HCAPLUS
RN
CN
     Urea, N-cyclohexyl-N'-[2-[[4-[(3R,5S)-3,5-dimethyl-1-
     piperazinyl]phenyl]amino]-6-fluoropyrido[2,3-d]pyrimidin-7-yl]-,
     rel- (9CI) (CA INDEX NAME)
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Relative stereochemistry.

RN 352327-10-7 HCAPLUS
CN Urea, N-[6-bromo-2-[[4-(1-piperazinyl)phenyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-cyclohexyl-, hydrochloride (6:11) (9CI) (CA INDEX NAME)

●11/6 HCl

RN 352327-11-8 HCAPLUS
CN Urea, N-[6-bromo-2-[[4-(1-piperazinyl)phenyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 352327-12-9 HCAPLUS

CN Urea, N-[6-bromo-2-[[4-(1-piperazinyl)phenyl]amino]pyrido[2,3d]pyrimidin-7-yl]-N'-methyl-, trihydrochloride (9CI) (CA INDEX NAME)

●3 HCl

RN 352327-14-1 HCAPLUS
CN Urea N-[6-bromo-2-[4

CN Urea, N-[6-bromo-2-[[4-[(3R,5S)-3,5-dimethyl-1-piperazinyl]phenyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)-, hydrochloride (20:51), rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

●51/20 HCl

RN 352327-15-2 HCAPLUS

CN Urea, N-[6-bromo-2-[[4-[(3R,5S)-3,5-dimethyl-1-piperazinyl]phenyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-cyclohexyl-, hydrochloride (4:11), rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

●11/4 HCl

RN 352327-24-3 HCAPLUS

Urea, N-cyclohexyl-N'-[2-[[4-(3,3-dimethyl-1piperazinyl)phenyl]amino]-6-fluoropyrido[2,3-d]pyrimidin-7-yl](9CI) (CA INDEX NAME)

RN 352327-25-4 HCAPLUS

CN Urea, N-cyclohexyl-N'-[6-fluoro-2-[[4-(1piperazinyl)phenyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-,
hydrochloride (4:11) (9CI) (CA INDEX NAME)

●11/4 HCl

RN 352327-27-6 HCAPLUS

CN Urea, N-(1,1-dimethylethyl)-N'-[2-[[4-[(3R,5S)-3,5-dimethyl-1-piperazinyl]phenyl]amino]-6-fluoropyrido[2,3-d]pyrimidin-7-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 352327-30-1 HCAPLUS

CN Piperazine, 1-acetyl-4-[4-[[6-chloro-7-[[[(1,1-dimethylethyl)amino]carbonyl]amino]pyrido[2,3-d]pyrimidin-2-yl]amino]phenyl]-2,6-dimethyl-, (2R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 352327-44-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carboxylic acid, 7-[[[(1,1-dimethylethyl)amino]carbonyl]amino]-2-[[4-(1-piperazinyl)phenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 352327-45-8 HCAPLUS

CN Urea, N-[6-fluoro-5-methyl-2-[[4-(1-piperazinyl)phenyl]amino]pyrid o[2,3-d]pyrimidin-7-yl]-N'-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 352327-49-2 HCAPLUS

CN Urea, N-cyclohexyl-N'-[2-[[4-[(3R,5S)-3,5-dimethyl-1-piperazinyl]phenyl]amino]-6-methylpyrido[2,3-d]pyrimidin-7-yl]-, hydrochloride (2:5), rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

●5/2 HCl

RN 352327-50-5 HCAPLUS

CN Urea, N-(1,1-dimethylethyl)-N'-[2-[[4-[(3R,5S)-3,5-dimethyl-1piperazinyl]phenyl]amino]-6-methylpyrido[2,3-d]pyrimidin-7-yl]-,
hydrochloride (5:12), rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

●12/5 HCl

RN 352327-51-6 HCAPLUS

CN Urea, N-(1,1-dimethylethyl)-N'-[6-methyl-2-[[4-(1-piperazinyl)phenyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-, pentahydrochloride (9CI) (CA INDEX NAME)

●5 HCl

RN 352327-53-8 HCAPLUS

CN Urea, N-[2-[[4-[(3R,5S)-3,5-dimethyl-1-piperazinyl]phenyl]amino]-6methylpyrido[2,3-d]pyrimidin-7-yl]-N'-(1-methylethyl)-,
trihydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

●3 HCl

RN 352327-55-0 HCAPLUS

CN Urea, N-cyclopropyl-N'-[2-[[4-[(3R,5S)-3,5-dimethyl-1 piperazinyl]phenyl]amino]-6-methylpyrido[2,3-d]pyrimidin-7-yl]-,
 hydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

●x HCl

RN 352327-57-2 HCAPLUS

CN Urea, N-(1,1-dimethylethyl)-N'-[2-[[4-[(3R,5S)-3,5-dimethyl-1-piperazinyl]phenyl]amino]-6-ethylpyrido[2,3-d]pyrimidin-7-yl]-, hydrochloride (2:5), rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

●5/2 HCl

RN 352327-61-8 HCAPLUS

CN Urea, N-(1,1-dimethylethyl)-N'-[6-fluoro-2-[[4-(1piperazinyl)phenyl]amino]pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA
INDEX NAME)

RN 352327-64-1 HCAPLUS

CN Piperazine, 1-acetyl-4-[4-[[7-[[[(1,1-dimethylethyl)amino]carbonyl]amino]-6-fluoropyrido[2,3-d]pyrimidin-

2-yl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 352327-71-0 HCAPLUS

CN Urea, N-cyclohexyl-N'-[6-fluoro-2-[[4-(1-piperazinyl)phenyl]amino]pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 352327-75-4 HCAPLUS

CN Piperazine, 1-acetyl-4-[4-[[7-[[(cyclohexylamino)carbonyl]amino]-6-fluoropyrido[2,3-d]pyrimidin-2-yl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 352327-80-1 HCAPLUS

CN Urea, N-[6-fluoro-2-[[4-(1-piperazinyl)phenyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ \parallel & & & \\ HO-CH_2-CH_2-NH-C-NH & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 352327-84-5 HCAPLUS

CN Piperazine, 1-acetyl-4-[4-[[6-fluoro-7-[[[(2-hydroxyethyl)amino]carbonyl]amino]pyrido[2,3-d]pyrimidin-2-

yl]amino]phenyl] - (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \mathsf{O} & & \mathsf{O} \\ \mathsf{HO-CH_2-CH_2-NH-C-NH} & & \mathsf{N} \\ \mathsf{F} & & \mathsf{N} \\ \end{array}$$

RN 352327-90-3 HCAPLUS

CN Urea, N-ethyl-N'-[6-fluoro-2-[[4-(1-piperazinyl)phenyl]amino]pyrid o[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 352327-94-7 HCAPLUS

CN Piperazine, 1-acetyl-4-[4-[[7-[[(ethylamino)carbonyl]amino]-6-fluoropyrido[2,3-d]pyrimidin-2-yl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 352328-22-4 HCAPLUS

CN Urea, N-(1,1-dimethylethyl)-N'-[6-fluoro-2-(4-pyridinylamino)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 352328-23-5 HCAPLUS

CN Urea, N-cyclohexyl-N'-[6-fluoro-2-(4-pyridinylamino)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 352328-24-6 HCAPLUS

CN Urea, N-ethyl-N'-[6-fluoro-2-(4-pyridinylamino)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 352328-25-7 HCAPLUS

CN Urea, N-[6-fluoro-2-(4-pyridinylamino)pyrido[2,3-d]pyrimidin-7-yl]-N'-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

RN 352328-29-1 HCAPLUS

CN Urea, N-[6-bromo-2-[[4-[(3R,5S)-3,5-dimethyl-1-piperazinyl]phenyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 352328-30-4 HCAPLUS

CN Urea, N-[6-bromo-2-[[4-[(3R,5S)-3,5-dimethyl-1-piperazinyl]phenyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-

cyclohexyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 352328-34-8 HCAPLUS

Urea, N-cyclohexyl-N'-[2-[[4-[(3R,5S)-3,5-dimethyl-1piperazinyl]phenyl]amino]-6-methylpyrido[2,3-d]pyrimidin-7-yl]-,
rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 352328-35-9 HCAPLUS

CN Urea, N-(1,1-dimethylethyl)-N'-[2-[[4-[(3R,5S)-3,5-dimethyl-1-piperazinyl]phenyl]amino]-6-methylpyrido[2,3-d]pyrimidin-7-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 352328-36-0 HCAPLUS

CN Urea, N-(1,1-dimethylethyl)-N'-[6-methyl-2-[[4-(1-piperazinyl)phenyl]amino]pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA

INDEX NAME)

RN 352328-37-1 HCAPLUS

CN Urea, N-[2-[[4-[(3R,5S)-3,5-dimethyl-1-piperazinyl]phenyl]amino]-6-methylpyrido[2,3-d]pyrimidin-7-yl]-N'-(1-methylethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 352328-38-2 HCAPLUS

Urea, N-cyclopropyl-N'-[2-[[4-[(3R,5S)-3,5-dimethyl-1piperazinyl]phenyl]amino]-6-methylpyrido[2,3-d]pyrimidin-7-yl]-,
rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 352328-39-3 HCAPLUS

CN Urea, N-(1,1-dimethylethyl)-N'-[2-[[4-[(3R,5S)-3,5-dimethyl-1piperazinyl]phenyl]amino]-6-ethylpyrido[2,3-d]pyrimidin-7-yl]-,
rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 352359-60-5 HCAPLUS

CN Urea, N-cyclohexyl-N'-[6-methyl-2-[[4-(1piperazinyl)phenyl]amino]pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA
INDEX NAME)

RN 352359-61-6 HCAPLUS

CN Urea, N-[6-bromo-2-[[4-(1-piperazinyl)phenyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-cyclohexyl- (9CI) (CA INDEX NAME)

RN 352359-62-7 HCAPLUS

CN Urea, N-[6-cyano-2-[[4-(1-piperazinyl)phenyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-cyclohexyl- (9CI) (CA INDEX NAME)

RN 352359-63-8 HCAPLUS

CN Urea, N-[6-chloro-2-[[4-(1-piperazinyl)phenyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-cyclohexyl- (9CI) (CA INDEX NAME)

RN 352359-64-9 HCAPLUS

CN Urea, N-cyclohexyl-N'-[6-fluoro-5-methyl-2-[[4-(1piperazinyl)phenyl]amino]pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA
INDEX NAME)

RN 352359-65-0 HCAPLUS

CN Urea, N-[6-bromo-5-methyl-2-[[4-(1-piperazinyl)phenyl]amino]pyrido [2,3-d]pyrimidin-7-yl]-N'-cyclohexyl- (9CI) (CA INDEX NAME)

RN 352359-66-1 HCAPLUS

CN Urea, N-[6-chloro-5-methyl-2-[[4-(1-piperazinyl)phenyl]amino]pyrid o[2,3-d]pyrimidin-7-yl]-N'-cyclohexyl- (9CI) (CA INDEX NAME)

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        (intermediate; preparation of pyrido[2,3-d]pyrimidine-2,7-diamines
        kinase inhibitors by cyclization of 3-[2-(methylsulfinyl)-4-
        aminopyrimidin-5-yl]acrylates or [2,4-diaminopyrimidine-5-
        yl]ketones)
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         inhibitors by cyclization of 3-[2-(methylsulfinyl)-4-
         aminopyrimidin-5-yl]acrylates or [2,4-diaminopyrimidine-5-
         yl]ketones)
REFERENCE COUNT:
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L36 ANSWER 18 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN
                             2001:545673 HCAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                             135:122511
                             Preparation of 3-aminoquinazoline-2,4-dione
TITLE:
                             antibacterial agents
                             Bird, Paul; Ellsworth, Edmund Lee; Nguyen, Dai
INVENTOR(S):
                             Quoc; Sanchez, Joseph Peter; Showalter, Howard
                             Daniel Hollis; Singh, Rajeshwar; Stier,
                             Michael Andrew; Tran, Tuan Phong; Watson,
                             Brian Morgan; Yip, Judy
                             Warner-Lambert Company, USA
PATENT ASSIGNEE(S):
                             PCT Int. Appl., 291 pp.
SOURCE:
                             CODEN: PIXXD2
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LANGUAGE:
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FAMILY ACC. NUM. COUNT:
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OTHER SOURCE(S):

MARPAT 135:122511

R6 N N R3

II

Title compds. (I) [wherein: R1 and R3 = independently H or AB (un) substituted (cyclo) alkyl, alkenyl, alkynyl, (hetero) aryl, or heterocyclic; independently R5, R6, and R8 = H or (un)substituted alkyl, alkenyl, alkynyl, or halo, NO2, CN, NH2, (di)alkylamino, etc.; or R1 and R8 taken together with the atoms to which they are attached may form an (un) substituted heterocycle; R7 = H or (un) substituted alkyl, alkenyl, alkynyl, (fused) heterocyclic, or (fused) aryl, or halo, NO2, CN, NH2, (di)alkylamino, carboxy, etc.; J and K = independently C or N; and pharmaceutically acceptable salts thereof] were prepared as antibacterial agents. For example, N'-{4-[3-(tert-butoxycarbonylaminomethyl)pyrrolidin-1yl]-2-cyclopropylamino-5-fluorobenzoyl}hydrazinecarboxylic acid tert-Bu ester (multi-step preparation given) was chlorinated with N-chlorosuccinimide, cyclized with triphosgene in the presence of K2CO3, and deprotected using HCl gas to afford IIoHCl. In antibacterial assays, IIoHCl exhibited min. inhibitory concns. of $0.13-2.0~\mu\text{g/mL}$ against an assortment of Gram neg. and Gram pos. organisms, as well as ciprofloxacin resistant E. coli and S. aureus strains. In addition, II-HCl inhibited supercoiling activity of DNA gyrase with IC50 of 1.0 µM.

IT 351362-58-8P

(intermediate; preparation of 3-aminoquinazoline-2,4-dione antibacterial agents via multi-step syntheses involving cyclization of benzoylhydrazinecarboxylates with phosgene)

RN 351362-58-8 HCAPLUS

CN Carbamic acid, [(3S)-1-(3-amino-1-cyclopropyl-6-fluoro-1,2,3,4-tetrahydro-2,4-dioxopyrido[2,3-d]pyrimidin-7-yl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-amino-7-[(3S)-3-amino1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-, hydrochloride (9CI) (CA
INDEX NAME)

•x HCl

RN 351362-60-2 HCAPLUS
CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-amino-7-(6-amino-3-azabicyclo[3.1.0]hex-3-yl)-1-cyclopropyl-6-fluoro-, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

$$\begin{array}{c|c} & & & \\ & & & \\$$

●x HCl

RN 351362-69-1 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-amino-1-cyclopropyl-6-fluoro-7-[(3aS,7aS)-octahydro-2H-pyrrolo[3,4-c]pyridin-2-yl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●x HCl

RN 351362-81-7 HCAPLUS
CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-amino-7-[(3R)-3-(1-amino-1-methylethyl)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\$$

•x HCl

●2 HCl

RN 351362-93-1 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-amino-7-[(3R,4S)-3-(aminomethyl)-4-(trifluoromethyl)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-, hydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

•x HCl

RN 351363-02-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-amino-1-cyclopropyl-6-fluoro-7-[(3R)-3-[(1R)-1-(methylamino)ethyl]-1-pyrrolidinyl]-, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

RN 351363-10-5 HCAPLUS
CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-amino-7-[(3R)-3-[(1S)1-aminoethyl]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-,
hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●x HCl

RN 351371-72-7 HCAPLUS
CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-amino-7-[(3S)-3-amino-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro- (9CI) (CA INDEX NAME)

RN 351371-74-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-amino-7-(6-amino-3-azabicyclo[3.1.0]hex-3-yl)-1-cyclopropyl-6-fluoro-(9CI) (CA INDEX NAME)

RN 351371-76-1 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-amino-7-[3-(aminomethyl)-3-methyl-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-(9CI)· (CA INDEX NAME)

$$\begin{array}{c|c}
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 & Me \\
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 & P \\
 & O \\
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RN 351371-78-3 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-amino-1-cyclopropyl-6-fluoro-7-(octahydro-2H-pyrrolo[3,4-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

RN 351371-82-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-amino-7-[(3R)-3-(1-amino-1-methylethyl)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro- (9CI) (CA INDEX NAME)

RN 351371-85-2 HCAPLUS
CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-amino-7-[3(aminomethyl)-1-piperidinyl]-1-cyclopropyl-6-fluoro- (9CI) (CA
INDEX NAME)

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RN 351371-87-4 HCAPLUS
CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-amino-7-[(3R,4S)-3-(aminomethyl)-4-(trifluoromethyl)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 351371-89-6 HCAPLUS
CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-amino-1-cyclopropyl-6-fluoro-7-[(3R)-3-[(1R)-1-(methylamino)ethyl]-1-pyrrolidinyl](9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 351371-91-0 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-amino-7-[(3R)-3-[(1S)-1-aminoethyl]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro- (9CI) (CA INDEX NAME)

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          C07D519-00; C07D487-04; C07D487-10; C07D413-04; C07D417-04;
          C07D409-04; A61K031-505; A61P031-04
CC
     28-16 (Heterocyclic Compounds (More Than One Hetero
     Atom))
     Section cross-reference(s): 1, 63
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   (intermediate; preparation of 3-aminoquinazoline-2,4-dione
   antibacterial agents via multi-step syntheses involving
   cyclization of benzoylhydrazinecarboxylates with phosgene)
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        (preparation of 3-aminoquinazoline-2,4-dione antibacterial agents
        via multi-step syntheses involving cyclization of
        benzoylhydrazinecarboxylates with phosgene)
                               THERE ARE 18 CITED REFERENCES AVAILABLE
REFERENCE COUNT:
                         18
                               FOR THIS RECORD. ALL CITATIONS AVAILABLE
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DOCUMENT NUMBER:

2001:320376 HCAPLUS 135:92605

TITLE:

Soluble 2-Substituted Aminopyrido[2,3-d]pyrimidin-7-yl Ureas. Structure-Activity Relationships against Selected Tyrosine

AUTHOR(S):

Kinases and Exploration of in Vitro and in Vivo Anticancer Activity

Schroeder, Mel C.; Hamby, James M.; Connolly, Cleo J. C.; Grohar, Patrick J.; Winters, R. Thomas; Barvian, Mark R.; Moore, Charles W.; Boushelle, Stacey L.; Crean, Sheila M.;

Kraker, Alan J.; Driscoll, Denise L.; Vincent,
Patrick W.; Elliott, William L.; Lu, Gina H.;
Batley, Brian L.; Dahring, Tawny K.; Major,
Terry C.; Panek, Robert L.; Doherty, Annette

M.; Showalter, H. D. Hollis

CORPORATE SOURCE: Departments of Chemistry Cancer Research and

Vascular and Cardiac Diseases, Pfizer Global Research & Development Ann Arbor Laboratories,

Ann Arbor, MI, 48105, USA

Journal of Medicinal Chemistry (2001

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Journal English

CASREACT 135:92605

GI

SOURCE:

PUBLISHER: DOCUMENT TYPE:

LANGUAGE:

OTHER SOURCE(S):

In a search for medicinal agents to treat proliferative diseases, AB 2-substituted aminopyrido[2,3-d]pyrimidin-7-ylureas were discovered as a novel class of soluble, potent, broadly active tyrosine kinase (TK) inhibitors. An efficient route was developed that enabled the synthesis of a wide variety of analogs with substitution on several positions of the template. From the lead structure, 1-[2-amino-6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidin-2-yl]-3-tert.-butylurea , several series of analogs were made that examined the C-6 aryl substituent, a variety of water solubilizing substituents at the C-2 position, and urea or other acyl functionality at the N-7 position. Compds. of this series were competitive with ATP and displayed submicromolar to low nanomolar $\frac{1}{2}$ potency against a panel of TKs, including receptor (platelet-derived growth factor, PDGFr; fibroblast growth factor, FGFr;) and non-receptor (c-Src) classes. Several of the most potent compds. displayed submicromolar inhibition of PDGF-mediated receptor autophosphorylation in rat aortic vascular smooth muscle cells and low micromolar inhibition of cellular growth in five human tumor cell lines. One of the more thoroughly evaluated members, I, with IC50 values of 0.21 μM (PDGFr), 0.049 μM (bFGFr), and 0.018 μM (c-Src), was evaluated in in vivo studies against a panel of five human tumor xenografts, with known and/or inferred dependence on the EGFr, PDGFr, and c-Src TKs. I produced a tumor growth delay of 14 days against the Colo-205 colon xenograft model.

IT 179343-20-5P 179343-22-7P 179343-23-8P

179343-24-9P 179343-26-1P 179343-37-4P

179343-44-3P 179343-45-4P 179343-46-5P

179343-47-6P 179343-49-8P

(structure-activity relationships against tyrosine kinases and anticancer activity of soluble 2-aminopyrido[2,3-d]pyrimidin-7-ylureas)

RN 179343-20-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[3-(4-methyl-1-piperazinyl)propyl]- (9CI) (CA INDEX NAME)

Me
$$N \longrightarrow (CH_2)_3 - NH \longrightarrow N \longrightarrow NH_2$$

RN 179343-22-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)

RN 179343-23-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dibromophenyl)- (9CI) (CA INDEX NAME)

RN 179343-24-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dibromophenyl)-N2-[3-(diethylamino)propyl]- (9CI) (CA INDEX NAME)

RN 179343-26-1 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[2-(diethylamino)ethyl]- (9CI) (CA INDEX NAME)

RN 179343-37-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, N2-[3-(diethylamino)propyl]-6-(2,6-dimethylphenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \operatorname{Et_2N-} \left(\operatorname{CH_2}\right)_3 - \operatorname{NH} & \operatorname{N} & \operatorname{NH_2} \\ & \operatorname{Me} & & \\ & & \operatorname{Me} & & \\ \end{array}$$

RN 179343-44-3 HCAPLUS

CN Pyrido [2,3-d] pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[3-(dimethylamino)propyl]- (9CI) (CA INDEX NAME)

RN 179343-45-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[3-(dimethylamino)-2,2-dimethylpropyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \\ \text{Me}_2\text{N}-\text{CH}_2-\text{C}-\text{CH}_2-\text{NH} & \\ \text{Me} & \\ \text{N} & \\ \end{array}$$

RN 179343-46-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[3-(2-methyl-1-piperidinyl)propyl]- (9CI) (CA INDEX NAME)

RN 179343-47-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[4-(4-methyl-1-piperazinyl)butyl]- (9CI) (CA INDEX NAME)

Me N
$$\sim$$
 (CH₂)₄ \sim NH \sim NH₂ \sim Cl

RN 179343-49-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[3-(dimethylamino)propyl]-N2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ \hline \\ Me_2N-(CH_2)_3-N \\ \hline \\ N \\ \hline \\ C1 \\ \hline \end{array}$$

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ΤÏ
     179342-55-3P 179342-56-4P 179342-57-5P
     179342-58-6P 179342-60-0P 179342-61-1P
     179342-62-2P 179342-63-3P 179342-64-4P
     179342-65-5P 179342-66-6P 179342-67-7P
     179342-68-8P 179342-69-9P 179342-70-2P
     179342-71-3P 179342-72-4P 179342-73-5P
     179342-74-6P 179342-76-8P 179342-78-0P
     179342-79-1P 179342-80-4P 179342-82-6P
     179342-83-7P 179342-84-8P 179342-93-9P
     179343-05-6P 179343-06-7P 179343-08-9P
     179343-09-0P 179343-10-3P 179343-11-4P
     179343-13-6P 179343-48-7P 349135-38-2P
     349135-44-0P 349135-46-2P 349135-61-1P
     349135-73-5P 349135-76-8P 349135-79-1P
     349135-82-6P 349135-86-0P 349135-88-2P
     349135-90-6P 349135-91-7P 349135-93-9P
     349135-95-1P 349135-98-4P
        (structure-activity relationships against tyrosine kinases and
        anticancer activity of soluble 2-aminopyrido[2,3-d]pyrimidin-7-
        ylureas)
     179342-55-3 HCAPLUS
RN
CN
     Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-
     (dimethylamino) propyl] amino] pyrido [2,3-d] pyrimidin-7-yl] -N'-(1,1-
     dimethylethyl) - (9CI) (CA INDEX NAME)
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RN 179342-56-4 HCAPLUS
CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(dimethylamino)-2,2-dimethylpropyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-57-5 HCAPLUS
CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(2-methyl-1-piperidinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-58-6 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[4-(4-methyl-1-piperazinyl)butyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Me N N NH-C-NHBu-t C1
$$\sim$$
 C1

RN 179342-60-0 HCAPLUS

CN Urea, N-cyclohexyl-N'-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 179342-61-1 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1methylethyl)- (9CI) (CA INDEX NAME)

RN 179342-62-2 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 179342-63-3 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-2-propenyl- (9CI) (CA INDEX NAME)

Me N NH-C-NH-CH₂-CH=CH₂

$$C1$$

RN 179342-64-4 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

Me NH-C-NH C1
$$\sim$$
 C1

RN 179342-65-5 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 179342-66-6 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

Me N
$$\sim$$
 (CH₂) 3 \sim NH \sim NH \sim Cl \sim Cl

RN 179342-67-7 HCAPLUS

Urea, N-(4-bromophenyl)-N'-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]- (9CI)
(CA INDEX NAME)

Me NH-C-NH C1
$$\sim$$
 C1

RN 179342-68-8 HCAPLUS

CN Urea, N-(4-chlorophenyl)-N'-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-(9CI) (CA INDEX NAME)

Me NH-C-NH
$$\begin{array}{c} & & & & \\ & & & \\ N & &$$

RN 179342-69-9 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(4-methylphenyl)- (9CI) (CA INDEX NAME)

Me NH-C-NH C1
$$\sim$$
 C1

RN 179342-70-2 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-octyl-(9CI) (CA INDEX NAME)

RN 179342-71-3 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Me NH C-NH C1
$$N$$
 C1

RN 179342-72-4 HCAPLUS .

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-ethyl-(9CI) (CA INDEX NAME)

RN 179342-73-5 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-1-naphthalenyl-(9CI) (CA INDEX NAME)

RN 179342-74-6 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-phenyl(9CI) (CA INDEX NAME)

Me N NH C-NHPh
$$\sim$$
 C1 \sim C1

RN 179342-76-8 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[4-(diethylamino)butyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-phenyl-(9CI) (CA INDEX NAME)

RN 179342-78-0 HCAPLUS

CN Urea, N-cyclohexyl-N'-[6-(2,6-dichlorophenyl)-2-[[4-(diethylamino)butyl]amino]pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 179342-79-1 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4morpholinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-80-4 HCAPLUS

CN Urea, N-[6-(2,6-dibromophenyl)-2-[[3-(diethylamino)propyl]amino]py rido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-82-6 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[2-(diethylamino)ethyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-83-7 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[2-(diethylamino)ethyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-ethyl-(9CI) (CA INDEX NAME)

RN 179342-84-8 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(dimethylamino)propyl]methylamino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-93-9 HCAPLUS

CN Urea, N-[2-amino-6-(2,6-dibromophenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179343-09-0 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-tricyclo[3.3.1.13,7]dec-1-yl-(9CI) (CA INDEX NAME)

RN 179343-10-3 HCAPLUS

CN Thiourea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179343-11-4 HCAPLUS

CN Urea, N'-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N,N-diethyl-(9CI) (CA INDEX NAME)

Me N NH-C-NEt2
$$\sim$$
 C1

RN 179343-13-6 HCAPLUS

CN Thiourea, N-[6-(2,6-dichlorophenyl)-2-[[4-(diethylamino)butyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ \text{Et}_2\text{N} - (\text{CH}_2)_4 - \text{NH} & & \\ & &$$

RN 179343-48-7 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[4-(diethylamino)butyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-ethyl-(9CI) (CA INDEX NAME)

RN 349135-38-2 HCAPLUS

CN Urea, [6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

Me N N
$$(CH_2)_3 - NH$$
 N $NH-C-NH_2$

RN 349135-44-0 HCAPLUS

CN Carbamic acid, [2-[[[[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]amino]carbonyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

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RN 349135-46-2 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

RN 349135-61-1 HCAPLUS

Urea, N-(3,4-dichlorophenyl)-N'-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl](9CI) (CA INDEX NAME)

Me N
$$\sim$$
 (CH₂)₃ \sim NH \sim NH \sim Cl \sim

RN 349135-73-5 HCAPLUS

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CN Butanamide, N-[6-(2,6-dichlorophenyl)-2-[[3-(diethylamino)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-3,3-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Et}_2\text{N}-\text{(CH}_2)_3-\text{NH} & \text{N}\\ & \text{N}\\ & \text{N}\\ & \text{Cl} \end{array}$$

RN 349135-76-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[3-(diethylamino)propyl]-N7-[(dimethylamino)methyl]- (9CI) (CA INDEX NAME)

RN 349135-79-1 HCAPLUS

CN Thiourea, N-[6-(2,6-dichlorophenyl)-2-[[3-(diethylamino)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-ethyl-(9CI) (CA INDEX NAME)

RN 349135-82-6 HCAPLUS

CN Guanidine, N-[6-(2,6-dichlorophenyl)-2-[[3-(diethylamino)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-ethyl-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 179343-16-9 CMF C23 H30 Cl2 N8

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 349135-86-0 HCAPLUS

CN Butanamide, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 349135-88-2 HCAPLUS

CN Butanamide, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-3,3-dimethyl-(9CI) (CA INDEX NAME)

Me N N NH-C-CH₂-CMe₃
$$\sim$$
 C1 \sim C1

RN 349135-90-6 HCAPLUS

CN Benzeneacetamide, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

Me N N
$$(CH_2)_3 - NH$$
 N $NH - C - CH_2 - Ph$

RN 349135-91-7 HCAPLUS

CN Benzenesulfonamide, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 349135-93-9 HCAPLUS

CN Guanidine, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-phenyl-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 197635-56-6 CMF C28 H31 Cl2 N9

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 349135-95-1 HCAPLUS

CN Guanidine, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N',N''-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 349135-98-4 HCAPLUS

CN Thiourea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-phenyl-(9CI) (CA INDEX NAME)

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT 179343-20-5P 179343-22-7P 179343-23-8P

179343-24-9P 179343-26-1P 179343-37-4P

179343-44-3P 179343-45-4P 179343-46-5P

179343-47-6P 179343-49-8P

(structure-activity relationships against tyrosine kinases and anticancer activity of soluble 2-aminopyrido[2,3-d]pyrimidin-7-ylureas)

IT 179342-55-3P 179342-56-4P 179342-57-5P

179342-58-6P 179342-60-0P 179342-61-1P

179342-62-2P 179342-63-3P 179342-64-4P

179342-65-5P 179342-66-6P 179342-67-7P

179342-68-8P 179342-69-9P 179342-70-2P

179342-71-3P 179342-72-4P 179342-73-5P 179342-74-6P 179342-76-8P 179342-78-0P

179342-79-1P 179342-80-4P 179342-82-6P

179342-83-7P 179342-84-8P 179342-93-9P

179343-05-6P 179343-06-7P 179343-08-9P

179343-09-0P 179343-10-3P 179343-11-4P

179343-13-6P 179343-48-7P 349135-38-2P 349135-44-0P 349135-46-2P 349135-61-1P

349135-73-5P 349135-76-8P 349135-79-1P

349135-82-6P 349135-86-0P 349135-88-2P

349135-90-6P 349135-91-7P 349135-93-9P

349135-95-1P 349135-98-4P

(structure-activity relationships against tyrosine kinases and anticancer activity of soluble 2-aminopyrido[2,3-d]pyrimidin-7-ylureas)

REFERENCE COUNT:

52 THERE ARE 52 CITED REFERENCES AVAILABLE

FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 20 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1999:561587 HCAPLUS

DOCUMENT NUMBER:

131:184962

TITLE:

Preparation of oxidoamino-substituted

pyrido[2,3-d]pyrimidines as protein tyrosine

kinase inhibitors

INVENTOR(S):

Doherty, Annette Marian; Hallak, Hussein

Osman; Hamby, James Marino

PATENT ASSIGNEE(S):

Warner-Lambert Company, USA

SOURCE:

U.S., 25 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5945422	А	19990831	US 1998-15739	
		•		1998
				0129
			<	
PRIORITY APPLN. INFO.:			US 1997-38822P P	
				1997
				0205

OTHER SOURCE(S):

MARPAT 131:184962

GI

$$\begin{array}{c|c}
N & & R^1 \\
R & & X \\
R^2 & & I
\end{array}$$

- AB Title compds. [I;R = ONR5R6Z1Z2NH; R1 = (un)substituted Ph or
 heteroaryl; R2 = H, (cyclo)alkyl, phenyl(alkyl), heteroaryl, etc.;
 R5,R6 = H, alkyl, phenyl(alkyl), etc.; R5R6 = atoms to complete a
 ring; X = O, S, (acyl)imino; Z1,Z2 = bond, alkylene(oxy), -(thio),
 arylene] were prepared Thus, I (R1 = C6H3Cl2-2,6, R2 = Me, X =
 O)(II; R = SMe) was aminated by Et2NCH2CH2OC6H4(NH2)-4 and the
 product oxidized to give II [R = 4-(ONEt2CH2CH2O)C6H4NH]. Data
 for biol.activity of I were given.
- IT 26752-70-5P 185039-20-7P 185039-21-8P 185039-22-9P 185039-29-6P 185039-30-9P 185039-37-6P 185039-38-7P 185040-22-6P 185040-24-8P

(preparation of oxidoamino-substituted pyrido[2,3-d]pyrimidines as protein tyrosine kinase inhibitors)

RN 26752-70-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)- (9CI) (CA INDEX NAME)

RN 185039-20-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 6-(2,6-dimethylphenyl)-7,8-dihydro-7-imino-8-methyl- (9CI) (CA INDEX NAME)

RN 185039-21-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 7,8-dihydro-7-imino-8-methyl-6-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 185039-22-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 7,8-dihydro-7-imino-8-methyl-6phenyl- (9CI) (CA INDEX NAME)

RN 185039-29-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7(8H)-imine, 6-(2,6-dichlorophenyl)-8-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 185039-30-9 HCAPLUS

CN 1,3-Propanediamine, N'-[6-(2,6-dichlorophenyl)-7,8-dihydro-7-imino-8-methylpyrido[2,3-d]pyrimidin-2-yl]-N,N-diethyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} \\ \downarrow \\ \text{Et}_2\text{N}-\text{(CH}_2)_3-\text{NH} \\ \text{N} \\ \text{N} \\ \end{array}$$

RN 185039-37-6 HCAPLUS

CN Acetamide, N-[6-(2,6-dichlorophenyl)-8-methyl-2-(methylthio)pyrido[2,3-d]pyrimidin-7(8H)-ylidene]- (9CI) (CA INDEX NAME)

RN 185039-38-7 HCAPLUS

CN Acetamide, N-[6-(2,6-dichlorophenyl)-2-[[4-(diethylamino)butyl]amino]-8-methylpyrido[2,3-d]pyrimidin-7(8H)-ylidene]- (9CI) (CA INDEX NAME)

RN 185040-22-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 8-ethyl-7,8-dihydro-7-imino-6-(2-naphthalenyl)-N-phenyl- (9CI) (CA INDEX NAME)

RN 185040-24-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 6-[1,1'-biphenyl]-4-yl-8-ethyl-7,8-dihydro-7-imino-N-phenyl- (9CI) (CA INDEX NAME)

IC ICM A61K031-505

ICS C07D471-04

INCL 514258000

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT 17759-30-7P **26752-70-5P** 33089-15-5P 76360-82-2P

89487-99-0P 185039-20-7P 185039-21-8P

185039-22-9P 185039-23-0P 185039-27-4P

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185040-30-6P 185040-31-7P 185040-32-8P 205115-81-7P

205115-85-1P 205115-86-2P 205115-92-0P

(preparation of oxidoamino-substituted pyrido[2,3-d]pyrimidines as

protein tyrosine kinase inhibitors)

REFERENCE COUNT:

9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE

IN THE RE FORMAT

L36 ANSWER 21 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1999:311055 HCAPLUS

DOCUMENT NUMBER:

130:338119

TITLE:

Preparation of 7-substituted

3-hydroxyquinazoline-2,4-diones and related

compounds as antibacterial agents.

INVENTOR(S):

Domagala, John Michael; Ellsworth, Edmund Lee;

APPLICATION NO.

DATE

Huang, Liren; Renau, Thomas Eric; Singh,

Rajeshwar; Stier, Michael Andrew

PATENT ASSIGNEE(S):

Warner Lambert Co., USA

SOURCE:

PCT Int. Appl., 137 pp. CODEN: PIXXD2

DATE

DOCUMENT TYPE:

Patent

LANGUAGE:

KIND

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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OTHER SOURCE(S):

MARPAT 130:338119

I

224189-62-2 HCAPLUS

RN

Title compds. [I; R1 = H, (substituted) alkyl, cycloalkyl, AB heterocyclyl, Ph; R5, R6, R8 = H, F, Cl, Br, NO2, cyano, CF3, alkyl, cycloalkyl, amino, etc.; R7 = R5, (substituted) carbocyclyl, Ph, (fused) heterocyclyl, etc.; R1R8 = (substituted) 6-7 membered (heterocyclic) ring; X, Y = C, N], were prepared Thus, 1-cyclopropyl-6-fluoro-3-hydroxy-7-(pyrrolidin-1-yl)-1Hquinazoline-2,4-dione (preparation given) inhibited Staphylococcus aureus with min. inhibitory concentration = 1.0 μ g/mL. 224189-62-2P 224189-63-3P 224189-64-4P IT 224189-65-5P 224189-67-7P 224189-68-8P 224189-97-3P 224189-98-4P 224189-99-5P 224190-00-5P 224190-01-6P 224190-02-7P 224190-03-8P 224190-04-9P 224190-06-1P 224190-07-2P 224191-76-8P (preparation of 7-substituted 3-hydroxyquinazoline-2,4-diones and

related compds. as antibacterial agents)

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 1-cyclopropyl-6-fluoro-3-hydroxy-7-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

- RN 224189-63-3 HCAPLUS
- CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 1-cyclopropyl-6-fluoro-3-hydroxy-7-(4-methyl-1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

HCl

- RN 224189-64-4 HCAPLUS
- CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 1-ethyl-6-fluoro-3-hydroxy-7-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

- RN 224189-65-5 HCAPLUS
- CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 1-ethyl-6-fluoro-3-hydroxy-7-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 224189-67-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 7-(3-amino-1-pyrrolidinyl)-1-ethyl-6-fluoro-3-hydroxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 224189-66-6 CMF C13 H16 F N5 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 224189-68-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-fluoro-3-hydroxy-1-(phenylmethyl)-7-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

RN 224189-97-3 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-fluoro-1-(4-fluorophenyl)-3-hydroxy-7-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

RN 224189-98-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 1-butyl-6-fluoro-3-hydroxy-7-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & \text{N-Bu} \\
 & \text{N-Bu$$

RN 224189-99-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-fluoro-3-hydroxy-7-(1-pyrrolidinyl)-1-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 224190-00-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 1-(2,4-difluorophenyl)-6-fluoro-3-hydroxy-7-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

RN 224190-01-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-fluoro-3-hydroxy-1-(4-methylphenyl)-7-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

RN 224190-02-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-fluoro-3-hydroxy-7-(1-pyrrolidinyl)-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 224190-03-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-fluoro-1-(2-fluorophenyl)-3-hydroxy-7-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

RN 224190-04-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-fluoro-3-hydroxy-1-(4-methoxyphenyl)-7-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

RN 224190-06-1 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 7-(3-amino-1-pyrrolidinyl)-6-fluoro-1-(4-fluorophenyl)-3-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 224190-07-2 HCAPLUS

CN Carbamic acid, [(1α,5α,6α)-3-[6-fluoro-1-(4-fluorophenyl)-1,2,3,4-tetrahydro-3-hydroxy-2,4-dioxopyrido[2,3-d]pyrimidin-7-yl]-3-azabicyclo[3.1.0]hex-6-yl]-, 1,1-dimethylethylester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 224191-76-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 7-(6-amino-3-azabicyclo[3.1.0]hex-3-yl)-1-cyclopropyl-6-fluoro-3-hydroxy- (9CI) (CA INDEX NAME)

IT 224190-70-9P 224190-71-0P 224190-83-4P 224190-84-5P 224190-85-6P 224190-86-7P 224191-25-7P 224191-26-8P 224191-27-9P

224191-28-0P 224191-29-1P 224191-30-4P

224191-31-5P 224191-32-6P 224191-35-9P

224191-36-0P 224191-53-1P

(preparation of 7-substituted 3-hydroxyquinazoline-2,4-diones and related compds. as antibacterial agents)

RN 224190-70-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 1-cyclopropyl-6-fluoro-3-(phenylmethoxy)-7-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ \text{Ph-CH}_2-\text{O} & & & \\ & & & \\ \end{array}$$

RN 224190-71-0 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 1-cyclopropyl-6-fluoro-7-(4-methyl-1-piperazinyl)-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ \text{Ph-} & \text{CH}_2 - \text{O} & \\ & & & \\ & & & \\ \end{array}$$

RN 224190-83-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 1-ethyl-6-fluoro-3-(phenylmethoxy)-7-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

RN 224190-84-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 1-ethyl-6-fluoro-7-(4-methyl-1-piperazinyl)-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & \\ \text{Ph-} & \text{CH}_2 - \text{O} & \\ & & & \\$$

RN 224190-85-6 HCAPLUS

CN Carbamic acid, [1-[1-ethyl-6-fluoro-1,2,3,4-tetrahydro-2,4-dioxo-3-

(phenylmethoxy)pyrido[2,3-d]pyrimidin-7-yl]-3-pyrrolidinyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 224190-86-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-fluoro-3-(phenylmethoxy)-1-(phenylmethyl)-7-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph-CH}_2 \\ & & \\ & & \\ \text{Ph-CH}_2 - \text{O} \\ & &$$

RN 224191-25-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-fluoro-1-(4-fluorophenyl)-3-(phenylmethoxy)-7-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 224191-26-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 1-butyl-6-fluoro-3-(phenylmethoxy)-7-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & \\ \text{Ph-} & \text{CH}_2 - \text{O} & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 224191-27-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-fluoro-3-(phenylmethoxy)-7-(1-pyrrolidinyl)-1-[4-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CF3 \\ \hline \\ O \\ N \\ \hline \\ N \\ \hline \\ N \\ \hline \\ Ph-CH_2-O \\ \hline \\ O \\ \end{array}$$

RN 224191-28-0 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 1-(2,4-difluorophenyl)-6-fluoro-3-(phenylmethoxy)-7-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 224191-29-1 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-fluoro-1-(4-methylphenyl)-3-(phenylmethoxy)-7-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ \hline \\ \text{O} & \text{N} & \text{N} \\ \hline \\ \text{Ph-CH}_2-\text{O} & \text{O} \\ \end{array}$$

RN 224191-31-5 HCAPLUS
CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-fluoro-1-(2-fluorophenyl)-3-(phenylmethoxy)-7-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

RN 224191-32-6 HCAPLUS
CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-fluoro-1-(4-methoxyphenyl)-3-(phenylmethoxy)-7-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OMe} \\ \hline \\ \text{O} \\ \hline \\ \text{Ph-CH}_2-\text{O} \\ \hline \\ \text{O} \\ \end{array}$$

RN 224191-35-9 HCAPLUS

CN Carbamic acid, [1-[6-fluoro-1-(4-fluorophenyl)-1,2,3,4-tetrahydro-2,4-dioxo-3-(phenylmethoxy)pyrido[2,3-d]pyrimidin-7-yl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 224191-36-0 HCAPLUS

CN Carbamic acid, [(1α,5α,6α)-3-[6-fluoro-1-(4-fluorophenyl)-1,2,3,4-tetrahydro-2,4-dioxo-3-(phenylmethoxy)pyrido[2,3-d]pyrimidin-7-yl]-3-azabicyclo[3.1.0]hex-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

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RN 224191-53-1 HCAPLUS
CN Carbamic acid, [1-[6
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Carbamic acid, [1-[6-fluoro-1-(4-fluorophenyl)-1,2,3,4-tetrahydro-3-hydroxy-2,4-dioxopyrido[2,3-d]pyrimidin-7-yl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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C07D239-96; C07D403-04; C07D471-06; C07D471-04; A61K031-505
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                                    224191-78-0P
                                                   224191-79-1P
     224191-76-8P
        (preparation of 7-substituted 3-hydroxyquinazoline-2,4-diones and
        related compds. as antibacterial agents)
                                    198278-55-6P
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     224191-53-1P
        (preparation of 7-substituted 3-hydroxyquinazoline-2,4-diones and
        related compds. as antibacterial agents)
REFERENCE COUNT:
                               THERE ARE 6 CITED REFERENCES AVAILABLE
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L36 ANSWER 22 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                         1998:600713 HCAPLUS
DOCUMENT NUMBER:
                         129:316187
TITLE:
                         Synthesis and Tyrosine Kinase Inhibitory
                         Activity of a Series of 2-Amino-8H-pyrido[2,3-
                         d]pyrimidines: Identification of Potent,
                         Selective Platelet-Derived Growth Factor
                         Receptor Tyrosine Kinase Inhibitors
AUTHOR (S):
                         Boschelli, Diane H.; Wu, Zhipei; Klutchko,
                         Sylvester R.; Showalter, H. D. Hollis; Hamby,
                         James M.; Lu, Gina H.; Major, Terry C.;
                         Dahring, Tawny K.; Batley, Brian; Panek,
                         Robert L.; Keiser, Joan; Hartl, Brian G.;
                         Kraker, Alan J.; Klohs, Wayne D.; Roberts,
                         Bill J.; Patmore, Sandra; Elliott, William L.;
                         Steinkampf, Randy; Bradford, Laura A.; Hallak,
                         Hussein; Doherty, Annette M.
CORPORATE SOURCE:
                         Department of Medicinal Chemistry, Parke-Davis
                         Pharmaceutical Research Division of
                         Warner-Lambert Company, Ann Arbor, MI, 48105,
SOURCE:
                         Journal of Medicinal Chemistry (1998
                         ), 41(22), 4365-4377
                         CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER:
                         American Chemical Society
                         Journal
DOCUMENT TYPE:
LANGUAGE:
                         English
     Screening of a compound library led to the identification of
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2-amino-6-(2,6-dichlorophenyl)-8-methylpyrido[2,3-d]pyrimidine (I) as a inhibitor of the platelet-derived growth factor receptor (PDGFr), fibroblast growth factor receptor (FGFr), and c-src tyrosine kinases (TKs). Replacement of the primary amino group at C-2 of I with a 4-(N,N-diethylaminoethoxy) phenylamino group gave a compound, which had greatly increased activity against all three TKs. In the present work, variation of the aromatic group at C-6 and of the alkyl group at N-8 of the pyrido[2,3-d]pyrimidine core provided several analogs that retained potency, including derivs. that were biased toward inhibition of the TK activity of PDGFr. Analogs of the 4-[(N,N-diethylaminoethoxy)phenylamino]-substituted derivative with a 3-thiophene or an unsubstituted Ph group at C-6 were the most potent inhibitors. One compound, 2-[4-[2-(diethylamino) ethoxy]phenylamino] -8-ethyl-6-phenyl-8H-pyrido[2,3d]pyrimidin-7-one had IC50 values of 31, 88, and 31 nM against PDGFr, FGFr, and c-src TK activity, resp.,. It was active in a variety of PDGF-dependent cellular assay and blocked the in vivo growth of three PDGF-dependent tumor lines.

IT 185040-16-8P 185040-40-8P 214983-00-3P 214983-01-4P 214983-16-1P 214983-17-2P 214983-20-7P 214983-25-2P 214983-26-3P

(preparation and tyrosine kinase inhibitory activity of aminopyrido[2,3-d]pyrimidines)

RN 185040-16-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 8-ethyl-7,8-dihydro-7-imino-N,6-diphenyl- (9CI) (CA INDEX NAME)

RN 185040-40-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 8-ethyl-7,8-dihydro-7-imino-Nphenyl-6-(3-thienyl)- (9CI) (CA INDEX NAME)

RN 214983-00-3 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7(8H)-imine, 8-methyl-2-(methylthio)-6phenyl- (9CI) (CA INDEX NAME)

RN 214983-01-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7(8H)-imine, 8-methyl-2-(methylthio)-6-(3-thienyl)- (9CI) (CA INDEX NAME)

RN 214983-16-1 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 7,8-dihydro-7-imino-8-methyl-N-phenyl-6-(2-thienyl)- (9CI) (CA INDEX NAME)

RN 214983-17-2 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 6-[1,1'-biphenyl]-4-yl-7,8-dihydro-7-imino-8-methyl-N-phenyl- (9CI) (CA INDEX NAME)

RN 214983-20-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7(8H)-imine, 8-ethyl-2-(methylthio)-6phenyl- (9CI) (CA INDEX NAME)

214983-25-2 HCAPLUS RN

Acetamide, N-[8-methyl-2-(methylthio)-6-phenylpyrido[2,3-CN d]pyrimidin-7(8H)-ylidene]- (9CI) (CA INDEX NAME)

214983-26-3 HCAPLUS RN

Acetamide, N-[8-methyl-2-(methylthio)-6-(3-thienyl)pyrido[2,3-CNd]pyrimidin-7(8H)-ylidene]- (9CI) (CA INDEX NAME)

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT 185040-03-3P 185040-16-8P 185040-17-9P 185040-27-1P

185040-33-9P 185040-36-2P 185040-37-3P 185040-38-4P

185040-39-5P 185040-40-8P 214983-00-3P

214983-02-5P 214983-01-4P 214983-03-6P 214983-04-7P

214983-15-0P 214983-16-1P 214983-05-8P 214983-14-9P

214983-17-2P 214983-20-7P 214983-21-8P

214983-22-9P 214983-25-2P 214983-26-3P

56

(preparation and tyrosine kinase inhibitory activity of aminopyrido[2,3-d]pyrimidines)

REFERENCE COUNT:

THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 23 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1998:202673 HCAPLUS

DOCUMENT NUMBER:

128:257440

TITLE:

Preparation of pyrido[2,3-d]pyrimidines for inhibiting protein tyrosine kinase mediated cellular proliferation

USHA SHRESTHA EIC 1600 REM 1A64

INVENTOR(S):

Blankley, Clifton John; Boschelli, Diane Harris; Doherty, Annette Marian; Hamby, James Marino; Klutchko, Sylvester; Panek, Robert Lee

Warner-Lambert Company, USA

PATENT ASSIGNEE(S): SOURCE:

U.S., 39 pp., Cont.-in-part of U.S. 5,620,981. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5733914	A	19980331	US 1996-611279	
				1996 0403
US 5620981	Α	19970415	< US 1995-433294	
				1995 0503
IL 117923	A1	20000601	< IL 1996-117923	
			•	1996 0416
CA 2214219	AA	19961107	< CA 1996-2214219	1000
				1996 0426
WO 9634867	A1	19961107	< WO 1996-US5819	1006
			<	1996 0426
			HU, JP, KR, LT, LV, MX, UZ, AM, AZ, BY, KG, KZ,	
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AU 713727	В2	19991209	<	
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CN 1183099	Α	19980527	CN 1996-193678	1996
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CN 1083452 JP 11504922	B T2	20020424 19990511		
				1996 0426
NZ 307021	Α	20010427	< NZ 1996-307021	

USHA SHRESTHA EIC 1600 REM 1A64

						1996
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CZ 288160	B6	20010516	CZ	1997-3275		
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PL 184093	B1	20020830	PL	1996-323089		
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SK 283952	В6	20040608	SK	1997-1410		
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ZA 9603486	A	19961113	$z_{\mathbf{A}}$	1996-3486		
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NO 9705033	Α	19971031	NO	1997-5033		
						1997
•						1031
NO 210110	D.1	222222		<		
NO 310110	B1	20010521	110	1005 433304	7.0	
PRIORITY APPLN. INFO.:			US	1995-433294	A 2	1005
						1995
						0503
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			US	1990-0112/9	A	1996
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				<		0403
			ผ≀∩	1996-US5819	W	
			"	1770-003019	**	1996
						0426
						0720

OTHER SOURCE(S):

MARPAT 128:257440

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AB The title compds. [I; X = NH, N-acyl, O, S; R1 = SOR3, SO2R3; R2, R3 = H, (CH2)nPh (where Ph = (un)substituted phenyl; n = 0-3), heteroarom., etc.; Ar = (un)substituted Ph, heteroaryl], inhibitors of protein tyrosine kinases, and thus useful in treating cellular proliferation, especially useful in treating cancer, atherosclerosis, restenosis, and psoriasis, were prepared and formulated. Thus, treatment of 2-ethoxyethanol with NaH followed

by addition of 2,6-dimethylphenylacetonitrile, and 2-amino-4-methylamino-5-pyrimidinecarboxaldehyde (preparation described) afforded pyrido[2,3-d]pyrimidine I [R1 = NH2; R2 = Me; X = NH; Ar = 2,6-dimethylphenyl] which showed 42% inhibition of PDGFr-TK at 50 μ M.

TT 26752-70-5P 185039-20-7P 185039-21-8P 185039-22-9P 185039-29-6P 185039-30-9P 185039-32-1P 185039-37-6P 185039-74-1P 185039-75-2P 185040-04-4P 185040-06-6P 185040-16-8P 185040-18-0P 185040-20-4P 185040-22-6P 185040-24-8P 185040-40-8P

205115-78-2P
 (preparation of pyrido[2,3-d]pyrimidines for inhibiting protein
 tyrosine kinase mediated cellular proliferation)

RN 26752-70-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)- (9CI) (CA INDEX NAME)

RN 185039-20-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 6-(2,6-dimethylphenyl)-7,8-dihydro-7-imino-8-methyl- (9CI) (CA INDEX NAME)

RN 185039-21-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 7,8-dihydro-7-imino-8-methyl-6-(2methylphenyl)- (9CI) (CA INDEX NAME)

RN 185039-22-9 HCAPLUS

CN Pyrido [2,3-d] pyrimidin-2-amine, 7,8-dihydro-7-imino-8-methyl-6-

phenyl- (9CI) (CA INDEX NAME)

RN 185039-29-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7(8H)-imine, 6-(2,6-dichlorophenyl)-8-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 185039-30-9 HCAPLUS

CN 1,3-Propanediamine, N'-[6-(2,6-dichlorophenyl)-7,8-dihydro-7-imino-8-methylpyrido[2,3-d]pyrimidin-2-yl]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 185039-32-1 HCAPLUS

CN Pyrido [2,3-d] pyrimidin-7(8H)-imine, 6-(2,6-dichlorophenyl)-2-(2-ethoxyethoxy)-8-methyl-(9CI) (CA INDEX NAME)

RN 185039-37-6 HCAPLUS

CN: Acetamide, N-[6-(2,6-dichlorophenyl)-8-methyl-2-(methylthio)pyrido[2,3-d]pyrimidin-7(8H)-ylidene]- (9CI) (CA INDEX NAME)

RN 185039-74-1 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7(8H)-imine, 6-(2,6-dichlorophenyl)-8-ethyl-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 185039-75-2 HCAPLUS

CN Acetamide, N-[6-(2,6-dichlorophenyl)-8-ethyl-2-(methylthio)pyrido[2,3-d]pyrimidin-7(8H)-ylidene]- (9CI) (CA INDEX NAME)

RN 185040-04-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 8-ethyl-7,8-dihydro-7-imino-N-phenyl-6-(2-thienyl)- (9CI) (CA INDEX NAME)

RN 185040-06-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 6-(2-bromo-6-chlorophenyl)-8-ethyl-7,8-dihydro-7-imino-N-phenyl-(9CI) (CA INDEX NAME)

RN 185040-16-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 8-ethyl-7,8-dihydro-7-imino-N,6-diphenyl- (9CI) (CA INDEX NAME)

RN 185040-18-0 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 6-(3,5-dimethylphenyl)-8-ethyl-7,8-dihydro-7-imino-N-phenyl- (9CI) (CA INDEX NAME)

RN 185040-20-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 8-ethyl-7,8-dihydro-7-imino-N-phenyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 185040-22-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 8-ethyl-7,8-dihydro-7-imino-6-(2-naphthalenyl)-N-phenyl- (9CI) (CA INDEX NAME)

RN 185040-24-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 6-[1,1'-biphenyl]-4-yl-8-ethyl-7,8-dihydro-7-imino-N-phenyl- (9CI) (CA INDEX NAME)

RN 185040-40-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 8-ethyl-7,8-dihydro-7-imino-N-phenyl-6-(3-thienyl)- (9CI) (CA INDEX NAME)

RN 205115-78-2 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2(1H)-one, 6-(2,6-dichlorophenyl)-7,8-dihydro-7-imino-8-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

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IT 185039-38-7P

(preparation of pyrido[2,3-d]pyrimidines for inhibiting protein tyrosine kinase mediated cellular proliferation)

RN 185039-38-7 HCAPLUS

CN Acetamide, N-[6-(2,6-dichlorophenyl)-2-[[4-(diethylamino)butyl]amino]-8-methylpyrido[2,3-d]pyrimidin-7(8H)-ylidene]-(9CI) (CA INDEX NAME)

IC ICM A61K031-505 ICS C07D487-02

103 0070487-02

INCL 514258000

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

IT 26752-70-5P 185039-20-7P 185039-21-8P

185039-22-9P 185039-23-0P **185039-29-6P**

185039-30-9P 185039-32-1P 185039-34-3P

185039-37-6P 185039-46-7P 185039-48-9P 185039-70-7P

185039-74-1P 185039-75-2P 185039-76-3P

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185040-22-6P 185040-24-8P 185040-31-7P

185040-40-8P 205115-78-2P

(preparation of pyrido[2,3-d]pyrimidines for inhibiting protein tyrosine kinase mediated cellular proliferation)

IT 185039-24-1P 185039-25-2P 185039-26-3P 185039-27-4P 185039-28-5P 185039-31-0P 185039-35-4P 185039-36-5P

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                                                   205115-93-1P
        (preparation of pyrido[2,3-d]pyrimidines for inhibiting protein
        tyrosine kinase mediated cellular proliferation)
REFERENCE COUNT:
                         37
                                THERE ARE 37 CITED REFERENCES AVAILABLE
                                FOR THIS RECORD. ALL CITATIONS AVAILABLE
                                IN THE RE FORMAT
L36 ANSWER 24 OF 39
                      HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                          1998:202672 HCAPLUS
DOCUMENT NUMBER: .
                          128:257439
TITLE:
                         Preparation of 6-arylpyrido[2,3-d]pyrimidines
                         and naphthyridines for inhibiting protein
                          tyrosine kinase mediated cellular
                         proliferation
INVENTOR(S):
                         Blankley, Clifton John; Doherty, Annette
                         Marian; Hamby, James Marino; Panek, Robert
                         Lee; Schroeder, Mel Conrad; Showalter, Howard
                         Daniel Hollis; Connolly, Cleo
PATENT ASSIGNEE(S):
                         USA
                         U.S., 36 pp., Cont.-in-part of U.S. Ser. No.
SOURCE:
                          339,051, abandoned.
                          CODEN: USXXAM
DOCUMENT TYPE:
                          Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5733913	A	19980331	US 1995-539410	1995 1106
CA 2199964	AA	19960523	< CA 1995-2199964	1995
WO 9615128	A2	19960523	< WO 1995-US14700	1113 , 1995 1113

W: AM, AU, BG, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR,

	KZ, UA,		LV,	MD,	MX,	NO,	NZ,	PL,	RC	Ο,	RU,	SG,	SI,	SK,	TJ,
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	711426					1999 1997			מים	7.0	.0.	02.01	20		
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OTHER SOURCE(S):

MARPAT 128:257439

AB The title compds. [I; R1, R2, R4 = H, C1-8 alkyl, C2-8 alkenyl, etc.; R3 = C(O)R8, CO2R8, C(S)R8, etc.; R8 = H, C1-8 alkyl, C2-8 alkenyl, etc.; Ar = (un)substituted aromatic ot heteroarom. selected

from Ph, imidazolyl, pyrrolyl, etc.], inhibitors of protein tyrosine kinase which are especially useful in treating atherosclerosis, restenosis, psoriasis, as well as bacterial infections, were prepared and formulated. Thus, reaction of 2,7-diamino-6-(2,6dichlorophenyl)pyrido[2,3-d]pyrimidine (preparation described) with tert-Bu isocyanate in the presence of NaH in DMF afforded the urea I [R1 = R4 = H; R2 = R3 = C(0)] MHtBu; Ar = 2,6-Cl2C6H3] which showed IC50 of 10.2 μM against PDGF receptor tyrosine kinase. 26752-61-4P 26752-64-7P 26752-70-5P 26752-80-7P 179343-17-0P 179343-18-1P 179343-19-2P 179343-20-5P 179343-21-6P 179343-23-8P 179343-24-9P 179343-25-0P 179343-26-1P 179343-27-2P 179343-28-3P 179343-29-4P 179343-30-7P 179343-31-8P 179343-32-9P 179343-33-0P 179343-34-1P 179343-35-2P 179343-36-3P 179343-37-4P 179343-38-5P 179343-44-3P 179343-45-4P 179343-46-5P 179343-47-6P 179343-48-7P 179343-49-8P (preparation of 6-arylpyrido[2,3-d]pyrimidines and naphthyridines for inhibiting protein tyrosine kinase mediated cellular proliferation) 26752-61-4 HCAPLUS Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-phenyl- (9CI) (CA INDEX

NAME)

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RN

CN

RN 26752-64-7 HCAPLUS CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 26752-80-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 179343-17-0 HCAPLUS

CN Urea, N-[2-amino-6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179343-18-1 HCAPLUS

CN Urea, N-[2-amino-6-(2,6-dimethylphenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179343-19-2 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[3-(diethylamino)propyl]- (9CI) (CA INDEX NAME)

RN 179343-20-5 HCAPLUS

CN Pyrido [2,3-d] pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[3-

(4-methyl-1-piperazinyl)propyl] - (9CI) (CA INDEX NAME)

Me N
$$\sim$$
 (CH₂) 3-NH \sim NH₂ \sim Cl

RN 179343-21-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[4-(diethylamino)butyl]- (9CI) (CA INDEX NAME)

RN 179343-23-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dibromophenyl)- (9CI) (CA INDEX NAME)

RN 179343-24-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dibromophenyl)-N2-[3-(diethylamino)propyl]- (9CI) (CA INDEX NAME)

RN 179343-25-0 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-difluorophenyl)- (9CI) (CA INDEX NAME)

RN 179343-26-1 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[2-(diethylamino)ethyl]- (9CI) (CA INDEX NAME)

RN 179343-27-2 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,3-dichlorophenyl)- (9CI) (CA INDEX NAME)

RN 179343-28-3 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,3,6-trichlorophenyl)-(9CI) (CA INDEX NAME)

RN 179343-29-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,3-dimethylphenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H_2N & N & NH_2 \\ \hline N & N & Me \\ \hline \end{array}$$

÷ 24.55.

RN 179343-30-7 HCAPLUS CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(3,5-dimethylphenyl)- (9CI) (CA INDEX NAME)

RN 179343-31-8 HCAPLUS
CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,4,6-trimethylphenyl)(9CI) (CA INDEX NAME)

RN 179343-32-9 HCAPLUS
CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,3,5,6-tetramethylphenyl)(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{H}_2\text{N} & \text{N} & \text{NH}_2 \\ \text{N} & \text{Me} & \text{Me} \\ \\ \text{Me} & \text{Me} & \text{Me} \\ \end{array}$$

RN 179343-33-0 HCAPLUS
CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2-methoxyphenyl)- (9CI)
(CA INDEX NAME)

RN 179343-34-1 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 179343-35-2 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2-bromo-6-chlorophenyl)-(9CI) (CA INDEX NAME)

RN 179343-36-3 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 179343-37-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, N2-[3-(diethylamino)propyl]-6-(2,6-dimethylphenyl)- (9CI) (CA INDEX NAME)

RN 179343-38-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, N2-[3-(4-methyl-1-piperazinyl)propyl]-6-(2,3,5,6-tetramethylphenyl)- (9CI) (CA INDEX NAME)

Me N
$$\sim$$
 (CH₂) 3 \sim NH \sim NH \sim Me Me Me

RN 179343-44-3 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[3-(dimethylamino)propyl]- (9CI) (CA INDEX NAME)

RN 179343-45-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[3-(dimethylamino)-2,2-dimethylpropyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me}_2\text{N}-\text{CH}_2-\text{C}-\text{CH}_2-\text{NH} \\ \text{Me} \\ \\ \text{N} \end{array}$$

RN 179343-46-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[3-(2-methyl-1-piperidinyl)propyl]- (9CI) (CA INDEX NAME)

Me
$$N-(CH_2)_3-NH-N-N+2$$
 $N+2$ $N+2$ $N+2$ $N+2$ $N+2$ $N+3$ $N+4$ $N+4$

RN 179343-47-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[4-(4-methyl-1-piperazinyl)butyl]- (9CI) (CA INDEX NAME)

Me N (CH₂)
$$_4$$
 - NH N NH₂ Cl

RN 179343-48-7 HCAPLUS

RN 179343-49-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[3-(dimethylamino)propyl]-N2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \mid \\ \text{Me}_2\text{N-} (\text{CH}_2)_3 - \text{N} \\ \mid \\ \text{N} \end{array} \begin{array}{c} \text{N} \\ \text{N} \\ \text{Cl} \end{array}$$

IT 26752-79-4P 84279-29-8P 179342-38-2P 179342-39-3P 179342-40-6P 179342-42-8P 179342-46-2P 179342-47-3P 179342-49-5P 179342-51-9P 179342-52-0P 179342-53-1P 179342-54-2P 179342-55-3P 179342-56-4P 179342-57-5P 179342-58-6P 179342-60-0P 179342-61-1P 179342-62-2P 179342-63-3P 179342-64-4P 179342-65-5P 179342-66-6P 179342-67-7P 179342-68-8P 179342-69-9P 179342-70-2P 179342-71-3P 179342-72-4P 179342-73-5P 179342-74-6P 179342-75-7P 179342-76-8P 179342-77-9P 179342-78-0P 179342-79-1P 179342-80-4P 179342-81-5P 179342-82-6P 179342-83-7P 179342-84-8P 179342-85-9P 179342-86-0P 179342-89-3P 179342-90-6P 179342-91-7P 179342-92-8P 179342-93-9P 179342-94-0P 179342-95-1P 179342-96-2P 179342-97-3P 179342-98-4P 179342-99-5P 179343-00-1P 179343-01-2P 179343-02-3P 179343-03-4P 179343-04-5P 179343-05-6P 179343-06-7P 179343-07-8P 179343-08-9P 179343-09-0P 179343-10-3P 179343-11-4P 179343-12-5P 179343-13-6P 179343-16-9P 179343-22-7P 179343-52-3P 192705-80-9P 205312-97-6P 205312-98-7P 205312-99-8P 205313-01-5P (preparation of 6-arylpyrido[2,3-d]pyrimidines and naphthyridines for inhibiting protein tyrosine kinase mediated cellular proliferation) RN 26752-79-4 HCAPLUS Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2-pyridinyl)- (9CI) (CA CN INDEX NAME)

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RN 84279-29-8 HCAPLUS
CN Acetamide, N,N'-[6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidine-2,7diyl]bis- (9CI) (CA INDEX NAME)

RN 179342-38-2 HCAPLUS
CN Urea, N,N''-[6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidine-2,7-diyl]bis[N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-39-3 HCAPLUS

CN Urea, N,N''-[6-(2-methylphenyl)pyrido[2,3-d]pyrimidine-2,7-diyl]bis[N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-40-6 HCAPLUS

CN Urea, N-[2-amino-6-(2-methylphenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-42-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(4-methoxyphenyl)-N7-methyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \mathbf{H_2N} & \mathbf{N} & \mathbf{N} \\ \mathbf{N} & \mathbf{N} & \mathbf{N} \end{array}$$

RN 179342-46-2 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, N,N'-dimethyl-6-phenyl- (9CI) (CA INDEX NAME)

RN 179342-47-3 HCAPLUS

RN 179342-49-5 HCAPLUS

CN Urea, N-[2-amino-6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-ethyl- (9CI) (CA INDEX NAME)

RN 179342-51-9 HCAPLUS

CN Thiourea, N-[2-amino-6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)

RN 179342-52-0 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N7-(4,5-dihydro-2-oxazolyl)- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 179342-53-1 HCAPLUS

CN Urea, N,N''-[6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidine-2,7-diyl]bis[N'-butyl- (9CI) (CA INDEX NAME)

RN 179342-54-2 HCAPLUS

CN Urea, N-[2-amino-6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-propyl- (9CI) (CA INDEX NAME)

RN 179342-55-3 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(dimethylamino)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

$$Me_2N-(CH_2)_3-NH$$
 N
 N
 $NH-C-NHBu-t$
 $C1$

RN 179342-56-4 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(dimethylamino)-2,2-dimethylpropyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-57-5 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(2-methyl-1-piperidinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-58-6 HCAPLUS

Urea, N-[6-(2,6-dichlorophenyl)-2-[[4-(4-methyl-1piperazinyl)butyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1dimethylethyl)- (9CI) (CA INDEX NAME)

Me N N NH-C-NHBu-t C1
$$\sim$$
 C1

RN 179342-60-0 HCAPLUS

CN Urea, N-cyclohexyl-N'-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 179342-61-1 HCAPLUS

Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1methylethyl)- (9CI) (CA INDEX NAME)

Me N
$$\sim$$
 (CH2) 3 \sim NH \sim NH \sim Cl \sim Cl

RN 179342-62-2 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 179342-63-3 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-2-propenyl- (9CI) (CA INDEX NAME)

Me N NH-C-NH-CH₂-CH= CH₂

$$C1$$

$$C1$$

RN 179342-64-4 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(4methoxyphenyl)- (9CI) (CA INDEX NAME)

Me NH-C-NH C1
$$\sim$$
 C1

RN 179342-65-5 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 179342-66-6 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(2methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 179342-67-7 HCAPLUS

Urea, N-(4-bromophenyl)-N'-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]- (9CI)
(CA INDEX NAME)

Me NH-C-NH C1
$$N$$
 C1

RN 179342-68-8 HCAPLUS

CN Urea, N-(4-chlorophenyl)-N'-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-(9CI) (CA INDEX NAME)

RN 179342-69-9 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(4methylphenyl)- (9CI) (CA INDEX NAME)

Me NH-C-NH C1
$$\sim$$
 C1

RN 179342-70-2 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-octyl-(9CI) (CA INDEX NAME)

RN 179342-71-3 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Me NH-C-NH C1
$$\sim$$
 C1

RN 179342-72-4 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-ethyl(9CI) (CA INDEX NAME)

RN 179342-73-5 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-1-naphthalenyl- (9CI) (CA INDEX NAME)

RN 179342-74-6 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-phenyl(9CI) (CA INDEX NAME)

Me N NH C-NHPh
$$\sim$$
 C1 \sim C1

RN 179342-75-7 HCAPLUS

Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1dimethylethyl)- (9CI) (CA INDEX NAME)

●11/10 HCl

RN 179342-79-1 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-morpholinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-80-4 HCAPLUS

CN Urea, N-[6-(2,6-dibromophenyl)-2-[[3-(diethylamino)propyl]amino]py rido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-81-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dimethoxyphenyl)-(9CI) (CA INDEX NAME)

RN 179342-82-6 HCAPLUS

RN 179342-83-7 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[2-(diethylamino)ethyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-ethyl-(9CI) (CA INDEX NAME)

RN 179342-84-8 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(dimethylamino)propyl]methylamino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)-(9CI) (CA INDEX NAME)

RN 179342-85-9 HCAPLUS

CN Ethanol, 2-[[3-[[7-amino-6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidin-2-yl]amino]propyl]ethylamino]- (9CI) (CA INDEX NAME)

RN 179342-86-0 HCAPLUS

CN Methanimidamide, N'-[6-(2,6-dichlorophenyl)-2-[[3-(diethylamino)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N,N-dimethyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Et}_2\text{N}-\text{(CH}_2)_3-\text{NH} & \text{N} & \text{N} & \text{CH}-\text{NMe}_2 \\ \\ \text{Cl} & & \text{Cl} & & \end{array}$$

RN 179342-89-3 HCAPLUS

CN Urea, N-(2-amino-6-phenylpyrido[2,3-d]pyrimidin-7-yl)-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-90-6 HCAPLUS

CN Urea, N-[2-amino-6-(2,3-dichlorophenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-91-7 HCAPLUS

CN Urea, N-[2-amino-6-(2,3,6-trichlorophenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-92-8 HCAPLUS

CN Urea, N-[2-amino-6-(2,6-difluorophenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-93-9 HCAPLUS

CN Urea, N-[2-amino-6-(2,6-dibromophenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-94-0 HCAPLUS

CN Urea, N-[2-amino-6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 179342-95-1 HCAPLUS

CN Urea, N-[2-amino-6-(2,3-dimethylphenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-96-2 HCAPLUS

CN Urea, N-[2-amino-6-(3,5-dimethylphenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-97-3 HCAPLUS

CN Urea, N-[2-amino-6-(2,4,6-trimethylphenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-98-4 HCAPLUS

CN Urea, N-[2-amino-6-(2,3,5,6-tetramethylphenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-99-5 HCAPLUS

CN Urea, N-[2-amino-6-(2-methoxyphenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179343-00-1 HCAPLUS

CN Urea, N-[2-amino-6-(3-methoxyphenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179343-01-2 HCAPLUS

CN Urea, N-[2-amino-6-(2-bromo-6-chlorophenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179343-02-3 HCAPLUS

CN 1-Propanesulfonamide, N-[2-amino-6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 179343-03-4 HCAPLUS

CN Urea, N-[2-amino-6-(3-pyridinyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179343-04-5 HCAPLUS

CN Urea, N-[2-amino-6-(4-pyridinyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179343-05-6 HCAPLUS

RN 179343-07-8 HCAPLUS
CN Urea, N-[2-[[3-(diethylamino)propyl]amino]-6-(2,6dimethylphenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-ethyl- (9CI) (CA
INDEX NAME)

RN 179343-08-9 HCAPLUS
CN Urea, N-[2-[[3-(diethylamino)propyl]amino]-6-(2,6-dimethylphenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)-(9CI) (CA INDEX NAME)

RN 179343-09-0 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-tricyclo[3.3.1.13,7]dec-1-yl-(9CI) (CA INDEX NAME)

RN 179343-10-3 HCAPLUS

CN Thiourea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179343-11-4 HCAPLUS

CN Urea, N'-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N,N-diethyl-(9CI) (CA INDEX NAME)

Me N N NH-C-NEt2
$$\sim$$
 C1

RN 179343-12-5 HCAPLUS

CN Urea, N-(1,1-dimethylethyl)-N'-[2-[[3-(4-methyl-1-piperazinyl)propyl]amino]-6-(2,3,5,6-tetramethylphenyl)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 179343-13-6 HCAPLUS

CN Thiourea, N-[6-(2,6-dichlorophenyl)-2-[[4-(diethylamino)butyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{Et}_2\text{N}-\text{(CH}_2)_4-\text{NH} & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 179343-16-9 HCAPLUS

CN Guanidine, N-[6-(2,6-dichlorophenyl)-2-[[3-(diethylamino)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-ethyl-(9CI) (CA INDEX NAME)

RN 179343-22-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)

RN 179343-52-3 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2,6-dichlorophenyl)-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 192705-80-9 HCAPLUS

RN 205312-97-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, N7-butyl-6-phenyl- (9CI) (CA INDEX NAME) RN 205312-98-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N7-(5,6-dihydro-4H-1,3-oxazin-2-yl)-N2-[3-(4-methyl-1-piperazinyl)propyl]- (9CI) (CA INDEX NAME)

Me N
$$\sim$$
 (CH₂) 3 \sim N \sim N \sim N \sim C1 \sim C1

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 205312-99-8 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[(dimethylamino)methylene]amino | pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me}_2\text{N-CH} = \text{N} \\ \text{N} \\ \text{N} \\ \text{C1} \\ \end{array}$$

RN 205313-01-5 HCAPLUS

CN Methanimidamide, N',N'''-[6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidine-2,7-diyl]bis[N,N-dimethyl- (9CI) (CA INDEX NAME)

IT 179343-51-2P 205313-02-6P

(preparation of 6-arylpyrido[2,3-d]pyrimidines and naphthyridines for inhibiting protein tyrosine kinase mediated cellular proliferation)

RN 179343-51-2 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-phenyl- (9CI) (CA INDEX NAME)

RN 205313-02-6 HCAPLUS

CN Carbamic acid, [[[6-(2,6-dichlorophenyl)-2-[[3-(diethylamino)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]amino][[(1,1-dimethylethoxy)carbonyl]imino]methyl]ethyl-,1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

IC ICM C07D471-04

ICS A61K031-505

INCL 514258000

CC 28-16 (Heterocyclic Compounds (More Than One Hetero

ALOIII))

Section cross-reference(s): 1, 63 IT 26752-61-4P 26752-64-7P 26752-70-5P

26752-80-7P 179343-17-0P 179343-18-1P

179343-19-2P 179343-20-5P 179343-21-6P

179343-23-8P 179343-24-9P 179343-25-0P

179343-26-1P 179343-27-2P 179343-28-3P

179343-29-4P 179343-30-7P 179343-31-8P

179343-32-9P 179343-33-0P 179343-34-1P

179343-35-2P 179343-36-3P 179343-37-4P 179343-38-5P 179343-42-1P 179343-44-3P

179343-45-4P 179343-46-5P 179343-47-6P

179343-48-7P 179343-49-8P

(preparation of 6-arylpyrido[2,3-d]pyrimidines and naphthyridines for inhibiting protein tyrosine kinase mediated cellular proliferation)

IT 26752-79-4P 84279-29-8P 179342-38-2P 179342-39-3P 179342-40-6P 179342-42-8P

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179342-44-0P
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     179343-03-4P 179343-04-5P 179343-05-6P
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     179343-22-7P 179343-52-3P 192705-80-9P
     205312-97-6P 205312-98-7P 205312-99-8P
     205313-01-5P
        (preparation of 6-arylpyrido[2,3-d]pyrimidines and naphthyridines
        for inhibiting protein tyrosine kinase mediated cellular
        proliferation)
     588-36-3P 770-31-0P
                             776-53-4P
                                        75776-47-5P
                                                       99973-42-9P
                   179343-40-9P 179343-41-0P 179343-43-2P
     179343-39-6P
     179343-50-1P 179343-51-2P 205313-02-6P
     205313-04-8P
        (preparation of 6-arylpyrido[2,3-d]pyrimidines and naphthyridines
        for inhibiting protein tyrosine kinase mediated cellular
        proliferation)
                               THERE ARE 26 CITED REFERENCES AVAILABLE
REFERENCE COUNT:
                         26
                               FOR THIS RECORD. ALL CITATIONS AVAILABLE
                               IN THE RE FORMAT
L36 ANSWER 25 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN
                         1997:696745 HCAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                         128:3695
                         Preparation of N-quinazolinylacrylamides and
TITLE:
                         analogs as tyrosine kinase inhibitors
                         Bridges, Alexander James; Denny, William
INVENTOR(S):
                         Alexander; Dobrusin, Ellen Myra; Doherty,
                         Annette Marian; Fry, David W.; Mcnamara,
                         Dennis Joseph; Showalter, Howard Daniel
                         Hollis; Smaill, Jeffrey B.; Zhou, Hairong; et
                         al.
PATENT ASSIGNEE(S):
                         Warner-Lambert Company, USA; Bridges,
                         Alexander James; Denny, William Alexander;
                         Dobrusin, Ellen Myra; Doherty, Annette Marian;
                         Fry, David W.; Mcnamara, Dennis Joseph;
                         Showalter, Howard Daniel Hollis; Smaill,
                         Jeffrey B.; Zhou, Hairong
                         PCT Int. Appl., 193 pp.
SOURCE:
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
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TТ

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 9738983	A1 19971023	WO 1997-US5778	1997 0408
IS, JP, KR, PL, RO, SG,	LC, LK, LR, LT,	CN, CZ, EE, GE, GH, HU, LV, MG, MK, MN, MX, NO, UA, US, UZ, VN, YU, AM,	NZ,
RW: GH, KE, LS, FR, GB, GR, CI, CM, GA,	MW, SD, SZ, UG, IE, IT, LU, MC, GN, ML, MR, NE,	AT, BE, CH, DE, DK, ES, NL, PT, SE, BF, BJ, CF, SN, TD, TG CA 1997-2249446	
	111 13371023		1997 0408
AU 9724463	A1 19971107	< AU 1997-24463	1997 0408
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EP 892789	B1 20020227	<	0408
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JP 3370340	B2 20030127		0408
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ES 2174250	T3 20021101	< ES 1997-920213	1997 0408
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			_	0408	
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				1997	
			<	0408	
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US 6602863	B1	20030805	US 2000-671559	2000	
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US 2003229051	A1	20031211	US 2003-441450	2003	
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PRIORITY APPLN. INFO.:			< US 1996-15351P	P	
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			< WO 1997-US5778	W	
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			<	0408	
			US 1999-155501		
				1999	
			4	0608	

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US 2000-671559

II

3

2000 0927

OTHER SOURCE(S):

MARPAT 128:3695

GI

Title compds. [I; R = (CHR6)pR9; R1R2 = CH:CR7CR8:CH, CH:CR7CR8:N, CH:CR7N:CH, etc.; R6 = H or alkyl; 1 of R7,R8 = Z1Z2R10 and the other = OR4, SR4, NHR3; R3,R4 = (un)substituted alkyl, heterocyclylalkyl, etc.; R9 = (un)substituted Ph; R10 = CR11:CHR5, C.tplbond.CR5, CR11:C:CHR5; R5 = H, halo, alkyl, Ph, etc.; R11 = H, halo, alkyl; Z1 = bond, O, (alkyl)imino, CH2, etc.; Z2 = CO, SO, P(O)(OH), etc.; p = 0 or 1] were prepared Thus, I (R = C6H4Br-3, R1R2 = CH:NCR8:CH, R8 = F) was condensed with 3-morpholinoprpanamine and the product acylated by CH2:CHCOCl to give title compound II. Data for biol. activity of I were given. IT 198960-62-2P

(preparation of N-quinazolinylacrylamides and analogs as tyrosine kinase inhibitors)

RN 198960-62-2 HCAPLUS

CN 2-Propenamide, N-[4-[(3-bromophenyl)amino]-7-[3-(4-morpholinyl)propoxy]pyrido[3,2-d]pyrimidin-6-yl]- (9CI) (CA INDEX NAME)

IC ICM C07D239-94

ICS C07D487-04; C07D471-04; C07D495-04

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

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                                                    198961-73-8P
         (preparation of N-quinazolinylacrylamides and analogs as tyrosine
        kinase inhibitors)
L36 ANSWER 26 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN
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1997:92101 HCAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                         126:186045
                         Synthesis of pyridopyrimidines and
TITLE:
                         quinolinopyrimidines
                         Assy, M. G.; El-Kafrawy, M.; Ghareeb, M. O.
AUTHOR(S):
                         Chemistry Department, Faculty of Science,
CORPORATE SOURCE:
                         Zagazig University, Zagazig, Egypt
                         Journal of the Indian Chemical Society (
SOURCE:
                         1996), 73(11), 623-624
                         CODEN: JICSAH; ISSN: 0019-4522
PUBLISHER:
                         Indian Chemical Society
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USHA SHRESTHA EIC 1600 REM 1A64

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB Reaction of uracil with arylidenemalononitriles in the presence of piperidine afforded 2-amino-4-aryl-5,7-dioxopyrido[2,3-d]pyrimidine-3-carbonitriles, which, with benzoyl isothiocyanate, gave 1-amino-10-aryl-2-benzoyl-3-thioxopyrido[2,3-d]dipyrimidine-7,9-diones. Hexahydroquinolino[2,3-d]pyrimidines were similarly prepared The title compds. showed moderate activity against Aspergillus niger.

IT 187398-60-3P 187398-61-4P 187398-62-5P

(preparation of **fungicidal** pyridopyrimidines and quinolinopyrimidines)

RN 187398-60-3 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 7-amino-1,2,3,4-tetrahydro-2,4-dioxo-5-phenyl- (9CI) (CA INDEX NAME)

RN 187398-61-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 7-amino-5-(4-chlorophenyl)-1,2,3,4-tetrahydro-2,4-dioxo-(9CI) (CA INDEX NAME)

RN 187398-62-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 7-amino-5-(2-chlorophenyl)-1,2,3,4-tetrahydro-2,4-dioxo-(9CI) (CA INDEX NAME)

28-16 (Heterocyclic Compounds (More Than One Hetero CC Atom))

Section cross-reference(s): 1

ST fungicide pyridopyrimidine quinolinopyrimidine prepn

IT Fungicides

(pyridopyrimidines and quinolinopyrimidines)

187398-60-3P 187398-61-4P 187398-62-5P IT

> 187398-70-5P 187398-71-6P 187398-72-7P 187398-73-8P

(preparation of fungicidal pyridopyrimidines and

quinolinopyrimidines)

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE

IN THE RE FORMAT

L36 ANSWER 27 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1997:26258 HCAPLUS

DOCUMENT NUMBER:

126:59965

TITLE:

Preparation of pyrido[2,3-d]pyrimidines as

protein tyrosine kinase mediated cell

proliferation inhibitors

INVENTOR (S):

Blankley, Clifton John; Boschelli, Diane

Harris; Doherty, Annette Marian; Hamby, James

Marino; Klutchko, Sylvester; Panek, Robert Lee

PATENT ASSIGNEE(S):

Warner-Lambert Company, USA PCT Int. Appl., 147 pp.

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CODEN: PIXXD2

DOCUMENT TYPE:

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LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

US 5620981

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9634867	A1	19961107	WO 1996-US5819	
				1996
				0426

AU, BG, CA, CN, CZ, EE, GE, HU, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, UZ, AM, AZ, BY, KG, KZ, MD,

RU, TJ, TM

RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC,

NL, PT, SE

Α 19970415 US 1995-433294

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$$R^{1}$$
 N
 N
 N
 R^{2}
 X

Title compds. [I; R = (un) substituted Ph or heteroaryl; R1 = NR3R4, SOO-2R3, OR3; R2-R4 = H, alkyl, (CH2)0-3Ph, heteroaryl, etc.; R4 may addnl. = COR3, CO2R3, SO2R3, etc.; NR3R4 = atoms to form a ring; X = O, S, (acyl)imino] were pred. Thus, EtoCH:C(CN)CO2Et was cyclocondensed with MeSC(:NH)NH2 and the product converted in 5 steps to 2-amino-4-methylamino-5-pyrimidinecarboxaldehyde which was cyclocondensed with 2,6-Me2C6H3CH2CN to give I (R = 2,6-Me2C6H3, R1 = NH2, R2 = Me, X = NH). Data for biol. activity of I were given.

1T 185039-20-7P 185039-21-8P 185039-22-9P
185039-29-6P 185039-30-9P 185039-32-1P
185039-33-2P 185039-37-6P 185039-38-7P
185039-74-1P 185039-75-2P 185040-04-4P
185040-06-6P 185040-16-8P 185040-18-0P
185040-20-4P 185040-22-6P 185040-24-8P

(preparation of pyrido[2,3-d]pyrimidines as protein tyrosine kinase mediated cell proliferation inhibitors)

RN 185039-20-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 6-(2,6-dimethylphenyl)-7,8-dihydro-7-imino-8-methyl- (9CI) (CA INDEX NAME)

RN 185039-21-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 7,8-dihydro-7-imino-8-methyl-6-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 185039-22-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 7,8-dihydro-7-imino-8-methyl-6-phenyl- (9CI) (CA INDEX NAME)

RN 185039-29-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7(8H)-imine, 6-(2,6-dichlorophenyl)-8-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 185039-30-9 HCAPLUS

CN 1,3-Propanediamine, N'-[6-(2,6-dichlorophenyl)-7,8-dihydro-7-imino-8-methylpyrido[2,3-d]pyrimidin-2-yl]-N,N-diethyl-(9CI) (CA INDEX NAME)

RN 185039-32-1 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7(8H)-imine, 6-(2,6-dichlorophenyl)-2-(2-ethoxyethoxy)-8-methyl- (9CI) (CA INDEX NAME)

RN 185039-33-2 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2(1H)-one, 6-(2,6-dichlorophenyl)-7,8-dihydro-7-imino-8-methyl- (9CI) (CA INDEX NAME)

RN 185039-37-6 HCAPLUS

CN Acetamide, N-[6-(2,6-dichlorophenyl)-8-methyl-2-(methylthio)pyrido[2,3-d]pyrimidin-7(8H)-ylidene]- (9CI) (CA INDEX NAME)

RN 185039-38-7 HCAPLUS

CN Acetamide, N-[6-(2,6-dichlorophenyl)-2-[[4-(diethylamino)butyl]amino]-8-methylpyrido[2,3-d]pyrimidin-7(8H)-ylidene]- (9CI) (CA INDEX NAME)

RN 185039-74-1 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7(8H)-imine, 6-(2,6-dichlorophenyl)-8-ethyl-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 185039-75-2 HCAPLUS

CN Acetamide, N-[6-(2,6-dichlorophenyl)-8-ethyl-2-(methylthio)pyrido[2,3-d]pyrimidin-7(8H)-ylidene]- (9CI) (CA INDEX NAME)

RN 185040-04-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 8-ethyl-7,8-dihydro-7-imino-N-phenyl-6-(2-thienyl)- (9CI) (CA INDEX NAME)

RN 185040-06-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 6-(2-bromo-6-chlorophenyl)-8-ethyl-7,8-dihydro-7-imino-N-phenyl- (9CI) (CA INDEX NAME)

RN 185040-16-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 8-ethyl-7,8-dihydro-7-imino-N,6-diphenyl- (9CI) (CA INDEX NAME)

RN 185040-18-0 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 6-(3,5-dimethylphenyl)-8-ethyl-7,8-dihydro-7-imino-N-phenyl- (9CI) (CA INDEX NAME)

RN 185040-20-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 8-ethyl-7,8-dihydro-7-imino-N-phenyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 185040-22-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 8-ethyl-7,8-dihydro-7-imino-6-(2-naphthalenyl)-N-phenyl- (9CI) (CA INDEX NAME)

RN 185040-24-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-2-amine, 6-[1,1'-biphenyl]-4-yl-8-ethyl-7,8-dihydro-7-imino-N-phenyl- (9CI) (CA INDEX NAME)

IT 26752-70-5P 185040-40-8P

> (preparation of pyrido[2,3-d]pyrimidines as protein tyrosine kinase mediated cell proliferation inhibitors)

26752-70-5 HCAPLUS RN

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)- (9CI) (CA INDEX NAME)

RN 185040-40-8 HCAPLUS

Pyrido[2,3-d]pyrimidin-2-amine, 8-ethyl-7,8-dihydro-7-imino-N-CN phenyl-6-(3-thienyl)- (9CI) (CA INDEX NAME)

IC ICM C07D471-04

> A61K031-505 ICS

ICI C07D471-04, C07D239-00, C07D221-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero

Section cross-reference(s): 1

IT 185039-20-7P 185039-21-8P 185039-22-9P 185039-23-0P 185039-24-1P 185039-25-2P 185039-26-3P 185039-27-4P 185039-28-5P 185039-29-6P 185039-30-9P 185039-31-0P 185039-32-1P 185039-33-2P 185039-34-3P 185039-35-4P 185039-36-5P 185039-37-6P 185039-38-7P 185039-39-8P 185039-40-1P 185039-41-2P 185039-42-3P 185039-43-4P 185039-44-5P 185039-45-6P 185039-46-7P 185039-47-8P 185039-48-9P 185039-49-0P 185039-50-3P 185039-51-4P 185039-52-5P 185039-53-6P 185039-54-7P 185039-55-8P 185039-56-9P 185039-57-0P 185039-58-1P 185039-59-2P 185039-60-5P 185039-63-8P 185039-61-6P 185039-62-7P 185039-64-9P 185039-65-0P 185039-66-1P 185039-67-2P 185039-70-7P 185039-71-8P 185039-68-3P 185039-69-4P 185039-72-9P 185039-73-0P 185039-74-1P 185039-75-2P 185039-76-3P 185039-77-4P 185039-78-5P 185039-81-0P

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        (preparation of pyrido[2,3-d]pyrimidines as protein tyrosine kinase
       mediated cell proliferation inhibitors)
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        (preparation of pyrido[2,3-d]pyrimidines as protein tyrosine kinase
       mediated cell proliferation inhibitors)
L36 ANSWER 28 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                       1996:467130 HCAPLUS
DOCUMENT NUMBER:
                        125:114688
                       Preparation of 6-aryl pyrido[2,3-d]pyrimidines
TITLE:
                        and naphthyridines for inhibiting protein
                        tyrosine kinase-mediated cellular
                        proliferation
INVENTOR(S):
                        Blankley, Clifton John; Doherty, Annette
                        Marian; Hamby, James Marino; Panek, Robert
                        Lee; Schroeder, Mel Conrad; Showalter, Howard
                        Daniel Hollis; Connolly, Cleo
                        Warner-Lambert Company, USA
PATENT ASSIGNEE(S):
                        PCT Int. Appl., 134 pp.
SOURCE:
                        CODEN: PIXXD2
DOCUMENT TYPE:
                        Patent
                        English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
    PATENT NO.
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					WO	1995-US14700	W	
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1995 1113

OTHER SOURCE(S):

MARPAT 125:114688

6-Arylpyrido[2,3-d]pyrimidines and naphthyridines I [X = CH, N; B AB = halo, OH, NR3R4; R1, R2, R3, R4 = H, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, Ar', amino, C1-8 alkylamino, di-C1-8 alkylamino, wherein the alkyl, alkenyl, and alkynyl groups may be substituted by amino, OH, or 5- or 6-membered carbocyclic or heterocyclic ring; Ar, Ar' = (un)substituted aromatic or heteroarom. groups; R1R2N or R3R4N can complete a ring having 3-6 C atoms and optionally containing 1 or 2 heteroatoms; when X = N and B = NR3R4, one of R3 and $R4 \neq H$] or their pharmaceutically acceptable acid and base addition salts, useful as inhibitors of protein tyrosine kinase and thus useful in treating cellular proliferation mediated thereby, are claimed. The compds. are especially useful in treating atherosclerosis, restenosis, psoriasis, as well as bacterial infections. In an example, the IC50 of I [X = N, B = NHCONH2, R1 = H, R2 = Et2N(CH2)4 Ar = 2,6-Cl2C6H3; preparation given] for inhibition of protein tyrosine kinases was 0.231 μM for PDGF and 0.0954 for FGF.

IT 26752-61-4P 26752-70-5P 26752-80-7P 179343-17-0P 179343-18-1P 179343-19-2P 179343-20-5P 179343-21-6P 179343-22-7P 179343-23-8P 179343-24-9P 179343-25-0P 179343-26-1P 179343-27-2P 179343-28-3P 179343-29-4P 179343-30-7P 179343-31-8P 179343-32-9P 179343-33-0P 179343-34-1P 179343-35-2P 179343-36-3P 179343-37-4P

179343-38-5P

(preparation of aryl pyridopyrimidines and naphthyridines for

inhibiting protein tyrosine kinase-mediated cellular proliferation)

RN 26752-61-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-phenyl- (9CI) (CA INDEX NAME)

RN 26752-70-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)- (9CI) (CA INDEX NAME)

RN 26752-80-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 179343-17-0 HCAPLUS

CN Urea, N-[2-amino-6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179343-18-1 HCAPLUS

CN Urea, N-[2-amino-6-(2,6-dimethylphenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179343-19-2 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[3-(diethylamino)propyl]- (9CI) (CA INDEX NAME)

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RN 179343-20-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[3-(4-methyl-1-piperazinyl)propyl]- (9CI) (CA INDEX NAME)

Me N
$$\sim$$
 (CH₂)₃ \sim NH \sim NH₂ \sim C1

RN 179343-21-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[4-(diethylamino)butyl]- (9CI) (CA INDEX NAME)

RN 179343-22-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)

RN 179343-23-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dibromophenyl)- (9CI) (CA INDEX NAME)

RN 179343-24-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dibromophenyl)-N2-[3-(diethylamino)propyl]- (9CI) (CA INDEX NAME)

RN 179343-25-0 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-difluorophenyl)- (9CI) (CA INDEX NAME)

RN 179343-26-1 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[2-(diethylamino)ethyl]- (9CI) (CA INDEX NAME)

RN 179343-27-2 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,3-dichlorophenyl)- (9CI) (CA INDEX NAME)

RN 179343-28-3 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,3,6-trichlorophenyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H_2N & N & NH_2 \\ \hline & C1 & \\ \hline & C1 & \\ \end{array}$$

RN 179343-29-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,3-dimethylphenyl)- (9CI) (CA INDEX NAME)

RN 179343-30-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(3,5-dimethylphenyl)- (9CI) (CA INDEX NAME)

$$H_2N$$
 N
 N
 Me
 Me

RN 179343-31-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,4,6-trimethylphenyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{H}_2\text{N} & \text{N} & \text{NH}_2 \\ \\ \text{N} & \text{Me} \end{array}$$

RN 179343-32-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,3,5,6-tetramethylphenyl)(9CI) (CA INDEX NAME)

RN 179343-33-0 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 179343-34-1 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 179343-35-2 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2-bromo-6-chlorophenyl)(9CI) (CA INDEX NAME)

RN 179343-36-3 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 179343-37-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, N2-[3-(diethylamino)propyl]-6-(2,6-dimethylphenyl)- (9CI) (CA INDEX NAME)

RN 179343-38-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, N2-[3-(4-methyl-1-piperazinyl)propyl]-6-(2,3,5,6-tetramethylphenyl)- (9CI) (CA INDEX NAME)

Me N
$$\sim$$
 (CH₂) $_3-$ NH \sim NH $_2$ Me Me Me

IT 26752-79-4P 84279-29-8P 179342-38-2P 179342-39-3P 179342-41-7P 179342-42-8P 179342-46-2P 179342-48-4P 179342-50-8P 179342-51-9P 179342-52-0P 179342-53-1P 179342-54-2P 179342-55-3P 179342-56-4P

179342-57-5P 179342-58-6P 179342-59-7P 179342-60-0P 179342-61-1P 179342-62-2P 179342-63-3P 179342-64-4P 179342-65-5P 179342-66-6P 179342-67-7P 179342-68-8P 179342-69-9P 179342-70-2P 179342-71-3P 179342-72-4P 179342-73-5P 179342-74-6P 179342-75-7P 179342-76-8P 179342-77-9P 179342-78-0P 179342-79-1P 179342-80-4P 179342-81-5P 179342-82-6P 179342-83-7P 179342-84-8P 179342-85-9P 179342-86-0P 179342-87-1P 179342-88-2P 179342-89-3P 179342-90-6P 179342-91-7P 179342-92-8P 179342-93-9P 179342-94-0P 179342-95-1P 179342-96-2P 179342-97-3P 179342-98-4P 179342-99-5P 179343-00-1P 179343-01-2P 179343-02-3P 179343-03-4P 179343-04-5P 179343-05-6P 179343-06-7P 179343-07-8P 179343-08-9P 179343-09-0P 179343-10-3P 179343-11-4P 179343-12-5P 179343-13-6P 179343-14-7P 179343-15-8P 179343-16-9P (preparation of aryl pyridopyrimidines and naphthyridines for inhibiting protein tyrosine kinase-mediated cellular proliferation) RN26752-79-4 HCAPLUS Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2-pyridinyl)- (9CI) CN INDEX NAME)

RN 84279-29-8 HCAPLUS

CN Acetamide, N,N'-[6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidine-2,7-diyl]bis-(9CI) (CA INDEX NAME)

RN 179342-38-2 HCAPLUS

CN Urea, N,N''-[6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidine-2,7-diyl]bis[N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-39-3 HCAPLUS

CN Urea, N,N''-[6-(2-methylphenyl)pyrido[2,3-d]pyrimidine-2,7-diyl]bis[N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-41-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, N2-(1,1-dimethylethyl)-6-phenyl- (9CI) (CA INDEX NAME)

RN 179342-42-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(4-methoxyphenyl)-N7-methyl-(9CI) (CA INDEX NAME)

RN 179342-46-2 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, N,N'-dimethyl-6-phenyl- (9CI) (CA INDEX NAME)

RN 179342-48-4 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(diethylamino)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)-, trifluoroacetate (50:11) (9CI) (CA INDEX NAME)

CM 1

CRN 179342-47-3 CMF C25 H33 Cl2 N7 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 179342-50-8 HCAPLUS

CN Acetic acid ethyl ester, compd. with N-[2-amino-6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-ethylurea (3:20) (9CI) (CA INDEX NAME)

CM 1

CRN 179342-49-5 CMF C16 H14 Cl2 N6 O

CM 2

CRN 141-78-6 CMF C4 H8 O2

Et-O-Ac

RN 179342-51-9 HCAPLUS

CN Thiourea, N-[2-amino-6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)

RN 179342-52-0 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N7-(4,5-dihydro-2-oxazolyl)- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 179342-53-1 HCAPLUS

CN Urea, N,N''-[6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidine-2,7-diyl]bis[N'-butyl- (9CI) (CA INDEX NAME)

RN 179342-54-2 HCAPLUS

CN Urea, N-[2-amino-6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-propyl- (9CI) (CA INDEX NAME)

RN 179342-55-3 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(dimethylamino)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-56-4 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(dimethylamino)-2,2-dimethylpropyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-57-5 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(2-methyl-1-piperidinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-58-6 HCAPLUS

Urea, N-[6-(2,6-dichlorophenyl)-2-[[4-(4-methyl-1-piperazinyl)butyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Me N N NH- C- NHBu-t C1
$$\sim$$
 C1

RN 179342-59-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N7-(5,6-dihydro-2H-1,3-oxazin-2-yl)-N2-[3-(4-methyl-1-piperazinyl)propyl]- (9CI) (CA INDEX NAME)

Me N
$$\sim$$
 (CH₂) 3 \sim NH \sim NH \sim Cl N

RN 179342-60-0 HCAPLUS

CN Urea, N-cyclohexyl-N'-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

Me NH-C-NH
$$N - (CH_2)_3 - NH$$

$$N - C1$$

$$C1$$

RN 179342-61-1 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1-methylethyl)- (9CI) (CA INDEX NAME)

Me N N NH-C-NHPr-i
$$\sim$$
 C1 \sim C1

RN 179342-62-2 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 179342-63-3 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-2-propenyl- (9CI) (CA INDEX NAME)

Me N N NH-C-NH-CH₂-CH=CH₂

$$C1$$

RN 179342-64-4 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

Me NH-C-NH C1
$$\sim$$
 C1

RN 179342-65-5 HCAPLUS

Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(3methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 179342-66-6 HCAPLUS
CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 179342-67-7 HCAPLUS
CN Urea, N-(4-bromophenyl)-N'-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]- (9CI)
(CA INDEX NAME)

RN 179342-68-8 HCAPLUS
CN Urea, N-(4-chlorophenyl)-N'-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl](9CI) (CA INDEX NAME)

RN 179342-69-9 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(4methylphenyl)- (9CI) (CA INDEX NAME)

Me NH-C-NH C1
$$N$$
 C1

RN 179342-70-2 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-octyl-(9CI) (CA INDEX NAME)

Me N NH CH2)
$$_3$$
 NH NH CH2) $_7$ Me Cl

RN 179342-71-3 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Me NH-C-NH C1
$$NH-C-NH$$
 C1

RN 179342-72-4 HCAPLUS
CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-ethyl-(9CI) (CA INDEX NAME)

RN 179342-73-5 HCAPLUS
CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-1-naphthalenyl- (9CI) (CA INDEX NAME)

RN 179342-74-6 HCAPLUS
CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-phenyl-(9CI) (CA INDEX NAME)

1. W. 12 X

Me N N NH-C-NHPh
$$\sim$$
 C1 \sim C1

RN 179342-75-7 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-76-8 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[4-(diethylamino)butyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-phenyl-(9CI) (CA INDEX NAME)

RN 179342-77-9 HCAPLUS

●11/10 HCl

RN 179342-78-0 HCAPLUS
CN Urea, N-cyclohexyl-N'-[6-(2,6-dichlorophenyl)-2-[[4(diethylamino)butyl]amino]pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA
INDEX NAME)

$$\begin{array}{c|c} & & & & & & & \\ & & & & & \\ \text{Et}_2\text{N} - (\text{CH}_2)_4 - \text{NH} & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 179342-79-1 HCAPLUS
CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-morpholinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-80-4 HCAPLUS
CN Urea, N-[6-(2,6-dibromophenyl)-2-[[3-(diethylamino)propyl]amino]py
rido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA
INDEX NAME)

$$\begin{array}{c|c} \text{Et}_2\text{N-} \text{(CH}_2)_3 - \text{NH} & \text{N} & \text{NH-} \text{C--} \text{NHBu-t} \\ & & & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & \\ & & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & \\ & & \\ & \\ & \\ & & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ &$$

RN 179342-81-5 HCAPLUS
CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dimethoxyphenyl)-

(9CI) (CA INDEX NAME)

H₂N N NH₂

RN 179342-82-6 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[2-(diethylamino)ethyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Et}_2\text{N}-\text{CH}_2-\text{CH}_2-\text{NH} & \text{N} \\ & \text{N} & \text{N} + \text{C}-\text{NHBu-t} \\ & \text{C1} & \\ & \text{C1} & \\ \end{array}$$

RN 179342-83-7 HCAPLUS

RN 179342-84-8 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3 (dimethylamino)propyl]methylamino]pyrido[2,3-d]pyrimidin-7-yl]-N' (1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-85-9 HCAPLUS

CN Ethanol, 2-[[3-[[7-amino-6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidin-2-yl]amino]propyl]ethylamino]- (9CI) (CA INDEX NAME)

HO-
$$CH_2$$
- CH_2 - N - $(CH_2)_3$ - N H

N

N

N

C1

RN 179342-86-0 HCAPLUS

CN Methanimidamide, N'-[6-(2,6-dichlorophenyl)-2-[[3-(diethylamino)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Et}_2\text{N}-\text{(CH}_2)_3-\text{NH} & \text{N} & \text{N} & \text{CH}-\text{NMe}_2 \\ \\ \text{Cl} & & \text{Cl} & & \\ \end{array}$$

RN 179342-87-1 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-7-[[(dimethylamino)methylene]amino]pyrido[2,3-d]pyrimidin-2-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-88-2 HCAPLUS

CN Methanimidamide, N'-[6-(2,6-dichlorophenyl)-2[[(dimethylamino)methyl]amino]pyrido[2,3-d]pyrimidin-6-yl]-N,Ndimethyl- (9CI) (CA INDEX NAME)

RN 179342-89-3 HCAPLUS

CN Urea, N-(2-amino-6-phenylpyrido[2,3-d]pyrimidin-7-yl)-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-90-6 HCAPLUS

CN Urea, N-[2-amino-6-(2,3-dichlorophenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-91-7 HCAPLUS

CN Urea, N-[2-amino-6-(2,3,6-trichlorophenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-92-8 HCAPLUS

CN Urea, N-[2-amino-6-(2,6-difluorophenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-93-9 HCAPLUS

CN Urea, N-[2-amino-6-(2,6-dibromophenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-94-0 HCAPLUS

CN Urea, N-[2-amino-6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 179342-95-1 HCAPLUS

CN Urea, N-[2-amino-6-(2,3-dimethylphenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-96-2 HCAPLUS

CN Urea, N-[2-amino-6-(3,5-dimethylphenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-97-3 HCAPLUS

CN Urea, N-[2-amino-6-(2,4,6-trimethylphenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-98-4 HCAPLUS

CN Urea, N-[2-amino-6-(2,3,5,6-tetramethylphenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179342-99-5 HCAPLUS

CN Urea, N-[2-amino-6-(2-methoxyphenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179343-00-1 HCAPLUS

CN Urea, N-[2-amino-6-(3-methoxyphenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179343-01-2 HCAPLUS

CN Urea, N-[2-amino-6-(2-bromo-6-chlorophenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179343-02-3 HCAPLUS

CN 1-Propanesulfonamide, N-[2-amino-6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & &$$

RN 179343-03-4 HCAPLUS

CN Urea, N-[2-amino-6-(3-pyridinyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179343-04-5 HCAPLUS

CN Urea, N-[2-amino-6-(4-pyridinyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179343-05-6 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(diethylamino)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-ethyl-(9CI) (CA INDEX NAME)

RN 179343-06-7 HCAPLUS

$$\begin{array}{c|c} \text{Et}_2\text{N}-\text{(CH}_2)_3-\text{NH} & \text{N} & \text{NH-C-NHPr-i} \\ & & & \text{Cl} & & \\ \end{array}$$

RN 179343-07-8 HCAPLUS

RN 179343-08-9 HCAPLUS

CN Urea, N-[2-[[3-(diethylamino)propyl]amino]-6-(2,6-dimethylphenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{C} & \text{C} & \text{C} \\ \text{Et}_2 \text{N} - \text{(CH}_2)_3 - \text{NH} & \text{N} \\ \text{N} & \text{N} + \text{C} - \text{NHBu-t} \\ \\ \text{Me} & \text{Me} \end{array}$$

RN 179343-09-0 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-tricyclo[3.3.1.13,7]dec-1-yl- (9CI) (CA INDEX NAME)

RN 179343-10-3 HCAPLUS

CN Thiourea, N-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179343-11-4 HCAPLUS

CN Urea, N'-[6-(2,6-dichlorophenyl)-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N,N-diethyl-(9CI) (CA INDEX NAME)

Me N NH-
$$C-NEt_2$$
 $C1$

RN 179343-12-5 HCAPLUS

Urea, N-(1,1-dimethylethyl)-N'-[2-[[3-(4-methyl-1piperazinyl)propyl]amino]-6-(2,3,5,6-tetramethylphenyl)pyrido[2,3d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 179343-13-6 HCAPLUS

CN Thiourea, N-[6-(2,6-dichlorophenyl)-2-[[4-(diethylamino)butyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{Et}_2\text{N} - (\text{CH}_2)_4 - \text{NH} & & \\ & &$$

RN 179343-14-7 HCAPLUS

CN Thiourea, N-[6-(2,6-dichlorophenyl)-2-[[4-(diethylamino)butyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179343-15-8 HCAPLUS

CN Thiourea, N-[6-(2,6-dichlorophenyl)-2-[[4-(diethylamino)butyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-ethyl-(9CI) (CA INDEX NAME)

RN 179343-16-9 HCAPLUS

CN Guanidine, N-[6-(2,6-dichlorophenyl)-2-[[3-(diethylamino)propyl]amino]pyrido[2,3-d]pyrimidin-7-yl]-N'-ethyl-(9CI) (CA INDEX NAME)

IT 26752-64-7P 179343-44-3P 179343-45-4P 179343-46-5P 179343-47-6P 179343-48-7P 179343-49-8P

(preparation of aryl pyridopyrimidines and naphthyridines for inhibiting protein tyrosine kinase-mediated cellular proliferation)

RN 26752-64-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 179343-44-3 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[3-(dimethylamino)propyl]- (9CI) (CA INDEX NAME)

$$Me_2N-(CH_2)_3-NH$$
 N
 N
 N
 NH_2
 $C1$

RN 179343-45-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[3-(dimethylamino)-2,2-dimethylpropyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} \\ \text{Me}_2\text{N}-\text{CH}_2-\text{C}-\text{CH}_2-\text{NH} \\ \text{Me} \\ \\ \text{N} \end{array}$$

RN 179343-46-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[3-(2-methyl-1-piperidinyl)propyl]- (9CI) (CA INDEX NAME)

RN 179343-47-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[4-(4-methyl-1-piperazinyl)butyl]- (9CI) (CA INDEX NAME)

RN 179343-49-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-[3-(dimethylamino)propyl]-N2-methyl- (9CI) (CA INDEX NAME)

$$Me_{2}N = (CH_{2})_{3} - N \qquad N \qquad NH_{2}$$

$$N \qquad C1 \qquad C1$$

IT 179342-40-6P 179343-51-2P 179343-52-3P

(preparation of aryl pyridopyrimidines and naphthyridines for inhibiting protein tyrosine kinase-mediated cellular proliferation)

RN 179342-40-6 HCAPLUS

CN Urea, N-[2-amino-6-(2-methylphenyl)pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 179343-51-2 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,7-diamine, 6-(2,6-dichlorophenyl)-N2-phenyl- (9CI) (CA INDEX NAME)

RN 179343-52-3 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2,6-dichlorophenyl)-2-(methylthio)- (9CI) (CA INDEX NAME)

IC ICM C07D471-04

ICS A61K031-44; A61K031-505

ICI C07D471-04, C07D221-00; C07D471-04, C07D239-00, C07D221-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 7, 63

IT 26752-61-4P 26752-70-5P 26752-80-7P

179343-17-0P 179343-18-1P 179343-19-2P

179343-20-5P 179343-21-6P 179343-22-7P 179343-23-8P 179343-24-9P 179343-25-0P

179343-26-1P 179343-27-2P 179343-28-3P

179343-20-1F 179343-27-2F 179343-30-8F

179343-32-9P 179343-33-0P 179343-34-1P

179343-35-2P 179343-36-3P 179343-37-4P

179343-38-5P

(preparation of aryl pyridopyrimidines and naphthyridines for inhibiting protein tyrosine kinase-mediated cellular proliferation)

IT 26752-79-4P 75776-47-5P 84279-29-8P

179342-38-2P 179342-39-3P 179342-41-7P

179342-42-8P 179342-43-9P 179342-44-0P 179342-45-1P

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179342-46-2P 179342-48-4P 179342-50-8P
     179342-51-9P 179342-52-0P 179342-53-1P
     179342-54-2P 179342-55-3P 179342-56-4P
     179342-57-5P 179342-58-6P 179342-59-7P
     179342-60-0P 179342-61-1P 179342-62-2P
     179342-63-3P 179342-64-4P 179342-65-5P
     179342-66-6P 179342-67-7P 179342-68-8P
     179342-69-9P 179342-70-2P 179342-71-3P
     179342-72-4P 179342-73-5P 179342-74-6P
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     179342-78-0P 179342-79-1P 179342-80-4P
     179342-81-5P 179342-82-6P 179342-83-7P
     179342-84-8P 179342-85-9P 179342-86-0P
     179342-87-1P 179342-88-2P 179342-89-3P
     179342-90-6P 179342-91-7P 179342-92-8P
     179342-93-9P 179342-94-0P 179342-95-1P
     179342-96-2P 179342-97-3P 179342-98-4P
     179342-99-5P 179343-00-1P 179343-01-2P
     179343-02-3P 179343-03-4P 179343-04-5P
     179343-05-6P 179343-06-7P 179343-07-8P
     179343-08-9P 179343-09-0P 179343-10-3P
     179343-11-4P 179343-12-5P 179343-13-6P
     179343-14-7P 179343-15-8P 179343-16-9P
        (preparation of aryl pyridopyrimidines and naphthyridines for
        inhibiting protein tyrosine kinase-mediated cellular
        proliferation)
                            776-53-4P 26752-64-7P
IT
     588-36-3P
               770-31-0P
     99973-42-9P 179343-39-6P 179343-40-9P 179343-41-0P
     179343-42-1P
                  179343-43-2P 179343-44-3P
     179343-45-4P 179343-46-5P 179343-47-6P
     179343-48-7P 179343-49-8P 179343-50-1P
        (preparation of aryl pyridopyrimidines and naphthyridines for
        inhibiting protein tyrosine kinase-mediated cellular
        proliferation)
TT
     179342-40-6P 179343-51-2P 179343-52-3P
        (preparation of aryl pyridopyrimidines and naphthyridines for
        inhibiting protein tyrosine kinase-mediated cellular
        proliferation)
L36 ANSWER 29 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                        1996:248016 HCAPLUS
DOCUMENT NUMBER:
                         124:289568
TITLE:
                         Preparation of pyrido[2,3-d]pyrimidine-2,4-
                         dione derivatives as antiasthmatics and
                         antiallergics
INVENTOR(S):
                         Furukawa, Kazuhito; Hasegawa, Taisuke
PATENT ASSIGNEE(S):
                         Nippon Zoki Pharmaceutical Co., Ltd., Japan
SOURCE:
                         Can. Pat. Appl., 35 pp.
                         CODEN: CPXXEB
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                        KIND
                               DATE
                                          APPLICATION NO.
                                                                  DATE
                                           -----
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                        AA
                                           CA 1995-2151971
     CA 2151971
                               19951218
                                                                   1995
                                                                   0616
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Carlos Santa		JAISLE	10%540	.040	•	
JP 08003046	A2	19960109	JP	< 1994-159322		1994
JP 08003164	A2	19960109	JР	< 1994-159323		1994
JP 08003165	A2	19960109	JP	< 1994-159324		1994
US 5776942	A	19980707	US	< 1995-490297		0617 1995
AU 9521752	A1	19960104	AU	< 1995-21752		0614 1995
AU 694958	B2	19980806		<		0616
EP 696590	A1	19960214	ΕP	1995-109391		1995 0616
R: AT, BE, CH, CN 1120436	, DE, A					1995 0616
PRIORITY APPLN. INFO.:			JP	< 1994-159322	Α	1994 0617
	/		JP	< 1994-159323	A	1994 0617
			JP	< 1994-159324	Α	1994 0617
OTHER SOURCE(S):	CASR	EACT 124:28	9568; N	< MARPAT 124:2895	58	

Page 265,

 $\begin{array}{c|c}
0 & R^3 \\
R^2 & R^4 \\
0 & N & R^5
\end{array}$

AB The title compds. [I; R1, R2 = H, alkyl, benzyl; R3 = H, OH, dialkylaminomethylenamino, NHX (wherein X = H, alkyl, alkenyl,

I

USHA SHRESTHA EIC 1600 REM 1A64

etc.); R4 = H, alkyl halo, etc.; R5 = H, alkyl, amino], useful in treatment of asthma as well as various allergic diseases, were prepared and formulated. Bromination of I [R1 = R2 = Et; R3 = NH2; R4 = R5 = H] with Br2 in the presence of pyridine in CCl4 afforded I [R1 = R2 = Et; R3 = NH2; R4 = Br; R5 = H] which showed at 10-5 M 103.4% relaxation rate to the sustained height contracted by histamine dihydrochloride.

IT 175681-06-8P 175681-08-0P 175681-15-9P 175681-18-2P 175681-24-0P

(preparation of pyrido[2,3-d]pyrimidine-2,4-dione derivs. as antiasthmatics and antiallergics)

RN 175681-06-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 5-amino-1,3-diethyl-6-methyl- (9CI) (CA INDEX NAME)

RN 175681-08-0 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 5-amino-6-bromo-1,3-diethyl- (9CI) (CA INDEX NAME)

RN 175681-15-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 5-amino-1,3-diethyl-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Et} & \\ & & \\ & & \\ \text{N} & \text{N} & \\ & & \\ \text{N} & \text{Et} & \\ & & \\$$

RN 175681-18-2 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carboxylic acid, 5-amino-1,3-diethyl-1,2,3,4-tetrahydro-2,4-dioxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 175681-24-0 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 5-amino-1,3-diethyl-6-nitro-(9CI) (CA INDEX NAME)

IT 107710-70-3P 175681-07-9P 175681-09-1P

175681-10-4P 175681-11-5P 175681-14-8P

175681-16-0P 175681-17-1P 175681-19-3P

175681-20-6P 175681-21-7P 175681-22-8P

175681-23-9P 175681-25-1P

(preparation of pyrido[2,3-d]pyrimidine-2,4-dione derivs. as antiasthmatics and antiallergics)

RN 107710-70-3 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carboxylic acid, 7-amino-1,3-diethyl-1,2,3,4-tetrahydro-2,4-dioxo-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \text{Et} \\ & & & \\ & & & \\ \text{EtO-} & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 175681-07-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 1,3-diethyl-6-methyl-5-[(1-methylethyl)amino]- (9CI) (CA INDEX NAME)

RN 175681-09-1 HCAPLUS

CN Methanimidamide, N'-(1,3-diethyl-1,2,3,4-tetrahydro-6-methyl-2,4-dioxopyrido[2,3-d]pyrimidin-5-yl)-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 175681-10-4 HCAPLUS

CN Formamide, N-(1,3-diethyl-1,2,3,4-tetrahydro-6-methyl-2,4-dioxopyrido[2,3-d]pyrimidin-5-yl)- (9CI) (CA INDEX NAME)

RN 175681-11-5 HCAPLUS

CN Acetamide, N-(1,3-diethyl-1,2,3,4-tetrahydro-6-methyl-2,4-dioxopyrido[2,3-d]pyrimidin-5-yl)- (9CI) (CA INDEX NAME)

RN 175681-14-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 5-amino-1,3-diethyl-6-fluoro- (9CI) (CA INDEX NAME)

RN 175681-16-0 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 5-amino-1,3-diethyl-6-hydroxy- (9CI) (CA INDEX NAME)

RN 175681-17-1 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 5-amino-1,3-diethyl-1,2,3,4-tetrahydro-2,4-dioxo-(9CI) (CA INDEX NAME)

RN 175681-19-3 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carboxylic acid, 5-amino-1,3-diethyl-1,2,3,4-tetrahydro-2,4-dioxo-(9CI) (CA INDEX NAME)

RN 175681-20-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-sulfonic acid, 5-amino-1,3-diethyl-1,2,3,4-tetrahydro-2,4-dioxo-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Et} & \\ & \\ & \\ \text{O} & \\ \text{N} \\ & \\ \text{O} & \\ \text{NH}_2 & \\ \text{O} \\ \end{array}$$

RN 175681-21-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-sulfonamide, 5-amino-1,3-diethyl-1,2,3,4-tetrahydro-2,4-dioxo-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Et} & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\$$

RN 175681-22-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-sulfonamide, 5-amino-N,N,1,3-tetraethyl-1,2,3,4-tetrahydro-2,4-dioxo-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Et} & \\ & &$$

RN 175681-23-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-sulfonic acid, 5-amino-1,3-diethyl-1,2,3,4-tetrahydro-2,4-dioxo-(9CI) (CA INDEX NAME)

RN 175681-25-1 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 5,6-diamino-1,3-diethyl-(9CI) (CA INDEX NAME)

IT 175681-26-2P

(preparation of pyrido[2,3-d]pyrimidine-2,4-dione derivs. as antiasthmatics and antiallergics)

RN 175681-26-2 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-sulfonyl chloride, 5-amino-1,3-diethyl-1,2,3,4-tetrahydro-2,4-dioxo-(9CI) (CA INDEX NAME)

IC ICM C07D471-04

ICS A61K031-505

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

IT 175680-88-3P 175681-06-8P 175681-08-0P

175681-15-9P 175681-18-2P 175681-24-0P

(preparation of pyrido[2,3-d]pyrimidine-2,4-dione derivs. as antiasthmatics and antiallergics)

10280-47-4P **107710-70-3P** 175680-75-8P 175680-76-9P 175680-77-0P 175680-78-1P 175680-79-2P 175680-80-5P 175680-81-6P 175680-82-7P 175680-83-8P 175680-84-9P 175680-85-0P 175680-86-1P 175680-87-2P 175680-89-4P 175680-90-7P 175680-91-8P 175680-92-9P 175680-93-0P 175680-94-1P 175680-95-2P 175680-96-3P 175680-97-4P 175680-98-5P 175680-99-6P 175681-00-2P 175681-01-3P 175681-02-4P 175681-03-5P 175681-04-6P 175681-05-7P 175681-07-9P 175681-09-1P 175681-10-4P 175681-11-5P 175681-12-6P 175681-13-7P 175681-14-8P 175681-16-0P 175681-17-1P 175681-19-3P 175681-20-6P 175681-21-7P

175681-22-8P 175681-23-9P 175681-25-1P

(preparation of pyrido[2,3-d]pyrimidine-2,4-dione derivs. as antiasthmatics and antiallergics)

IT 175681-26-2P 175681-27-3P

(preparation of pyrido[2,3-d]pyrimidine-2,4-dione derivs. as

antiasthmatics and antiallergics)

L36 ANSWER 30 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1994:77293 HCAPLUS

DOCUMENT NUMBER:

120:77293

TITLE:

Substituted pyrido[2,3-d]pyrimidines as

herbicide antidotes

INVENTOR(S):

Bratz, Matthias; Kober, Reiner; Seele, Rainer;

Saupe, Thomas; Meyer, Norbert; Walker, Nigel;

Landes, Andreas; Walter, Helmut

PATENT ASSIGNEE(S):

Germany

SOURCE:

Can. Pat. Appl., 211 pp.

CODEN: CPXXEB

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	API	PLICATION NO.		DATE
					-	
CA 2078469	AA	19930319	CA	1992-2078469		
						1992
						0917
DT 4121020	2.2	10020700	ъ.	<		
DE 4131029	AI	19930729	DE	1991-4131029		1991
						0918
				<		
EP 537463	A2	19930421	EP	1992-114978		
						1992
						0902
EP 537463	. дз	19930526		<		
R: AT, BE, CH,			r, L	I, NL		
				1995-419518		
						1995
						0410
PRIORITY APPLN. INFO.:			DE	< 1991-4131029	Α	
INIONIII AIIIM. IMO			םם	1001 4101020	A	1991
						0918
				<		
			US	1992-946516	B1	1000
						1992 0916
				<		0310

OTHER SOURCE(S):

MARPAT 120:77293

GI

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The title compds., pyrido[2,3-d]pyrimidines, and their uses in
AΒ
     herbicides or as herbicide antidotes are claimed. For example,
     herbicides containing pyrido[2,3-d]pyrimidines and
     2-[(4-heteroaryl)oxy]phenoxycarboxylic acid or
     2-(4-aryloxy)phenoxycarboxylic acid are claimed.
                                                       The use of said
     compds. on corn, barley, wheat, rice or millet is claimed.
     Condensation of 4-amino-5-formyl-2-methypyrimidine with
     4-fluoroacetophenone gave the example compound 7-(4-fluorophenyl)-2-
     methylpyrido[2,3-d]pyrimidine (I).
IT
     76574-53-3P 76574-54-4P 76574-55-5P
     76574-57-7P 76574-60-2P 76574-65-7P
     76574-67-9P 76574-68-0P 76574-69-1P
     76574-70-4P 76574-71-5P 76574-73-7P
     76574-75-9P 76574-78-2P 76574-80-6P
     76574-90-8P 76574-91-9P 76574-92-0P
     77206-69-0P 77206-70-3P 77206-80-5P
     77206-81-6P 77206-85-0P 95769-05-4P
     124800-72-2P 124802-42-2P 124802-43-3P
     124802-44-4P 124802-45-5P 124802-46-6P
     124802-47-7P 124802-48-8P 124802-50-2P
     124802-55-7P 124802-56-8P 124802-57-9P
     124802-58-0P 124802-59-1P 124802-60-4P
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     151326-53-3P 151326-54-4P 151326-55-5P
     151326-56-6P 151326-57-7P 151326-58-8P
        (preparation of, as herbicide antidote)
RN
     76574-53-3 HCAPLUS
     Pyrido[2,3-d]pyrimidin-7-amine, 6-phenyl- (9CI) (CA INDEX NAME)
CN
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RN 76574-54-4 HCAPLUS
CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2-chlorophenyl)- (9CI) (CA INDEX NAME)

RN 76574-57-7 HCAPLUS
CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 76574-60-2 HCAPLUS CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2,6-dichlorophenyl)- (9CI) (CA INDEX NAME)

RN 76574-65-7 HCAPLUS CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 76574-67-9 HCAPLUS
CN Pyrido[2,3-d]pyrimidin-7-amine, 2-methyl-6-phenyl- (9CI) (CA INDEX NAME)

RN 76574-68-0 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2-fluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 76574-69-1 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2-chlorophenyl)-2-methyl- (9CI). (CA INDEX NAME)

RN 76574-70-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(4-chlorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 76574-71-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2-bromophenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 76574-73-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 2-methyl-6-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 76574-75-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 2-methyl-6-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 76574-78-2 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2,4-dichlorophenyl)-2-methyl-(9CI) (CA INDEX NAME)

RN 76574-80-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2,6-dichlorophenyl)-2-methyl-(9CI) (CA INDEX NAME)

RN 76574-90-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 2-methyl-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 76574-91-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 2,4-dimethyl-6-(2-methylphenyl)-(9CI) (CA INDEX NAME)

RN 76574-92-0 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2,6-dichlorophenyl)-2,4-dimethyl- (9CI) (CA INDEX NAME)

RN 77206-69-0 HCAPLUS

CN Acetamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 77206-70-3 HCAPLUS

CN Formamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 77206-80-5 HCAPLUS

CN Acetamide, N-[6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidin-7-yl](9CI) (CA INDEX NAME)

RN 77206-81-6 HCAPLUS

CN Propanamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 77206-85-0 HCAPLUS

CN Carbamic acid, [6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-, methyl ester (9CI) (CA INDEX NAME)

RN 95769-05-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-5-ol, 7-amino-6-phenyl- (7CI, 9CI) (CA INDEX NAME)

RN 124800-72-2 HCAPLUS

CN Benzenesulfonamide, 2,6-dichloro-N-[2,4-dimethyl-6-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 124802-42-2 HCAPLUS

CN Benzenesulfonamide, 2-chloro-N-(6-cyano-2,4-dimethylpyrido[2,3-d]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

RN 124802-43-3 HCAPLUS

CN Benzenesulfonamide, N-(6-cyano-2,4-dimethylpyrido[2,3-d]pyrimidin-7-yl)-2-fluoro-(9CI) (CA INDEX NAME)

RN 124802-44-4 HCAPLUS

CN Benzoic acid, 2-[[(6-cyano-2,4-dimethylpyrido[2,3-d]pyrimidin-7-yl)amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 124802-45-5 HCAPLUS

CN Benzenesulfonamide, 2,6-dichloro-N-(6-cyano-2,4-dimethylpyrido[2,3-d]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

RN 124802-46-6 HCAPLUS

CN Benzenesulfonamide, 2-chloro-N-(6-cyano-2,4-dimethylpyrido[2,3-d]pyrimidin-7-yl)-6-methyl- (9CI) (CA INDEX NAME)

RN 124802-47-7 HCAPLUS

CN Benzenesulfonamide, 2,5-dichloro-N-(6-cyano-2,4-dimethylpyrido[2,3-d]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

RN 124802-48-8 HCAPLUS

CN Benzenesulfonamide, 2-chloro-N-[2,4-dimethyl-6-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 124802-50-2 HCAPLUS

CN Benzenesulfonamide, 2-chloro-6-cyclopentyl-N-[2,4-dimethyl-6-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 124802-55-7 HCAPLUS

CN Benzenesulfonamide, N-(6-cyano-2,4-dimethoxypyrido[2,3-d]pyrimidin-7-yl)-2-fluoro- (9CI) (CA INDEX NAME)

RN 124802-56-8 HCAPLUS

CN Benzenesulfonamide, 2-chloro-N-(2,4-diethoxy-6-phenylpyrido[2,3-d]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

RN 124802-57-9 HCAPLUS

CN Benzenesulfonamide, 2-chloro-N-(2,4-dimethoxy-6-phenylpyrido[2,3-d]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

RN 124802-58-0 HCAPLUS

CN Benzenesulfonamide, N-(2,4-dimethoxy-6-phenylpyrido[2,3-d]pyrimidin-7-yl)-2-fluoro-(9CI) (CA INDEX NAME)

RN 124802-59-1 HCAPLUS

CN Benzenesulfonamide, 2,6-dichloro-N-(2,4-dimethoxy-6-phenylpyrido[2,3-d]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

RN 124802-60-4 HCAPLUS

CN Benzoic acid, 2-[[(2,4-dimethoxy-6-phenylpyrido[2,3-d]pyrimidin-7-yl)amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 124802-61-5 HCAPLUS

CN Benzenesulfonamide, 2,6-dichloro-N-(6-cyano-2,4-dimethoxypyrido[2,3-d]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

RN 124802-62-6 HCAPLUS

CN Benzoic acid, 2-[[(6-cyano-2,4-dimethoxypyrido[2,3-d]pyrimidin-7-yl)amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

- RN 124802-63-7 HCAPLUS
- CN Benzenesulfonamide, 2-chloro-N-[2,4-dimethoxy-6-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

- RN 124802-64-8 HCAPLUS
- CN Benzenesulfonamide, 2,6-dichloro-N-[2,4-dimethoxy-6-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

- RN 124802-66-0 HCAPLUS
- CN Benzenesulfonamide, 2-chloro-N-[2,4-dimethoxy-6-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7-yl]-6-methyl- (9CI) (CA INDEX NAME)

RN 124802-67-1 HCAPLUS

CN Benzenesulfonamide, N-[2,4-dimethoxy-6-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7-yl]-2,5-dimethoxy-(9CI) (CA INDEX NAME)

RN 124850-78-8 HCAPLUS

CN Benzenesulfonamide, N-[2,4-dimethyl-6-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7-yl]-2-fluoro- (9CI) (CA INDEX NAME)

RN 124850-79-9 HCAPLUS

CN Benzenesulfonamide, N-(2,4-diethoxy-6-phenylpyrido[2,3-d]pyrimidin-7-yl)-2-fluoro-(9CI) (CA INDEX NAME)

RN 124850-81-3 HCAPLUS

CN Benzenesulfonamide, N-[2,4-dimethoxy-6-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7-yl]-2-fluoro-(9CI) (CA INDEX NAME)

RN 125668-44-2 HCAPLUS

CN Benzenesulfonamide, 2,5-dichloro-N-[2,4-dimethyl-6-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 125668-45-3 HCAPLUS

CN Benzenesulfonamide, 2,5-dichloro-N-[2,4-dimethoxy-6-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 151325-66-5 HCAPLUS CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(4-fluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 151325-67-6 HCAPLUS
CN Pyrido[2,3-d]pyrimidin-7-amine, 2-methyl-6-(3-methylphenyl)- (9CI)
(CA INDEX NAME)

RN 151326-28-2 HCAPLUS

CN Formic acid, [[[2,4-dimethyl-6-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7-yl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 151326-46-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(4-bromophenyl)- (9CI) (CA

INDEX NAME)

RN 151326-47-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(3-chlorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 151326-48-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(3-methoxyphenyl)-2-methyl-(9CI) (CA INDEX NAME)

RN 151326-49-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(4-methoxyphenyl)-2-methyl-(9CI) (CA INDEX NAME)

RN 151326-50-0 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carboxamide, 7-amino-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{N} & \text{NH}_2 \\ \\ \text{N} & \\ \text{C-NH}_2 \\ \\ \text{O} \end{array}$$

RN 151326-51-1 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2-fluorophenyl)-2,4-dimethyl-(9CI) (CA INDEX NAME)

RN 151326-52-2 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(3-fluorophenyl)-2,4-dimethyl-(9CI) (CA INDEX NAME)

RN 151326-53-3 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2-methoxyphenyl)-2,4-dimethyl-(9CI) (CA INDEX NAME)

RN 151326-54-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(3-methoxyphenyl)-2,4-dimethyl-(9CI) (CA INDEX NAME)

RN 151326-55-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(4-methoxyphenyl)-2,4-dimethyl-(9CI) (CA INDEX NAME)

RN 151326-56-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 7-amino-2,4-dimethyl-(9CI) (CA INDEX NAME)

RN 151326-57-7 HCAPLUS

CN Benzenesulfonamide, N-(6-cyano-2,4-dimethylpyrido[2,3-d]pyrimidin-7-yl)-2,5-dimethoxy- (9CI) (CA INDEX NAME)

RN 151326-58-8 HCAPLUS

CN Benzenesulfonamide, N-(6-cyano-2,4-dimethoxypyrido[2,3-d]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

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        (preparation of, as herbicide antidote)
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DATE

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L36 ANSWER 31 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN
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ACCESSION NUMBER:

1992:490314 HCAPLUS

DOCUMENT NUMBER:

117:90314

TITLE:

pyrido[2,3-d]pyrimidin-2,4(1H,3H)-diones,
methods for their preparation and herbicides

containing them

INVENTOR(S):

Hagen, Helmut; Raatz, Peter; Walter, Helmut;

APPLICATION NO.

Landes, Andreas

PATENT ASSIGNEE(S): SOURCE:

BASF A.-G., Germany Ger. Offen., 25 pp.

DATE

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

KIND

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.

PAIENI NO.		DAIE	APPLICATION NO.		DATE
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22 1000111					1990
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WO 9208719	A1	19920529	WO 1991-EP2055		1001
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OTHER SOURCE(S): MARPAT 117:90314

AB Certain pyrido[2,3-d]pyrimidin-2,4(1H,3H)-diones are claimed. Processes for the preparation of said compds. are claimed. E.g., a method for their preparation comprises the cyclocondensation reaction of an aminopyrimidinedione with a β-chloroalkenal or the cyclocondensation reaction of a (vinylamino)pyrimidinedione with a β-alkoxyacrylate. Herbicides containing these pyrido[2,3-d]pyrimidin-2,4(1H,3H)-diones in addition to other herbicidal agents such as phenoxyacetate derivs. or cyclohexanone derivs. are claimed. The pyrido[2,3-d]pyrimidin-2,4(1H,3H)-diones

are herbicide antidotes. Cyclocondensation of 6-amino-1,3-dimethyl-2,4(1H,3H)pyrimidinedione (11.6 g) with 3-chloro-2-methyl-2-pentenal (13.2 g) gave 5-ethyl-1,3,6-trimethyl-2,4(1H,3H)pyrimidinedione in 67% yield.

IT 84725-60-0

(cyclocondensation reaction of, with propionic anhydride)

RN 84725-60-0 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carboxylic acid, 7-amino-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-, ethyl ester (9CI) (CA INDEX NAME)

TT 99319-16-1P 142557-41-3P 142557-52-6P 142557-55-9P 142557-58-2P 142557-60-6P 142557-61-7P 142557-63-9P 142557-64-0P 142557-65-1P (preparation of, as herbicide antidote)

RN 99319-16-1 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carboxamide, 7-amino-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \\ & & \\ & & \\ & & \\ N & & \\ N & & \\ N & & \\ NH_2 & \\ & & \\ C-NH_2 & \\ & & \\ & & \\ O & & \\ O & & \\ \end{array}$$

RN 142557-41-3 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carboxylic acid, 7-amino-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-, methyl ester (9CI) (CA INDEX NAME)

- RN 142557-52-6 HCAPLUS
- CN Pyrido[2,3-d]pyrimidine-6-carboxylic acid, 7-amino-1-ethyl-1,2,3,4-tetrahydro-2,4-dioxo-, ethyl ester (9CI) (CA INDEX NAME)

- RN 142557-55-9 HCAPLUS
- CN Pyrido[2,3-d]pyrimidine-6-carboxylic acid, 7-amino-1-ethyl-1,2,3,4-tetrahydro-2,4-dioxo-(9CI) (CA INDEX NAME)

- RN 142557-58-2 HCAPLUS
- CN Pyrido [2,3-d] pyrimidine-6-carbonitrile, 7-amino-1-cyclopropyl-3-ethyl-1,2,3,4-tetrahydro-2,4-dioxo- (9CI) (CA INDEX NAME)

- RN 142557-60-6 HCAPLUS
- CN Pyrido[2,3-d]pyrimidine-6-carboxylic acid, 7-amino-1-cyclopropyl-3-ethyl-1,2,3,4-tetrahydro-2,4-dioxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 142557-61-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carboxamide, 7-amino-1-cyclopropyl-3-ethyl-1,2,3,4-tetrahydro-2,4-dioxo- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 142557-63-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carboxylic acid, 1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-7-[(1-oxopropyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 142557-64-0 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carboxylic acid, 7-(acetylamino)-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-, ethyl ester (9CI) (CA INDEX NAME)

· ...

```
Me
                      NHAc
                         - OEt
Me
                       0
```

RN 142557-65-1 HCAPLUS

Pyrido[2,3-d]pyrimidine-6-carboxylic acid, 1,2,3,4-tetrahydro-1,3-CNdimethyl-7-[[(4-methylphenyl)sulfonyl]amino]-2,4-dioxo-, ethyl ester (9CI) (CA INDEX NAME)

IC ICM C07D471-04

ICS A01N043-90

C07D213-63; C07D241-44; C07D263-58; C07D277-68; C07D521-00 ICA

C07D471-04, C07D221-00, C07D239-00 ICI

28-16 (Heterocyclic Compounds (More Than One Hetero CC Atom))

Section cross-reference(s): 5

IT 84725-60-0

(cyclocondensation reaction of, with propionic anhydride)

IT 57842-79-2P 59797-01-2P 67362-48-5P 92978-15-9P 92978-16-0P **99319-16-1P** 99319-17-2P 113290-29-2P 113290-30-5P 116121-60-9P 142557-24-2P 142557-25-3P 142557-26-4P 142557-27-5P 142557-28-6P 142557-29-7P 142557-32-2P 142557-30-0P 142557-31-1P 142557-33-3P 142557-37-7P 142557-34-4P 142557-35-5P 142557-36-6P 142557-38-8P 142557-39-9P 142557-40-2P 142557-41-3P 142557-42-4P 142557-43-5P 142557-44-6P 142557-45-7P 142557-48-0P 142557-46-8P 142557-47-9P 142557-49-1P 142557-51-5P 142557-52-6P 142557-53-7P 142557-50-4P 142557-56-0P 142557-57-1P 142557-54-8P 142557-55-9P 142557-59-3P 142557-60-6P 142557-58-2P 142557-61-7P 142557-62-8P 142557-63-9P 142557-64-0P 142557-65-1P 142557-66-2P

(preparation of, as herbicide antidote)

L36 ANSWER 32 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 1992:448480 HCAPLUS

DOCUMENT NUMBER:

117:48480

Synthesis and biological activities of some TITLE:

new pyrimidine derivatives

AUTHOR (S): Seada, M.; Abdel-Halim, A. M.; Ibrahim, S. S.; Abdel-Megid, M.

CORPORATE SOURCE:

SOURCE:

Fac. Educat., Ain Shams Univ., Roxy, Egypt

Asian Journal of Chemistry (1992),

4(3), 544-52

CODEN: AJCHEW; ISSN: 0970-7077

DOCUMENT TYPE:

LANGUAGE:

Journal English

GI

AB Synthesis of 4-chloro-5-cyano-2-methyl-6-phenylpyrimidine (I, R = Cl) and its reactions with acetamide hydrochloride, guanidine hydrochloride, cyanoacetamide, benzil monohydrazone, sodium azide, semicarbazide hydrochloride, acid hydrazides, active methylene compds., aromatic amines and thiourea were investigated. Also, the reactions of 5-cyano-2-methyl-6-phenyl-4(3H)-pyrimidinethione I (R = SH) with Et iodide, Et chloroacetate, phenacyl bromide, acrylonitrile and heterocyclic chlorides are reported. A number of products from these two series of reactions, including aminocyanopyridopyrimidinone II and (phenylbutadienyl)pyrimidine III were evaluated for bactericidal and fungicidal activity.

IT 142271-07-6P

(preparation of)

RN 142271-07-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 5-amino-1,7-dihydro-2-methyl-7-oxo-4-phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & H & Me \\ \hline N & N & N \\ \hline N & N$$

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 10

ST pyrimidine deriv prepn bactericide **fungicide**; chlorocyanomethylphenylpyrimidine cyclocondensation amination; pyrimidinethione cyanomethylphenyl alkylation

```
IT
    Bactericides, Disinfectants, and Antiseptics
       Fungicides and Fungistats
```

(pyrimidine derivs.)

142271-14-5P IT 142271-06-5P 142271-15-6P 142271-18-9P

142271-20-3P 142271-24-7P 142271-19-0P

(preparation and bactericidal and fungicidal activity of)

IT 142271-07-6P 142271-08-7P 142271-09-8P 142271-10-1P 142271-17-8P 142271-12-3P 142271-13-4P 142271-16-7P

142271-21-4P 142271-22-5P 142271-23-6P

(preparation of)

L36 ANSWER 33 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1992:426486 HCAPLUS

DOCUMENT NUMBER:

117:26486

TITLE:

Synthesis and biological activity of

1,3-dimethyl-6-nitro-7-

carboxyalkyl (aryl) aminopyrido [2,3-

d]pyrimidines

AUTHOR(S):

Bystryakova, I. D.; Losev, G. A.; Safonova, T.

CORPORATE SOURCE:

NIKhFI, Novokuznetsk, USSR

SOURCE:

Khimiko-Farmatsevticheskii Zhurnal (

1992), 26(1), 48-51

CODEN: KHFZAN; ISSN: 0023-1134

DOCUMENT TYPE:

Journal

LANGUAGE:

Russian

GT

AΒ The reaction of 1,3-dimethyl-2,4,5-trioxo-6-nitro-7chloropyrido[2,3-d]pyrimidine with aliphatic acids, anthranilic acid and its ester gave 7-carboxyalkyl(aryl)aminopyrido[2,3d]pyrimidines; the latter were used to synthesize imidazo and (benzo)diazepinopyrido[2,3-d]pyrimidines, e.g. I and II. The neoplasm-inhibiting activity of the resulting compds. was determined 141985-51-5P IT

(preparation and cyclization of)

RN141985-51-5 HCAPLUS

Pyrido [2, 3-d] pyrimidine-2, 4, 5 (1H, 3H, 8H) -trione, CN

6-amino-1,3-dimethyl-7-(2-oxo-1-pyrrolidinyl)- (9CI) (CA INDEX

NAME)

$$\begin{array}{c|c} Me & O \\ \hline \\ N & N \\ \hline \\ Me & O \\ O & O \\ \end{array}$$

IT 141985-46-8P 141985-48-0P

(preparation and intramol. cyclocondensation of)

RN 141985-46-8 HCAPLUS

CN β-Alanine, N-(6-amino-1,2,3,4,5,8-hexahydro-1,3-dimethyl2,4,5-trioxopyrido[2,3-d]pyrimidin-7-yl)-, methyl ester (9CI) (CA
INDEX NAME)

Me
$$NH-CH_2-CH_2-C-OMe$$

Me NH_2
 NH_2

RN 141985-48-0 HCAPLUS

CN Benzoic acid, 2-[(6-amino-1,2,3,4,5,8-hexahydro-1,3-dimethyl-2,4,5-trioxopyrido[2,3-d]pyrimidin-7-yl)amino]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ & H \\ \hline 0 & N \\ \hline N & NH \\ \hline 0 & O & MeO-C \\ \hline & O \\ \end{array}$$

IT 141985-43-5P

(preparation and neoplasm-inhibiting activity of)

RN 141985-43-5 HCAPLUS

CN Benzoic acid, 2-[(1,2,3,4,5,8-hexahydro-1,3-dimethyl-6-nitro-2,4,5-trioxopyrido[2,3-d]pyrimidin-7-yl)amino]- (9CI) (CA INDEX NAME)

IT 141985-40-2P

(preparation and reactions of)

RN 141985-40-2 HCAPLUS

CN Butanoic acid, 4-[(1,2,3,4,5,8-hexahydro-1,3-dimethyl-6-nitro-2,4,5-trioxopyrido[2,3-d]pyrimidin-7-yl)amino]- (9CI) (CA INDEX NAME)

Me
$$N + N + CO_2H$$
 $N + N + CO_2H$
 $N + N + CO_2H$
 $N + N + CO_2H$
 $N + N + CO_2H$

IT 141985-45-7P

(preparation and reduction of)

RN 141985-45-7 HCAPLUS

CN β-Alanine, N-(1,2,3,4,5,8-hexahydro-1,3-dimethyl-6-nitro-2,4,5-trioxopyrido[2,3-d]pyrimidin-7-yl)-, methyl ester (9CI) (CA INDEX NAME)

IT 141985-38-8P 141985-41-3P 141985-42-4P 141985-53-7P

T41302-23-1P

(preparation of)

RN 141985-38-8 HCAPLUS

CN Glycine, N-(1,2,3,4,5,8-hexahydro-1,3-dimethyl-6-nitro-2,4,5-trioxopyrido[2,3-d]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

Me
$$NH-CH_2-CO_2H$$
 NO_2 NO_2

RN 141985-41-3 HCAPLUS

CN L-Valine, N-(1,2,3,4,5,8-hexahydro-1,3-dimethyl-6-nitro-2,4,5-trioxopyrido[2,3-d]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 141985-42-4 HCAPLUS

CN L-Alanine, N-(1,2,3,4,5,8-hexahydro-1,3-dimethyl-6-nitro-2,4,5-trioxopyrido[2,3-d]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 141985-53-7 HCAPLUS

CN Butanoic acid, 4-[(1,2,3,4,5,8-hexahydro-1,3-dimethyl-6-nitro-2,4,5-trioxopyrido[2,3-d]pyrimidin-7-yl)amino]-, heptyl ester (9CI) (CA INDEX NAME)

Me
$$NH-(CH_2)_3-C-O-(CH_2)_6-Me$$

Me NO_2

IT 141985-39-9P 141985-44-6P

(preparation, esterification, and neoplasm-inhibiting activity of)

RN 141985-39-9 HCAPLUS

CN β-Alanine, N-(1,2,3,4,5,8-hexahydro-1,3-dimethyl-6-nitro-2,4,5-trioxopyrido[2,3-d]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

Me
$$NH-CH_2-CH_2-CO_2H$$
 NO_2 NO_2

RN 141985-44-6 HCAPLUS

CN Benzoic acid, 2-[(1,2,3,4,5,8-hexahydro-1,3-dimethyl-6-nitro-2,4,5-trioxopyrido[2,3-d]pyrimidin-7-yl)amino]-, methyl ester (9CI) (CA INDEX NAME)

IT 141985-50-4P

(preparation, reduction, and neoplasm-inhibiting activity of)

RN 141985-50-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidine-2,4,5(1H,3H,8H)-trione,
1,3-dimethyl-6-nitro-7-(2-oxo-1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \text{O} \\ & & \text{H} \\ & & \text{N} \\ & & \text{NO}_2 \\ \end{array}$$

28-16 (Heterocyclic Compounds (More Than One Hetero CC

Section cross-reference(s): 1

IT 141985-51-5P

(preparation and cyclization of)

IT 141985-46-8P 141985-48-0P

(preparation and intramol. cyclocondensation of)

IT 141985-43-5P

(preparation and neoplasm-inhibiting activity of)

IT 141985-40-2P

(preparation and reactions of)

IT 141985-45-7P

(preparation and reduction of)

IT 141985-38-8P 141985-41-3P 141985-42-4P

141985-47-9P 141985-49-1P 141985-52-6P 141985-53-7P

(preparation of)

IT 141985-39-9P 141985-44-6P

(preparation, esterification, and neoplasm-inhibiting activity of)

IT 141985-50-4P

(preparation, reduction, and neoplasm-inhibiting activity of)

L36 ANSWER 34 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1990:55909 HCAPLUS

DOCUMENT NUMBER:

112:55909

TITLE: Preparation of arylsulfonamidonaphthyridines

and -pyridopyrimidines as herbicides

INVENTOR (S): Saupe, Thomas; Klebe, Gerhard; Schirmer,

Ulrich; Paul, Gerhard; Kober, Reiner; Wuerzer,

Bruno; Berghaus, Rainer; Meyer, Norbert;

Westphalen, Karl Otto

PATENT ASSIGNEE(S):

BASF A.-G., Fed. Rep. Ger. Eur. Pat. Appl., 110 pp.

SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	TENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP	329012	A2	19890823	EP 1989-102209	
					1989 0209
				<	
EP	329012 R: CH, DE, FR,	A3 GB, IT	19910403 , LI		
DE	3804990	A1	19890831	DE 1988-3804990	1988

						0218
US 4881969	Α	19891121	ITC	< 1989-310753		
05 4001709	A	19091121	03	1909-310733		1989
						0215
				<		
JP 01254682	A2	19891011	JP	1989-36449		
						1989
						0217
	_			<		
US 4999045	Α	19910312	US	1989-378985		
						1989
						0712
	_			<		
US 4999044	Α	19910312	US	1989-378986		
						1989
						0712
				<	_	
PRIORITY APPLN. INFO.:			DE	1988-3804990	Α	
						1988
						0218
				<		
			US	1989-310753	A3	
						1989
						0215

CASREACT 112:55909; MARPAT 112:55909 OTHER SOURCE(S):

For diagram(s), see printed CA Issue. GΙ

The title compds. [I; R1 = H, CN, (substituted) C1-8 alkyl, C2-5 AB alkenyl, SOR4, SO2R4, C2-4 alkynyl, COR4; R2, R3 = NO2, OH, CO2H, SH, halo, (substituted) C1-4 alkyl, C3-6 cycloalkyl, C1-4 alkoxy or alkylthio, C2-5 alkenyloxy, C2-4 alkynyloxy, amino, etc.; R4 = C1-4 alkyl, -alkoxy, -alkylthio, aryl, aryloxy, arylthio, CONR5R6; R5, R6 = C1-4 alkyl, C3-6 cycloalkyl, C2-5 alkenyl, aryl, arylalkyl, C1-4 alkylcarbonyl; R5R6 = C2-6 alkylene; W, X, Y,Z = N, CR7; R7 = hydrazino, R2; A = (substituted) (hetero)aryl; n = 0, 1], useful as herbicides (no data), were prepared Thus, 2-amino-5,7-dimethyl-1,8-naphthyridine in pyridine was treated dropwise with 2-ClC6H4SO2Cl at 40-50°. The mixture was stirred 1 h at 75° and refluxed for 1.5 h to give 2-chloro-N-(5,7-dimethyl-1,8-naphthyridin-2-yl)benzenesulfonamide. I were said to be effective against Amaranthus retroflexus, Centaurea cyanus, Chenopodium album, Cyperus iria, and Ipomoea spp.

IT 124850-82-4P

(preparation and acylation of, by dichlorobenzenesulfonyl chloride, in preparation of herbicide)

124850-82-4 HCAPLUS RN

Pyrido[2,3-d]pyrimidin-7-amine, 2,4-dimethyl-6-(methylsulfonyl)-CN(CA INDEX NAME) (9CI)

$$\begin{array}{c|c} \text{Me} & \text{N} & \text{NH}_2 \\ \text{N} & \text{O} \\ \text{N} & \text{S-Me} \\ \text{Me} & \text{O} \end{array}$$

124800-72-2P 124802-42-2P 124802-43-3P IT 124802-44-4P 124802-45-5P 124802-46-6P 124802-47-7P 124802-48-8P 124802-49-9P 124802-50-2P 124802-54-6P 124802-55-7P 124802-56-8P 124802-57-9P 124802-58-0P 124802-59-1P 124802-60-4P 124802-61-5P 124802-62-6P 124802-63-7P 124802-64-8P 124802-65-9P 124802-66-0P 124802-67-1P 124802-68-2P 124802-69-3P 124802-70-6P 124802-71-7P 124802-72-8P 124802-73-9P 124802-74-0P 124850-78-8P 124850-79-9P 124850-80-2P 124850-81-3P 125668-44-2P 125668-45-3P (preparation of, as herbicide) RN124800-72-2 HCAPLUS Benzenesulfonamide, 2,6-dichloro-N-[2,4-dimethyl-6-CN(methylsulfonyl)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 124802-42-2 HCAPLUS

CN Benzenesulfonamide, 2-chloro-N-(6-cyano-2,4-dimethylpyrido[2,3-d]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

RN 124802-43-3 HCAPLUS

CN Benzenesulfonamide, N-(6-cyano-2,4-dimethylpyrido[2,3-d]pyrimidin-7-yl)-2-fluoro-(9CI) (CA INDEX NAME)

RN 124802-44-4 HCAPLUS

CN Benzoic acid, 2-[[(6-cyano-2,4-dimethylpyrido[2,3-d]pyrimidin-7-yl)amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 124802-45-5 HCAPLUS

CN Benzenesulfonamide, 2,6-dichloro-N-(6-cyano-2,4-dimethylpyrido[2,3-d]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

RN 124802-46-6 HCAPLUS

CN Benzenesulfonamide, 2-chloro-N-(6-cyano-2,4-dimethylpyrido[2,3-d]pyrimidin-7-yl)-6-methyl- (9CI) (CA INDEX NAME)

RN 124802-47-7 HCAPLUS

CN Benzenesulfonamide, 2,5-dichloro-N-(6-cyano-2,4-dimethylpyrido[2,3-d]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

RN 124802-48-8 HCAPLUS

CN Benzenesulfonamide, 2-chloro-N-[2,4-dimethyl-6-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 124802-49-9 HCAPLUS

CN Benzoic acid, 2-[[[2,4-dimethyl-6-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7-yl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 124802-50-2 HCAPLUS

CN Benzenesulfonamide, 2-chloro-6-cyclopentyl-N-[2,4-dimethyl-6-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 124802-54-6 HCAPLUS

CN Benzenesulfonamide, 2-chloro-N-(6-cyano-2,4-dimethoxypyrido[2,3-d]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

RN 124802-55-7 HCAPLUS

CN Benzenesulfonamide, N-(6-cyano-2,4-dimethoxypyrido[2,3-d]pyrimidin-7-yl)-2-fluoro-(9CI) (CA INDEX NAME)

RN 124802-56-8 HCAPLUS

CN Benzenesulfonamide, 2-chloro-N-(2,4-diethoxy-6-phenylpyrido[2,3-d]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

RN 124802-57-9 HCAPLUS

CN Benzenesulfonamide, 2-chloro-N-(2,4-dimethoxy-6-phenylpyrido[2,3-d]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

RN 124802-58-0 HCAPLUS

CN Benzenesulfonamide, N-(2,4-dimethoxy-6-phenylpyrido[2,3-d]pyrimidin-7-yl)-2-fluoro-(9CI) (CA INDEX NAME)

RN 124802-59-1 HCAPLUS

CN Benzenesulfonamide, 2,6-dichloro-N-(2,4-dimethoxy-6-phenylpyrido[2,3-d]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

RN 124802-60-4 HCAPLUS

CN Benzoic acid, 2-[[(2,4-dimethoxy-6-phenylpyrido[2,3-d]pyrimidin-7-yl)amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 124802-61-5 HCAPLUS

CN Benzenesulfonamide, 2,6-dichloro-N-(6-cyano-2,4-dimethoxypyrido[2,3-d]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

RN 124802-62-6 HCAPLUS

CN Benzoic acid, 2-[[(6-cyano-2,4-dimethoxypyrido[2,3-d]pyrimidin-7-yl)amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 124802-63-7 HCAPLUS

CN Benzenesulfonamide, 2-chloro-N-[2,4-dimethoxy-6-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 124802-64-8 HCAPLUS

CN Benzenesulfonamide, 2,6-dichloro-N-[2,4-dimethoxy-6-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 124802-65-9 HCAPLUS

CN Benzenesulfonamide, 2-chloro-6-cyclopentyl-N-[2,4-dimethoxy-6-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 124802-66-0 HCAPLUS

RN 124802-67-1 HCAPLUS

CN Benzenesulfonamide, N-[2,4-dimethoxy-6-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7-yl]-2,5-dimethoxy- (9CI) (CA INDEX NAME)

RN 124802-68-2 HCAPLUS

CN Benzoic acid, 2-[[(6-cyano-2-methoxy-4-methylpyrido[2,3-d]pyrimidin-7-yl)amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 124802-69-3 HCAPLUS

CN Benzenesulfonamide, N-(6-cyano-2-methoxy-4-methylpyrido[2,3-d]pyrimidin-7-yl)-2,6-difluoro-(9CI) (CA INDEX NAME)

RN 124802-70-6 HCAPLUS

CN Benzenesulfonamide, 2,6-dichloro-N-(6-cyano-2-methoxy-4-methylpyrido[2,3-d]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

RN 124802-71-7 HCAPLUS

CN Benzenesulfonamide, 2-chloro-N-(6-cyano-2-methoxy-4-methylpyrido[2,3-d]pyrimidin-7-yl)-6-methyl- (9CI). (CA INDEX NAME)

RN 124802-72-8 HCAPLUS

CN Benzenesulfonamide, 2,5-dichloro-N-(6-cyano-2-methoxy-4-methylpyrido[2,3-d]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

RN 124802-73-9 HCAPLUS

CN Benzenesulfonamide, N-(6-cyano-2-methoxy-4-methylpyrido[2,3-d]pyrimidin-7-yl)-4-fluoro- (9CI) (CA INDEX NAME)

RN 124802-74-0 HCAPLUS

CN Benzenesulfonamide, 2-chloro-N-(6-cyano-2-methoxy-4-methylpyrido[2,3-d]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

RN 124850-78-8 HCAPLUS

CN Benzenesulfonamide, N-[2,4-dimethyl-6-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7-yl]-2-fluoro-(9CI) (CA INDEX NAME)

RN 124850-79-9 HCAPLUS

CN Benzenesulfonamide, N-(2,4-diethoxy-6-phenylpyrido[2,3-d]pyrimidin-7-yl)-2-fluoro- (9CI) (CA INDEX NAME)

RN 124850-80-2 HCAPLUS

CN Benzoic acid, 2-[[[2,4-dimethoxy-6-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7-yl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 124850-81-3 HCAPLUS

CN Benzenesulfonamide, N-[2,4-dimethoxy-6-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7-yl]-2-fluoro-(9CI) (CA INDEX NAME)

RN 125668-44-2 HCAPLUS

CN Benzenesulfonamide, 2,5-dichloro-N-[2,4-dimethyl-6-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 125668-45-3 HCAPLUS

CN Benzenesulfonamide, 2,5-dichloro-N-[2,4-dimethoxy-6-(methylsulfonyl)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

cl

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NH-
                   S
                   0
MeO
                        C1
                  0
                     Me
       OMe
                  0
IC
     ICM
          C07D471-04
     ICS
          A01N043-90
     C07D471-04, C07D221-00; C07D471-04, C07D239-00, C07D221-00;
ICI
     C07D471-04, C07D241-00, C07D221-00
     28-16 (Heterocyclic Compounds (More Than One Hetero
CC
     Atom))
     Section cross-reference(s): 5
IT
     124850-82-4P
         (preparation and acylation of, by dichlorobenzenesulfonyl chloride,
        in preparation of herbicide)
IT
                                   124800-73-3P
     124800-71-1P 124800-72-2P
                                                   124800-74-4P
     124800-75-5P
                     124800-76-6P
                                     124800-77-7P
                                                     124800-78-8P
     124800-79-9P
                     124800-80-2P
                                     124800-81-3P
                                                     124800-82-4P
                                     124800-85-7P
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     124800-83-5P
                     124800-84-6P
                     124800-88-0P
                                     124800-89-1P
                                                     124800-90-4P
     124800-87-9P
     124800-91-5P
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                                                     124800-98-2P
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                     124800-96-0P
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     124800-99-3P
                     124801-00-9P
                                     124801-01-0P
                                                     124801-02-1P
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                                     124801-05-4P
     124801-03-2P
                                                     124801-06-5P
                     124801-08-7P
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     124801-07-6P
                                                     124801-10-1P
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                                     124801-13-4P
     124801-11-2P
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                                     124801-17-8P
                                                     124801-18-9P
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     124801-19-0P
                     124801-20-3P
                                     124801-21-4P
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     124801-23-6P
                     124801-24-7P
                                     124801-25-8P
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     124801-27-0P
                     124801-28-1P
                                     124801-29-2P
                                                     124801-30-5P
     124801-31-6P
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                                     124801-33-8P
                                                     124801-34-9P
     124801-35-0P
                     124801-36-1P
                                     124801-37-2P
                                                     124801-38-3P
     124801-39-4P
                     124801-40-7P
                                     124801-41-8P
                                                     124801-42-9P
     124801-43-0P
                     124801-44-1P
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                                     124801-65-6P
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     124801-83-8P
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                                     124801-89-4P
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     124801-91-8P
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                                     124801-93-0P
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                     124801-96-3P
                                     124801-97-4P
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124802-02-4P

124802-01-3P

124801-99-6P

124802-00-2P

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               124802-04-6P
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124802-07-9P
               124802-08-0P
                               124802-09-1P
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124802-11-5P
               124802-12-6P
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                               124802-17-1P
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124802-19-3P
               124802-20-6P
                               124802-21-7P
                                               124802-22-8P
124802-23-9P
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124802-27-3P
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124802-31-9P
               124802-32-0P
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               124802-40-0P
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124802-55-7P 124802-56-8P 124802-57-9P
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               124858-36-2P
                               125668-43-1P
124850-81-3P
125668-44-2P 125668-45-3P
   (preparation of, as herbicide)
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L36 ANSWER 35 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1989:114811 HCAPLUS

DOCUMENT NUMBER: 110:114811

TITLE: Synthesis of isoselenazolo- or

isothiazolo[4,3-e][1,4]diazepines

AUTHOR(S): Ueda, Taisei; Kato, Yuzo; Sakakibara, Jinsaku;

Murata, Mitsuo

CORPORATE SOURCE: Fac. Pharm. Sci., Nagoya City Univ., Nagoya,

467, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (

1988), 36(8), 2902-8

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 110:114811

GI

AB Two novel classes of heterocycles, isoselenazolo[4,3-

e] [1,4] diazepines I (X = Se, R = H, Me, Et, R1 = Ph, R2 = H, Me; R1 = R2 = Me) and isothiazolo[4,3-e][1,4]diazepines I (X = S, R = H, Me, Et, R1 = Ph, R2 = H, Me; R1 = R2 = Me) were synthesized from 7-oxo-6-phenyl-6H-isoselenazolo (or -isothiazolo)[4,3d]pyrimidines II (X = S, Se) and 4,6-dimethyl-5,7-dioxo-4,5,6,7tetrahydroisoselenazolo (or isothiazolo)[4,3-d]pyrimidines III (X = S, Se). Some I were tested for antitumor activity and were inactive.

IT 99389-15-8P

(preparation of)

RN 99389-15-8 HCAPLUS

Pyrido[3,2-d]pyrimidine-7-carbonitrile, 6-amino-3,4-dihydro-4-oxo-CN 3-phenyl- (9CI) (CA INDEX NAME)

CC 28-22 (Heterocyclic Compounds (More Than One Hetero Atom))

119452-02-7P ΙT 99389-15-8P 119452-01-6P 119452-05-0P 119452-06-1P 119452-07-2P 119452-08-3P 119452-09-4P 119452-10-7P 119452-11-8P 119452-12-9P 119452-13-0P 119452-14-1P 119452-21-0P 119452-22-1P 119452-23-2P 119452-25-4P 119452-24-3P (preparation of)

L36 ANSWER 36 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1988:590346 HCAPLUS

DOCUMENT NUMBER: 109:190346

TITLE: Pyrido[2,3-d]pyrimidines and pyrido[2,3-d;

> 5-d']dipyrimidines as potential chemotherapeutic agents. VIII

AUTHOR(S): Ram, Vishnu J.; Vanden Berghe, D. A.;

Vlietinck, A. J.

CORPORATE SOURCE: Dep. Chem., S. C. Coll. Ballia, Ballia, India

SOURCE: Journal of Heterocyclic Chemistry (1988),

25(1), 217-19

CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

CASREACT 109:190346 OTHER SOURCE(S):

GI

- AB Reactions of 5-dimethylaminomethylene-6-imino-1,3-dimethyluracil hydrochloride (I) with active methylene compds. yielded bi- and tricyclic heterocyclic compds. Thus, reaction of I with malononitrile and 1,3-diphenylbarbituric acid gave pyridopyrimidine II and pyridodipyrimidine III resp. All the prepared compds. were screened for chemotherapeutic activities but none were active.
- IT 17789-33-2P 84725-60-0P 117290-57-0P (preparation of)
- RN 17789-33-2 HCAPLUS
- CN Pyrido[2,3-d]pyrimidine-6-carbonitrile, 7-amino-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-(8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
Me \\
\downarrow \\
NC \\
N \\
N
\end{array}$$
 $\begin{array}{c|c}
Me \\
N \\
N \\
N
\end{array}$
 $\begin{array}{c|c}
N \\
Me \\
O
\end{array}$

- RN 84725-60-0 HCAPLUS
- CN Pyrido[2,3-d]pyrimidine-6-carboxylic acid, 7-amino-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-, ethyl ester (9CI) (CA INDEX NAME)

- RN 117290-57-0 HCAPLUS
- CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 7-amino-1,3-dimethyl-6-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
ST pyridopyrimidinedione prepn bactericide **fungicide**virucide; pyridodipyrimidine prepn bactericide **fungicide**virucide; dimethylaminomethyleneiminodimethyluracil

cyclocondensation active methylene compd Bactericides, Disinfectants, and Antiseptics

Fungicides and Fungistats

Virucides and Virustats

(pyridopyrimidines and pyridodipyrimidines)

IT 17789-33-2P 54660-80-9P 84725-60-0P

117290-57-0P 117290-58-1P 117290-59-2P 117290-60-5P 117290-61-6P 117290-62-7P 117290-63-8P 117290-64-9P

(preparation of)

L36 ANSWER 37 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1983:89308 HCAPLUS

DOCUMENT NUMBER: 98:89308

TITLE: Antihypertensive activity of

6-arylpyrido[2,3-d]pyrimidin-7-amine derivatives. 2. 7-Acyl amide analogs
Blankley C. John: Bennett Lawrence R.

AUTHOR(S): Blankley, C. John; Bennett, Lawrence R.;

Fleming, Robert W.; Smith, Ronald D.; Tessman,

Deirdre K.; Kaplan, Harvey R.

CORPORATE SOURCE: Dep. Chem. Pharmacol., Warner-Lambert/Parke-

Davis Pharm. Res. Div., Ann Arbor, MI, 48106,

USA

SOURCE: Journal of Medicinal Chemistry (1983

), 26(3), 403-11

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 98:89308

Ι

GI

IT

AB The effect of acylation with a variety of acids on the antihypertensive activity of the pyridopyrimidinamine I is reported, and structure-activity relationships are discussed. Although several of the compds. show good oral antihypertensive activity in the conscious, spontaneously hypertensive rat (SHR), their activity profile appears to differ from that of I in that the onset of action is shortened at doses that give comparable blood pressure lowering and the size of the effect is considerably greater at higher doses. A variety of urea, thiourea, guanidine, and amidine analogs also were prepared Although many of these derivs. showed some antihypertensive effects orally in SHR, this activity was weaker and of shorter duration than that of I. Water solubilities and hydrolytic stabilities for 4 of the more active compds. were measured and suggest that these do not function as prodrugs of I.

IT 77206-70-3P 77206-71-4P 77206-73-6P 77206-74-7P 77206-75-8P 77206-76-9P 77206-78-1P 77206-79-2P 77206-80-5P 77206-81-6P 77206-82-7P 77206-84-9P

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84279-04-9P 84279-05-0P 84279-06-1P
     84279-07-2P 84279-08-3P 84279-09-4P
     84279-10-7P 84279-11-8P 84279-12-9P
     84279-13-0P 84279-14-1P 84279-15-2P
     84279-16-3P 84279-17-4P 84279-18-5P
     84279-19-6P 84279-20-9P 84279-21-0P
     84279-22-1P 84279-23-2P 84279-26-5P
     84279-27-6P 84279-28-7P 84279-29-8P
     84279-30-1P 84279-31-2P 84279-32-3P
     84279-33-4P 84279-35-6P 84279-37-8P
     84279-38-9P 84279-39-0P 84279-40-3P
     84279-41-4P 84279-42-5P 84279-43-6P
     84279-44-7P 84279-45-8P
        (preparation and antihypertensive activity of)
RN
     77206-70-3 HCAPLUS
     Formamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-
CN
     d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)
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RN 77206-71-4 HCAPLUS
CN Acetamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)

RN 77206-73-6 HCAPLUS
CN Acetamide, 2-chloro-N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 77206-74-7 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-N'-methyl- (9CI) (CA INDEX NAME)

RN 77206-75-8 HCAPLUS

CN Urea, N'-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 77206-76-9 HCAPLUS

CN Methanesulfonamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 77206-78-1 HCAPLUS

CN Methanimidamide, N'-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 77206-79-2 HCAPLUS

CN Guanidine, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-N',N''-dimethyl- (9CI) (CA INDEX NAME)

RN 77206-80-5 HCAPLUS

CN Acetamide, N-[6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidin-7-yl](9CI) (CA INDEX NAME)

RN 77206-81-6 HCAPLUS

CN Propanamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-

d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 77206-82-7 HCAPLUS

CN 2-Pyridinecarboxamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 77206-84-9 HCAPLUS

CN Acetamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-2-methoxy- (9CI) (CA INDEX NAME)

RN 84279-04-9 HCAPLUS

CN Propanamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-2-methyl- (9CI) (CA INDEX NAME)

RN 84279-05-0 HCAPLUS

CN Cyclopropanecarboxamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 84279-06-1 HCAPLUS

CN Propanamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-2,2-dimethyl- (9CI) (CA INDEX NAME)

RN 84279-07-2 HCAPLUS

CN Acetamide, 2,2-dichloro-N-[6-(2,6-dichlorophenyl)-2methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 84279-08-3 HCAPLUS

CN Acetamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-2-(ethylthio)- (9CI) (CA INDEX NAME)

RN 84279-09-4 HCAPLUS

CN Acetamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-2-(dimethylamino)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ NH-C-CH_2-NMe_2 \\ \hline \\ Me & & & \\ N & & & \\ \hline \\ & & & \\ C1 & & \\ \end{array}$$

RN 84279-10-7 HCAPLUS

CN Carbamic acid, [2-[[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 84279-11-8 HCAPLUS

CN Benzeneacetamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

1360

RN 84279-12-9 HCAPLUS

CN Benzeneacetamide, 2,6-dichloro-N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 84279-13-0 HCAPLUS

CN Benzeneacetamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-3,4-dimethoxy-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{N} & \text{N} & \text{O} \\ \hline & \text{N} & \text{NH-C-CH}_2 \\ \hline & \text{OMe} \\ \hline & \text{OMe} \\ \end{array}$$

RN 84279-14-1 HCAPLUS

CN Benzamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-2-fluoro- (9CI) (CA INDEX NAME)

RN 84279-15-2 HCAPLUS

CN Benzamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-2-methyl- (9CI) (CA INDEX NAME)

RN 84279-16-3 HCAPLUS

CN Benzamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-2-methoxy-(9CI) (CA INDEX NAME)

RN 84279-17-4 HCAPLUS

CN Benzamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-4-nitro-(9CI) (CA INDEX NAME)

. au 334

RN 84279-18-5 HCAPLUS

CN Benzamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-3-(dimethylamino)- (9CI) (CA INDEX NAME)

RN 84279-19-6 HCAPLUS

CN Benzamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-3,4-dimethoxy- (9CI) (CA INDEX NAME)

RN 84279-20-9 HCAPLUS

CN 3-Furancarboxamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 84279-21-0 HCAPLUS

CN 4-Pyridinecarboxamide, N-[6-(2,6-dichlorophenyl)-2methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 84279-22-1 HCAPLUS

CN Pyrazinecarboxamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 84279-23-2 HCAPLUS

CN Carbamic acid, [6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

RN 84279-26-5 HCAPLUS

CN Acetamide, N-[6-(2,6-dichlorophenyl)-2,4-dimethylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 84279-27-6 HCAPLUS

CN Acetamide, N-[2,4-dimethyl-6-(2-methylphenyl)pyrido[2,3-

d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 84279-28-7 HCAPLUS

CN Acetamide, N,N'-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidine-4,7-diyl]bis-(9CI) (CA INDEX NAME)

RN 84279-29-8 HCAPLUS

CN Acetamide, N,N'-[6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidine-2,7-diyl]bis-(9CI) (CA INDEX NAME)

RN 84279-30-1 HCAPLUS

CN Acetamide, N-[2-cyclopropyl-6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 84279-31-2 HCAPLUS

CN Acetamide, N-[6-(2-bromophenyl)pyrido[2,3-d]pyrimidin-7-yl]- (9CI)
(CA INDEX NAME)

RN 84279-32-3 HCAPLUS

CN Acetamide, N-[6-(2-methylphenyl)pyrido[2,3-d]pyrimidin-7-yl](9CI) (CA INDEX NAME)

RN 84279-33-4 HCAPLUS

CN Acetamide, N-[2-methyl-6-(2-methylphenyl)pyrido[2,3-d]pyrimidin-7-yl]-(9CI) (CA INDEX NAME)

RN 84279-35-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2,6-dichlorophenyl)-N,2-dimethyl- (9CI) (CA INDEX NAME)

RN 84279-37-8 HCAPLUS

CN Urea, [6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl](9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ NH-C-NH_2 \\ \\ Me & & \\ N & & \\ C1 & \\ \end{array}$$

RN 84279-38-9 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-N'-ethyl- (9CI) (CA INDEX NAME)

RN 84279-39-0 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 84279-40-3 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

RN 84279-41-4 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-N'-2-propenyl- (9CI) (CA INDEX NAME)

RN 84279-42-5 HCAPLUS

CN Carbamimidothioic acid, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-N'-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 84279-43-6 HCAPLUS

CN Guanidine, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-N'-methyl- (9CI) (CA INDEX NAME)

RN 84279-44-7 HCAPLUS

CN Carbamimidic acid, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-N'-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 84279-45-8 HCAPLUS

CN Ethanimidamide, N'-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-N,N-dimethyl-(9CI) (CA INDEX NAME)

IT 84279-46-9P

(preparation of)

RN 84279-46-9 HCAPLUS

CN Guanidine, N-[(cyanoamino)iminomethyl]-N'-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-N''-methyl- (9CI) (CA INDEX NAME)

IT 84279-24-3P

(preparation, deacetylation, and antihypertensive activity of)

RN 84279-24-3 HCAPLUS

CN Acetamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-N-methyl- (9CI) (CA INDEX NAME)

IT 84279-25-4P

(preparation, hydrolysis, and antihypertensive activity of)

RN 84279-25-4 HCAPLUS

CN Acetamide, N-[6-(2,6-dichlorophenyl)-2,8-dimethylpyrido[2,3-d]pyrimidin-7(8H)-ylidene]- (9CI) (CA INDEX NAME)

IT 77206-69-0P 77206-77-0P

(preparation, methylation, and antihypertensive activity of)

RN 77206-69-0 HCAPLUS

CN Acetamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 77206-77-0 HCAPLUS

CN Thiourea, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-N'-methyl- (9CI) (CA INDEX NAME)

IT 77206-72-5P 77206-83-8P 77206-85-0P

77206-86-1P

(preparation, stability, and antihypertensive activity of)

RN 77206-72-5 HCAPLUS

CN 2-Furancarboxamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 77206-83-8 HCAPLUS

CN 3-Pyridinecarboxamide, N-[6-(2,6-dichlorophenyl)-2methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 77206-85-0 HCAPLUS

CN Carbamic acid, [6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-, methyl ester (9CI) (CA INDEX NAME)

RN 77206-86-1 HCAPLUS

CN Benzamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

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CC
     28-16 (Heterocyclic Compounds (More Than One Hetero
     Section cross-reference(s): 1
ΙT
     77206-70-3P 77206-71-4P 77206-73-6P
     77206-74-7P 77206-75-8P 77206-76-9P
     77206-78-1P 77206-79-2P 77206-80-5P
     77206-81-6P 77206-82-7P 77206-84-9P
     84279-04-9P 84279-05-0P 84279-06-1P
     84279-07-2P 84279-08-3P 84279-09-4P
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     84279-13-0P 84279-14-1P 84279-15-2P
     84279-16-3P 84279-17-4P 84279-18-5P
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     84279-43-6P 84279-44-7P 84279-45-8P
        (preparation and antihypertensive activity of)
IT
     84279-46-9P
        (preparation of)
IT
     84279-24-3P
        (preparation, deacetylation, and antihypertensive activity of)
IT
     84279-25-4P
        (preparation, hydrolysis, and antihypertensive activity of)
IT
     77206-69-0P 77206-77-0P
                               84279-36-7P
        (preparation, methylation, and antihypertensive activity of)
IT
     77206-72-5P 77206-83-8P 77206-85-0P
     77206-86-1P
        (preparation, stability, and antihypertensive activity of)
L36 ANSWER 38 OF 39
                      HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                         1981:515588 HCAPLUS
DOCUMENT NUMBER:
                         95:115588
TITLE:
                         6-Substituted-arylpyrido[2,3-d]pyrimidin-7-
                         amines and derivatives
INVENTOR(S):
                         Blankley, Clifton J.; Bennett, Lawrence R.
PATENT ASSIGNEE(S):
                         Warner-Lambert Co. , USA
SOURCE:
                         U.S., 10 pp. Cont.-in-part of U.S. Ser. No.
                         30,195, abandoned.
                         CODEN: USXXAM
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT:
                         2
PATENT INFORMATION:
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C— Ph Cl

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	EP	18151		A1	19801029	E		< 1980-301107		1980
	EP				19840125 , GB, IT,			<		0408
	AT	5968	22, 6,					1980-301107		1980 0408
	AU	8057333		A1	19801023	Α		< 1980-57333		1980 0410
	JР	55160776	5	A2	19801213	J		< 1980-50208		1980
חד ממ		63004538 Y APPLN.		B4	19880129	T		< 1979-30195	A 2	0415
r RTO.	CII.	r Arr En.	IN10					<	712	1979 0416
						. U	JS	1980-123781	Α.	1980 0311
						E		< 1980-301107	A	1980 0408
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OTHER SOURCE(S):

CASREACT 95:115588

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AB Pyridopyrimidinamines I (R, R1 = H, Me; R2 = halo, Me, Et; R3 = H, C1, Br, Me) were prepared Thus, hydrogenation of 4-amino-2-methylpyrimidine-5-carbonitrile followed by treatment with 2,6-Cl2C6H3CH2CN gave I (R = Me, R1 = H, R2 = R3 = C1) (II).

USHA SHRESTHA EIC 1600 REM 1A64

The reaction of 2-ClC6H4CH2CN and 4-amino-2-methylpyrimidine-5carboxaldehyde gave I (R = Me, R1 = R2 = H, R3 = C1). II lowered the blood pressure in rats 30%. IT 76574-55-5P 76574-56-6P 76574-57-7P 76574-58-8P 76574-60-2P 76574-61-3P 76574-62-4P 76574-64-6P 76574-66-8P 76574-69-1P 76574-71-5P 76574-75-9P 76574-78-2P 76574-81-7P 76574-82-8P 76574-83-9P 76574-87-3P 76574-88-4P 76574-92-0P 76587-30-9P 77206-69-0P 77206-70-3P 77206-71-4P 77206-72-5P 77206-73-6P 77206-74-7P 77206-75-8P 77206-76-9P 77206-77-0P 77206-78-1P 77206-79-2P 77206-80-5P 77206-81-6P 77206-82-7P 77206-83-8P 77206-84-9P 77206-85-0P 77206-86-1P 78859-69-5P (preparation and antihypertensive activity of) RN76574-55-5 HCAPLUS CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2-bromophenyl)- (9CI) INDEX NAME)

RN 76574-56-6 HCAPLUS
CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2-iodophenyl)- (9CI) (CA INDEX NAME)

RN 76574-60-2 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2,6-dichlorophenyl)- (9CI) (CA INDEX NAME)

RN 76574-61-3 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2-bromo-6-chlorophenyl)- (9CI) (CA INDEX NAME)

RN 76574-62-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2-chloro-6-methylphenyl)- (9CI) (CA INDEX NAME)

RN 76574-64-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2,6-dimethylphenyl)- (9CI) (CA INDEX NAME)

RN 76574-66-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2,6-dichlorophenyl)-4-methyl-(9CI) (CA INDEX NAME)

RN 76574-69-1 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2-chlorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 76574-71-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2-bromophenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 76574-75-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 2-methyl-6-(2-methylphenyl)- (9CI)
(CA INDEX NAME)

RN 76574-78-2 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2,4-dichlorophenyl)-2-methyl-(9CI) (CA INDEX NAME)

RN 76574-81-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2-bromo-6-chlorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 76574-82-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2-chloro-6-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 76574-83-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2,6-dibromophenyl)-2-methyl-(9CI) (CA INDEX NAME)

RN 76574-87-3 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2,6-dimethylphenyl)-2-methyl-(9CI) (CA INDEX NAME)

RN 76574-88-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 2-methyl-6-(2,4,6-trimethylphenyl)(9CI) (CA INDEX NAME)

RN 76574-92-0 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2,6-dichlorophenyl)-2,4-dimethyl- (9CI) (CA INDEX NAME)

RN 76587-30-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2,6-dichlorophenyl)-2-ethyl-(9CI) (CA INDEX NAME)

RN 77206-69-0 HCAPLUS

CN Acetamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 77206-70-3 HCAPLUS

CN Formamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 77206-71-4 HCAPLUS

CN Acetamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-2,2,2-trifluoro-(9CI) (CA INDEX NAME)

RN 77206-72-5 HCAPLUS

CN 2-Furancarboxamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 77206-73-6 HCAPLUS

CN Acetamide, 2-chloro-N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 77206-74-7 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-N'-methyl- (9CI) (CA INDEX NAME)

RN 77206-75-8 HCAPLUS

CN Urea, N'-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 77206-76-9 HCAPLUS

CN Methanesulfonamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 77206-77-0 HCAPLUS

CN Thiourea, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-N'-methyl- (9CI) (CA INDEX NAME)

RN 77206-78-1 HCAPLUS

CN Methanimidamide, N'-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 77206-79-2 HCAPLUS

CN Guanidine, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-N',N''-dimethyl- (9CI) (CA INDEX NAME)

RN 77206-80-5 HCAPLUS

CN Acetamide, N-[6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidin-7-yl](9CI) (CA INDEX NAME)

RN 77206-81-6 HCAPLUS

CN Propanamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 77206-82-7 HCAPLUS

CN 2-Pyridinecarboxamide, N-[6-(2,6-dichlorophenyl)-2methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & N & N & O \\ N & NH-C & NH-C \\ \hline & C1 & & \\ \end{array}$$

RN 77206-83-8 HCAPLUS

CN 3-Pyridinecarboxamide, N-[6-(2,6-dichlorophenyl)-2methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 77206-84-9 HCAPLUS

CN Acetamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-2-methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \circ \\ & \parallel \\ & \text{NH-C-CH}_2\text{-OMe} \\ \\ \text{Me} & N & \text{Cl} \\ \\ & & \text{Cl} \\ \end{array}$$

RN 77206-85-0 HCAPLUS

CN Carbamic acid, [6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-, methyl ester (9CI) (CA INDEX NAME)

RN 77206-86-1 HCAPLUS

CN Benzamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 78859-69-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2,5-dichlorophenyl)-2-methyl-(9CI) (CA INDEX NAME)

IC C07D471-04; A61K031-495

INCL 424251000

CC 28-17 (Heterocyclic Compounds (More Than One Hetero

Atom))

T76574-55-5P 76574-56-6P 76574-57-7P
76574-58-8P 76574-60-2P 76574-61-3P
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77206-76-9P 77206-80-5P 77206-81-6P
77206-82-7P 77206-83-8P 77206-84-9P
77206-85-0P 77206-86-1P 78859-69-5P

L36 ANSWER 39 OF 39 HCAPLUS COPYRIGHT 2006 ACS on STN

(preparation and antihypertensive activity of)

ACCESSION NUMBER: 1981:156959 HCAPLUS

DOCUMENT NUMBER: 94:156959

TITLE: 6-Substituted-arylpyrido[2,3-d]pyrimidin-7-

amines, derivatives, salts and pharmaceutical

compositions containing them

INVENTOR(S): Blankley, Clifton John; Bennett, Lawrence Ray

PATENT ASSIGNEE(S): Warner-Lambert Co., USA SOURCE: Eur. Pat. Appl., 42 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent English

LANGUAGE:

T: 2

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PAT	PATENT NO.		KIND DATE		APPLICATION NO.		
EP	18151	A1	19801029	EP	1980-301107		
							1980 0408
					<		0400
EP	18151	В1	19840125				
	R: AT, BE, CH,						
US	4271164	A	19810602	US	1980-123781		
							1980 0311
					<		0311
AT	5968	Е	19840215	AT	1980-301107		
							1980
							0408
DD TOD TOW	ADDIN THEO			IIC	< 1979-30195	Α	
PRIORITY	APPLN. INFO.:			US	1979-30193	Α.	1979
							0416
					<		
				US	1980-123781	Α	
							1980 0311
					<		0311
				. EP	1980-301107	A	
							1980
							0408

OTHER SOURCE(S):

MARPAT 94:156959

 \mathbb{R}^3 \mathbb{N} \mathbb{N} \mathbb{R} \mathbb{R} \mathbb{R} \mathbb{R} \mathbb{R} \mathbb{R}

Pyridopyrimidines I (R, R1 = H, alkyl; R2 = substituted Ph; R3 = optionally substituted NH2) were prepared Thus 4-amino-2-methyl-5-pyrimidinecarbonitrile was hydrolyzed and reduced to the aldehyde which was condensed with 2,6-Cl2C6H3CH2CN to give I (R = Me, R1 = H, R2 = 2,6-Cl2C6H3, R3 = NH2, II). At 50 mg/kg orally in spontaneously hypertensive rats II caused a decrease in blood pressure >30%.

TT 76574-55-5P 76574-56-6P 76574-57-7P 76574-58-8P 76574-60-2P 76574-61-3P 76574-62-4P 76574-64-6P 76574-66-8P 76574-69-1P 76574-81-7P 76574-82-8P 76574-83-9P 76574-87-3P 76574-88-4P 76574-92-0P 77206-70-3P 77206-71-4P 77206-72-5P 77206-73-6P 77206-74-1P 77206-78-1P

77206-79-2P 77206-80-5P 77206-81-6P 77206-82-7P 77206-84-9P 77206-85-0P 77206-86-1P

(preparation and antihypertensive activity of)

RN 76574-55-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2-bromophenyl)- (9CI) (CA INDEX NAME)

RN 76574-56-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2-iodophenyl)- (9CI) (CA INDEX NAME)

RN 76574-57-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 76574-58-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2-ethylphenyl)- (9CI) (CA INDEX NAME)

RN 76574-60-2 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2,6-dichlorophenyl)- (9CI) (CA INDEX NAME)

RN 76574-61-3 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2-bromo-6-chlorophenyl)- (9CI) (CA INDEX NAME)

RN 76574-62-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2-chloro-6-methylphenyl)- (9CI) (CA INDEX NAME)

RN 76574-64-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2,6-dimethylphenyl)- (9CI) (CA INDEX NAME)

RN 76574-66-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2,6-dichlorophenyl)-4-methyl-(9CI) (CA INDEX NAME)

RN 76574-69-1 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2-chlorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 76574-71-5 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2-bromophenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 76574-75-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 2-methyl-6-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 76574-80-6 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2,6-dichlorophenyl)-2-methyl-(9CI) (CA INDEX NAME)

RN 76574-81-7 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2-bromo-6-chlorophenyl)-2methyl- (9CI) (CA INDEX NAME)

RN 76574-82-8 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2-chloro-6-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 76574-83-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2,6-dibromophenyl)-2-methyl-(9CI) (CA INDEX NAME)

RN 76574-87-3 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2,6-dimethylphenyl)-2-methyl-(9CI) (CA INDEX NAME)

RN 76574-88-4 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 2-methyl-6-(2,4,6-trimethylphenyl)(9CI) (CA INDEX NAME)

RN 76574-92-0 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2,6-dichlorophenyl)-2,4-dimethyl- (9CI) (CA INDEX NAME)

RN 76587-30-9 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-7-amine, 6-(2,6-dichlorophenyl)-2-ethyl-(9CI) (CA INDEX NAME)

RN 77206-69-0 HCAPLUS

CN Acetamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 77206-70-3 HCAPLUS

CN Formamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 77206-71-4 HCAPLUS

CN Acetamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-2,2,2-trifluoro-(9CI) (CA INDEX NAME)

RN 77206-72-5 HCAPLUS

CN 2-Furancarboxamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 77206-73-6 HCAPLUS

CN Acetamide, 2-chloro-N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 77206-74-7 HCAPLUS

CN Urea, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-N'-methyl- (9CI) (CA INDEX NAME)

RN 77206-75-8 HCAPLUS

CN Urea, N'-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 77206-76-9 HCAPLUS

CN Methanesulfonamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 77206-77-0 HCAPLUS

CN Thiourea, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-N'-methyl- (9CI) (CA INDEX NAME)

RN 77206-78-1 HCAPLUS

CN Methanimidamide, N'-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 77206-79-2 HCAPLUS

CN Guanidine, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-N',N''-dimethyl- (9CI) (CA INDEX NAME)

RN 77206-80-5 HCAPLUS

CN Acetamide, N-[6-(2,6-dichlorophenyl)pyrido[2,3-d]pyrimidin-7-yl](9CI) (CA INDEX NAME)

RN 77206-81-6 HCAPLUS

CN Propanamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 77206-82-7 HCAPLUS

CN 2-Pyridinecarboxamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 77206-84-9 HCAPLUS

CN Acetamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-2-methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{N} & \text{O} \\ \text{N} & \text{N} - \text{C} - \text{CH}_2 - \text{OMe} \\ \text{Me} & \text{N} & \text{C1} \\ \\ \text{C1} & \text{C1} \\ \end{array}$$

RN 77206-85-0 HCAPLUS

CN Carbamic acid, [6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]-, methyl ester (9CI) (CA INDEX NAME)

RN 77206-86-1 HCAPLUS

CN Benzamide, N-[6-(2,6-dichlorophenyl)-2-methylpyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

IT 77206-88-3P

(preparation of)

RN 77206-88-3 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-5-amine, 6-(2,6-dichlorophenyl)-2-methyl-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 77206-87-2 CMF C14 H10 Cl2 N4

CM 2

CRN 75-75-2 CMF C H4 O3 S

- IC C07D471-04; A61K031-505; C07D239-42; C07C025-02
- CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
- IT 76574-55-5P 76574-56-6P 76574-57-7P
 - 76574-58-8P 76574-60-2P 76574-61-3P
 - 76574-62-4P 76574-64-6P 76574-66-8P
 - 76574-69-1P 76574-71-5P 76574-75-9P
 - 76574-80-6P 76574-81-7P 76574-82-8P
 - 76574-83-9P 76574-87-3P 76574-88-4P
 - 76574-92-0P 76587-30-9P 77206-69-0P

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77206-70-3P 77206-71-4P 77206-72-5P
77206-73-6P 77206-74-7P 77206-75-8P
77206-76-9P 77206-77-0P 77206-78-1P
77206-79-2P 77206-80-5P 77206-81-6P
77206-82-7P 77206-84-9P 77206-85-0P
77206-86-1P 154631-44-4P
(preparation and antihypertensive activity of)

IT 77206-88-3P
(preparation of)
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